7/24/05 10/751,600

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 20:47:45 ON 24 JUL 2005

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 20:47:53 ON 24 JUL 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JUL 2005 HIGHEST RN 856698-04-9
DICTIONARY FILE UPDATES: 22 JUL 2005 HIGHEST RN 856698-04-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

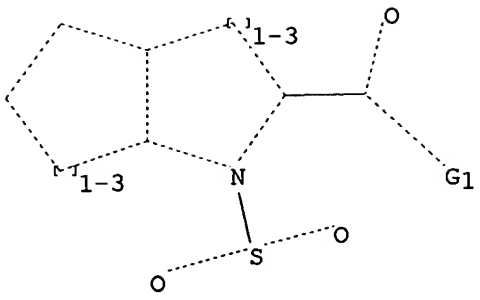
Please note that search-term pricing does apply when conducting SmartSELECT searches.

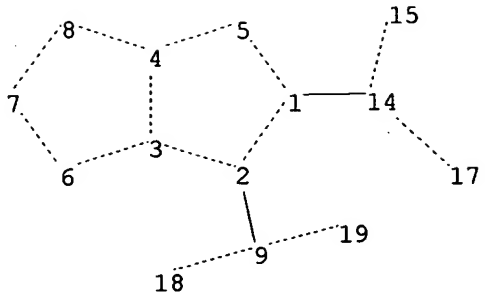
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10751600\10751600a.str





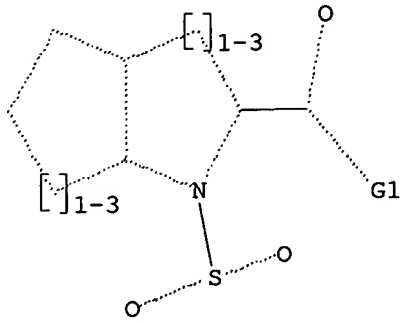
chain nodes :
9 14 15 17 18 19
ring nodes :
1 2 3 4 5 6 7 8
chain bonds :
1-14 2-9 9-18 9-19 14-15 14-17
ring bonds :
1-2 1-5 2-3 3-4 3-6 4-5 4-8 6-7 7-8
exact/norm bonds :
1-2 1-5 2-3 2-9 3-4 3-6 4-5 4-8 6-7 7-8 9-18 9-19 14-15 14-17
exact bonds :
1-14

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 14:CLASS
15:CLASS 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s L1
SAMPLE SEARCH INITIATED 20:48:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 172 TO ITERATE

100.0% PROCESSED 172 ITERATIONS 39 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2654 TO 4226
PROJECTED ANSWERS: 406 TO 1154

L2 39 SEA SSS SAM L1

=> s L1 full
FULL SEARCH INITIATED 20:48:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3720 TO ITERATE

100.0% PROCESSED 3720 ITERATIONS 895 ANSWERS
SEARCH TIME: 00.00.01

L3 895 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 161.33 161.54

FILE 'CAPLUS' ENTERED AT 20:48:40 ON 24 JUL 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 24 Jul 2005 VOL 143 ISS 5
FILE LAST UPDATED: 22 Jul 2005 (20050722/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3
L4 133 L3

=> d L4 ti,au 1-133

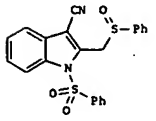
=> d L4 ibib abs hitstr 1-11,13-26,28-133

12 = this app

27 = Holfeld ref

L4 ANSWER 1 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:411352 CAPLUS
TITLE: An efficient preparation of 1-phenylsulfonylindolyl methyl sulfoxides using KF/m-CPBA
AUTHOR(S): Mohanakrishnan, Arasambattu K.; Ramesh, Neelamegam
CORPORATE SOURCE: Department of Organic Chemistry, Guindy Campus, University of Madras, Tamil Nadu, Chennai, 600 025, India
SOURCE: Tetrahedron Letters (2005), 46(24), 4231-4233
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

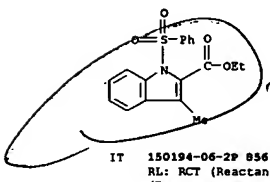


I

AB A variety of 1-phenylsulfonylindolylmethyl sulfides were selectively oxidized to sulfoxides, e.g., I, using a KF/m-CPBA system. A major advantage of this reaction was the absence of over-oxidation

IT 150194-05-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indolylmethyl sulfoxides via bromination of methylindoles followed by substitution with thiols and oxidation with chloroperbenzoic acid in the presence of potassium fluoride)

RN 150194-05-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-methyl-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

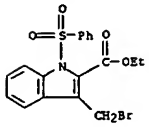


no aromatic bicyclo system

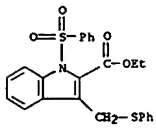
IT 150194-06-2P 856111-18-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolylmethyl sulfoxides via bromination of methylindoles followed by substitution with thiols and oxidation with chloroperbenzoic acid in the presence of potassium fluoride)

RN 150194-06-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(bromomethyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

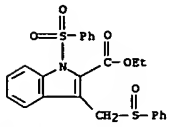


RN 856111-18-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT 856111-80-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indolylmethyl sulfoxides via bromination of methylindoles followed by substitution with thiols and oxidation with chloroperbenzoic acid in the presence of potassium fluoride)

RN 856111-80-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

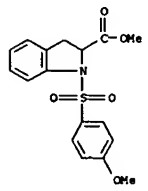
L4 ANSWER 2 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:396085 CAPLUS
TITLE: Improved solution- and solid-phase preparation of hydroxamic acids from esters
AUTHOR(S): Ho, Chih Y.; Strobel, Eric; Ralbovsky, Janet; Galemmo, Robert A., Jr.
CORPORATE SOURCE: Oncology Team, Drug Discovery, Johnson & Johnson Pharmaceutical Research and Development, Spring House, PA, 19446-0776, USA
SOURCE: Journal of Organic Chemistry (2005), 70(12), 4873-4875
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The addition of small amts. of solid KCN to carboxylic esters, either solid-supported or in solution, in THF/MeOH/NH2OH increased the efficiency of their transformation to the corresponding hydroxamic acids.

IT 856118-72-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(solution-phase preparation of hydroxamic acids via hydroxyamination of esters)

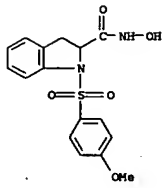
RN 856118-72-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT 190958-53-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solution-phase preparation of hydroxamic acids via hydroxyamination of esters)

RN 190958-53-3 CAPLUS
CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

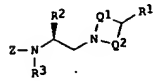
L4 ANSWER 3 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:220129 CAPLUS
DOCUMENT NUMBER: 142:298013
TITLE: Preparation of pyrrolidinylphenethyl benzoxepine-, tetrahydronaphthalene-, chroman-, and benzofurancarboxamides as κ-opioid agonists.
INVENTOR(S): Dolle, Roland E.; Chu, Guo-Hua
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 81 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005054630	A1	20050310	US 2003-651197	20030828
WO 2005023799	A1	20050317	WO 2004-US27307	20040820

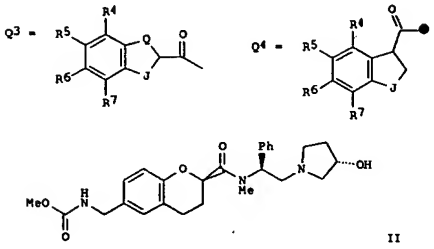
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-651197 A 20030828
OTHER SOURCE(S): MARPAT 142:298013
GI

L4 ANSWER 3 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



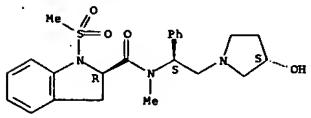
II

AB Title compds. [I; R1 = H, OH; R2 = alkyl, aralkyl, aryl; R3 = alkyl, aralkyl; Q1, Q2 = (CH2)1-2; Z = Q3, Q4; Q = O, CH2, NR8; J = (CH2)k, O(CH2)k-1, CH:CHCH2, CABCH2; k = 1-3; A = H, B = H, alkyl; AB = O, CH2; R4-R7 = H, alkyl, halo, aryl, heteroaryl, OH, NO2, cyano, CF3, CF2CF3, OCF3, etc.; R8 = H, alkyl, acyl], were prepared Thus, title compound (II) (preparation outlined) blocked acetic acid-induced writhing with ED50 = 0.53 mg/kg s.c.

IT 847948-59-8P 847948-60-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinylphenethyl benzoxepine-, tetrahydronaphthalene-, chroman-, and benzofurancarboxamides as κ-opioid agonists)

RN 847948-59-8 CAPLUS
CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-[(1S)-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-1-phenylethyl]-N-methyl-1-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

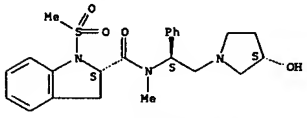
Absolute stereochemistry.



RN 847948-60-1 CAPLUS

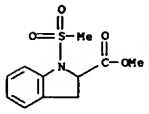
L4 ANSWER 3 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-[(1S)-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-1-phenylethyl]-N-methyl-1-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

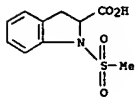


IT 115876-07-8P 847949-23-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidinylphenethyl benzoxepine-, tetrahydronaphthalene-, chroman-, and benzofurancarboxamides as κ-opioid agonists)

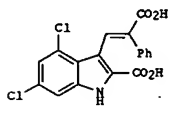
RN 115876-07-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 847949-23-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:85155 CAPLUS
DOCUMENT NUMBER: 142:336210
TITLE: CoMFA, Synthesis, and Pharmacological Evaluation of (E)-3-(2-Carboxy-2-arylvinyl)-4,6-dichloro-1H-indole-2-carboxylic Acids: 3-[2-(3-Aminophenyl)-2-carboxyvinyl]-4,6-dichloro-1H-indole-2-carboxylic Acid, a Potent Selective Glycine-Site NMDA Receptor Antagonist
AUTHOR(S): Baron, Bruce M.; Cregge, Robert J.; Farr, Robert A.; Friedrich, Dirk; Gross, Raymond S.; Harrison, Boyd L.; Janowick, David A.; Matthews, Donald; McCloskey, Timothy C.; Meikrantz, Scott; Nyce, Philip L.; Vaz, Roy; Metz, William A.
CORPORATE SOURCE: Department of Medicinal Chemistry, Aventis Pharmaceuticals, Bridgewater, NJ, 08807-0800, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(4), 995-1018
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



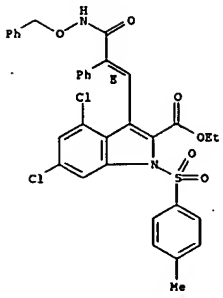
I

AB (E)-3-(2-Carboxy-2-phenylvinyl)-4,6-dichloro-1H-indole-2-carboxylic acid (I), is a potent and selective antagonist of the glycine site of the N-methyl-D-aspartate (NMDA) receptor. Using 3D comparative mol. field anal. (CoMFA) to guide the synthetic effort, a series of aryl diacid analogs of I were synthesized to optimize in vivo potency, duration of action, and binding activity. It was found that the incorporation of a substituted aromatic with an electron withdrawing group or a heterocyclic group at the 2-position of the 3-propenyl moiety of I gave compds. with better affinity and potency in the murine stroke model. Ultimately this led to the discovery of 3-[2-(3-aminophenyl)-2-carboxyvinyl]-4,6-dichloro-1H-indole-2-carboxylic acid as a new potent selective glycine-site NMDA receptor antagonist.

IT 848758-67-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and attempted debenzylation of)

RN 848758-67-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-oxo-2-phenyl-3-[(phenylmethoxy)amino]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

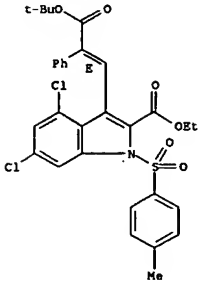
Double bond geometry as shown.



IT 179105-88-5P 179105-90-9P 179106-71-9P
179106-75-3P 179106-77-5P 179107-00-7P
179328-04-2P 179328-05-3P 179328-06-4P
179328-07-5P 179328-08-6P 179328-09-7P
179328-10-0P 848758-62-3P 848758-63-4P
848758-64-5P 848758-65-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deesterification of)

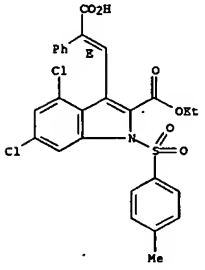
RN 179105-88-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



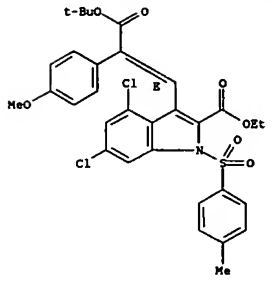
RN 179105-90-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-phenylethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



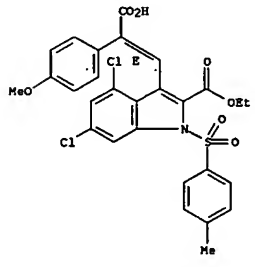
RN 179106-71-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-2-(4-methoxyphenyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



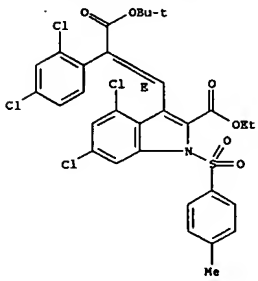
RN 179106-75-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(4-methoxyphenyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



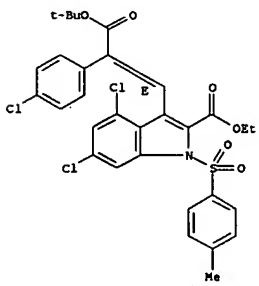
RN 179106-77-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-2-(2,4-dichlorophenyl)-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



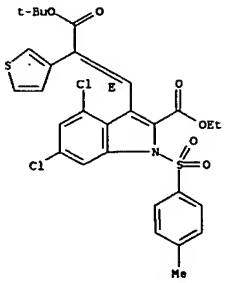
RN 179107-00-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-2-(4-chlorophenyl)-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



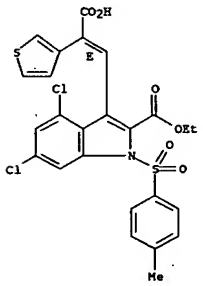
RN 179328-04-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-3-oxo-2-(3-thienyl)-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



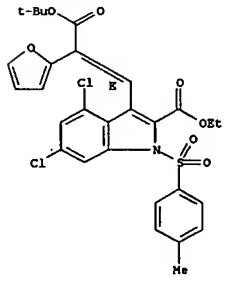
RN 179328-05-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(3-thienyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



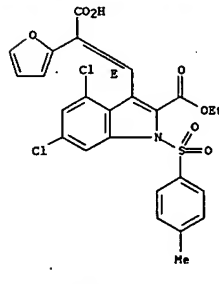
RN 179328-06-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1Z)-3-(1,1-dimethylethoxy)-3-oxo-2-(2-thienyl)-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



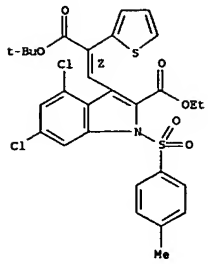
RN 179328-09-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(2-furanyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



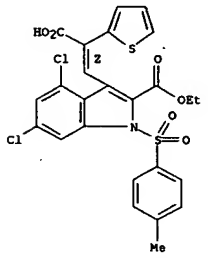
RN 179328-10-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-2-(3-furanyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



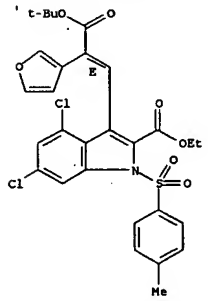
RN 179328-07-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-carboxy-2-(2-thienyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



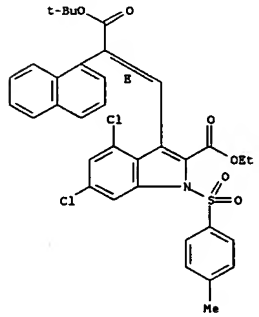
RN 179328-08-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-2-(2-furanyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



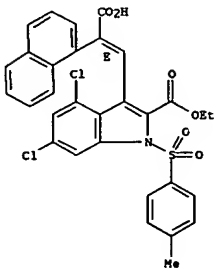
RN 848758-62-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-2-(1-naphthalenyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



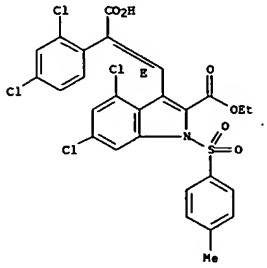
RN 848758-63-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(1-naphthalenyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



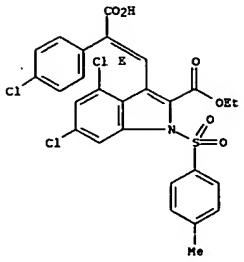
RN 848758-64-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(2,4-dichlorophenyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 848758-65-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(4-chlorophenyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

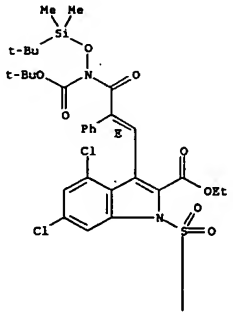
Double bond geometry as shown.



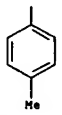
IT 848758-69-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
RN 848758-69-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-[[(1,1-dimethylethoxy)carbonyl][[(1,1-dimethylethyl)dimethylsilyl]oxy]amino]-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

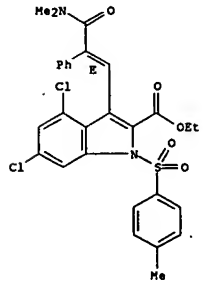


PAGE 2-A



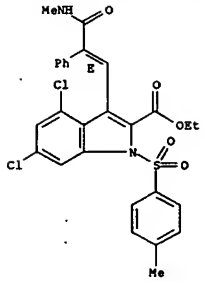
IT 179105-94-3P 179105-96-5P 179105-98-7P
179106-00-4P 179106-02-6P 179106-57-1P
179106-61-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 179105-94-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(dimethylamino)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



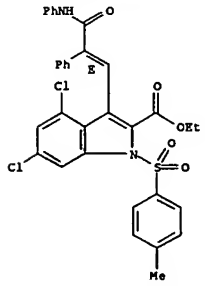
RN 179105-96-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(methylamino)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



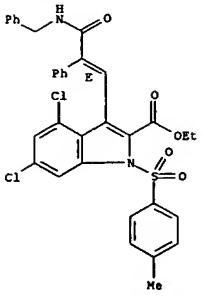
RN 179105-98-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-oxo-2-phenyl-3-(phenylamino)-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 179106-00-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-oxo-2-phenyl-3-[(phenylmethyl)amino]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

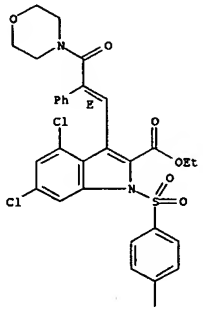
Double bond geometry as shown.



RN 179106-02-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-(4-morpholinyl)-3-oxo-2-phenyl-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

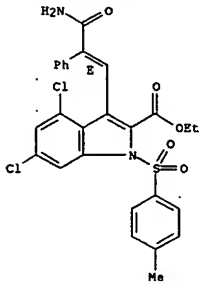
Double bond geometry as shown.

PAGE 1-A



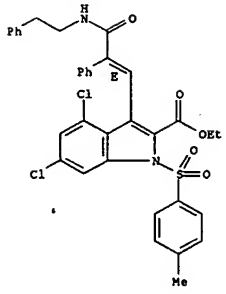
RN 179106-57-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-3-amino-3-oxo-2-phenyl-1-propenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

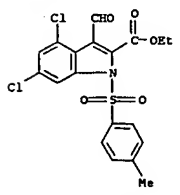


RN 179106-61-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-oxo-2-phenyl-3-[(2-phenylethyl)amino]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

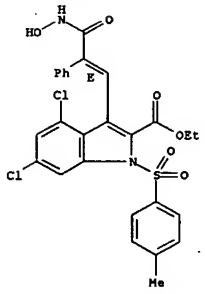


IT 179106-92-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with bromo(diethoxyphosphoryl)acetic acid tert-Bu ester)
RN 179106-92-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-formyl-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

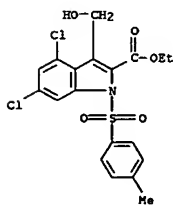


IT 848758-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of)
RN 848758-68-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(hydroxyamino)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

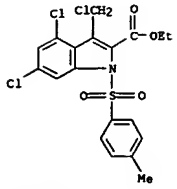


IT 848758-91-8P 848758-92-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(2-carboxy-2-phenylethyl)-4,6-dichloro-1H-indole-2-carboxylic acid)
RN 848758-91-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-(hydroxymethyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 848758-92-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-(chloromethyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

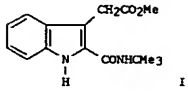
L4 ANSWER 4 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



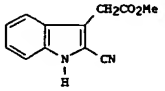
REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1128068 CAPLUS
DOCUMENT NUMBER: 142:197811
TITLE: A convenient synthesis of 2-cyano-3-substituted indoles
AUTHOR(S): Denison, Sophie; Hilton, Stephen T.
CORPORATE SOURCE: School of Chemical and Pharmaceutical Sciences, Kingston University, Surrey, KT1 2EE, UK
SOURCE: Synlett (2004), (15), 2806-2808
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

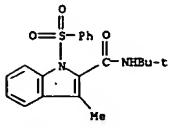


II

AB A new and mild method for the synthesis of 2-cyano-3-substituted indoles is described, which is effective on N-unsubstituted indoles. E.g., addition of 2 equiv of boron trifluoride di-Et etherate to a solution of indole-3-acetic acid Me ester and tert-Bu isocyanate resulted in a 97% yield of amide I. This was subsequently converted to the 2-cyanoindole ester II by heating a solution of the amide I at reflux with POCl3 in either benzene or toluene (77%).

IT **838874-60-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-cyano-3-substituted indoles from 3-substituted indoles)

RN 838874-60-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-(1,1-dimethylethyl)-3-methyl-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

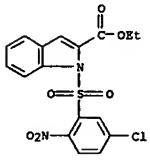
ACCESSION NUMBER: 2004:1086459 CAPLUS
DOCUMENT NUMBER: 142:147844
TITLE: Docking and 3-D QSAR Studies on Indolyl Aryl Sulfones. Binding Mode Exploration at the HIV-1 Reverse Transcriptase Non-Nucleoside Binding Site and Design of Highly Active N-(2-Hydroxyethyl)carboxamide and N-(2-Hydroxyethyl)carbohydrazide Derivatives
AUTHOR(S): Ragno, Rino; Artico, Marino; De Martino, Gabriella; La Regina, Giuseppe; Coluccia, Antonio; Di Pasquali, Alessandra; Silvestri, Romano
CORPORATE SOURCE: Istituto PasteurFondazione Cenci Bolognetti, Dipartimento di Studi Farmaceutici and Dipartimento di Studi di Chimica e Tecnologia delle Sostanze Biologicamente Attive, Universita di Roma La Sapienza, Rome, I-00185, Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(1), 213-223
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Three-dimensional quant. structure-activity relationship (3-D QSAR) studies and docking simulations were developed on indolyl aryl sulfones (IASs), a class of novel HIV-1 non-nucleoside reverse transcriptase (RT) inhibitors (Silvestri, et al. J. Med. Chemical 2003, 46, 2482-2493) highly active against wild type and some clin. relevant resistant strains (Y181C, the double mutant K103N-Y181C, and the K103R-V179D-P225H strain, highly resistant to efavirenz). Predictive 3-D QSAR models using the combination of GRID and GOLPE programs were obtained using a receptor-based alignment by means of docking IASs into the non-nucleoside binding site (NNBS) of RT. The derived 3-D QSAR models showed conventional correlation (r2) and cross-validated (q2) coeffs. values ranging from 0.79 to 0.93 and from 0.59 to 0.84, resp. All described models were validated by an external test set compiled from previously reported pyrryl aryl sulfones (Artico, et al. J. Med. Chemical 1996, 39, 522-530). The most predictive 3-D QSAR model was then used to predict the activity of novel untested IASs. The synthesis of six designed derivs. (prediction set) allowed disclosure of new IASs endowed with high anti-HIV-1 activities.

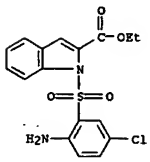
IT **173908-27-5 173908-47-9 540740-38-3**
540740-40-7 540740-41-8 540740-42-9
540740-43-0 540740-44-1 540740-47-4
540740-48-5 540740-51-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(docking and QSAR of indolyl aryl sulfones: binding at HIV-1 RT and N-(2-hydroxyethyl)-carboxamide and carbohydrazide derivs. design)

RN 173908-27-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(5-chloro-2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

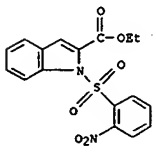
L4 ANSWER 6 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



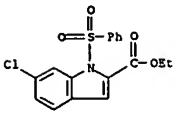
RN 173908-47-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-amino-5-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



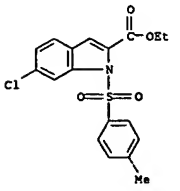
RN 540740-38-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



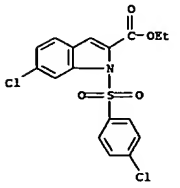
RN 540740-40-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



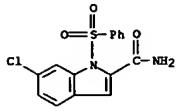
RN 540740-41-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



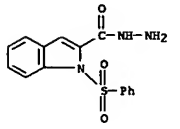
RN 540740-42-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-[(4-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



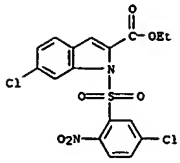
RN 540740-43-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-[(5-chloro-2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



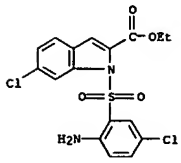
RN 540740-51-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, hydrazide (9CI) (CA INDEX NAME)



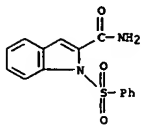
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



RN 540740-44-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-amino-5-chlorophenyl)sulfonyl]-6-chloro-, ethyl ester (9CI) (CA INDEX NAME)



RN 540740-47-4 CAPLUS
CN 1H-Indole-2-carboxamide, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

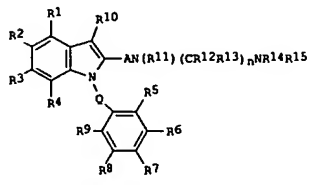


RN 540740-48-5 CAPLUS
CN 1H-Indole-2-carboxamide, 6-chloro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 2004:1080858 CAPLUS
DOCUMENT NUMBER: 142:56170
TITLE: Substituted indoles with serotonin receptor affinity, process for their preparation and pharmaceutical compositions containing them
INVENTOR(S): Ramakrishna, Venkata Satya Nirogi; Shirsath, Vikas Shreekrishna; Kambhampati, Rama Sastri; Jasti, Venkateswarlu
PATENT ASSIGNEE(S): Suven Life Sciences Limited, India
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108671	A1	20041216	WO 2004-IN154	20040604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: IN 2003-MA459 A 20030606
OTHER SOURCE(S): MARPAT 142:56170
GI



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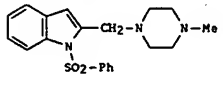


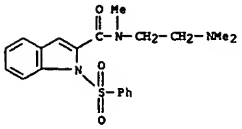
II

AB Indoles of formula I [A, Q = (substituted) CH2, CO, SO2, CONH, CS; R1-R10,

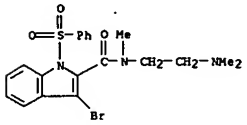
L4 ANSWER 7 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
R12, R13 = H, halo, oxo, OH, amino, nitro, CN, CHO, amidino, guanidino, etc.; R11, R14, R15 = H, alkyl, cycloalkyl, aryl, etc.; R11R15 = heterocyclic ring; n = 1-4] are prepd. which have serotonin receptor affinity. Thus, II was prepd. from (1H-indol-2-yl)(4-methylpiperazin-1-yl)methanone and benzenesulfonyl chloride.

IT **808161-15-1P 808161-16-2P 808161-17-3P**
808161-18-4P 808161-19-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles with serotonin receptor affinity)

RN 808161-15-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-(dimethylamino)ethyl]-N-methyl-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



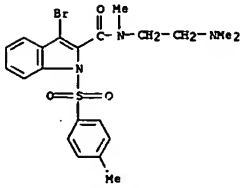
RN 808161-16-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-bromo-N-[2-(dimethylamino)ethyl]-N-methyl-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



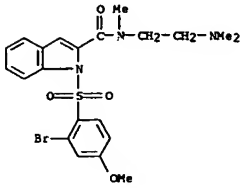
RN 808161-17-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-bromo-N-[2-(dimethylamino)ethyl]-N-methyl-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 808161-18-4 CAPLUS
CN 1H-Indole-2-carboxamide, 1-[(2-bromo-4-methoxyphenyl)sulfonyl]-N-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

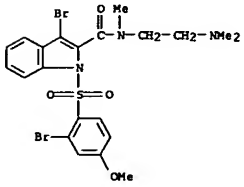


RN 808161-19-5 CAPLUS
CN 1H-Indole-2-carboxamide, 3-bromo-1-[(2-bromo-4-methoxyphenyl)sulfonyl]-N-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

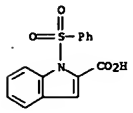


IT **40899-93-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indoles with serotonin receptor affinity)

RN 40899-93-2 CAPLUS



L4 ANSWER 7 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

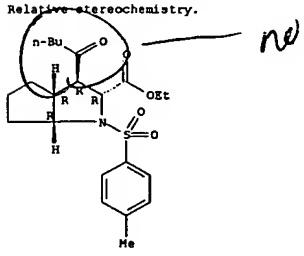
ACCESSION NUMBER: 2004:985740 CAPLUS
DOCUMENT NUMBER: 142:430074
TITLE: NO•3 Induced self-terminating radical oxygenations: diastereoselective synthesis of annelated pyrrolidines
AUTHOR(S): Stademann, Arne; Wille, Uta
CORPORATE SOURCE: Institut fuer Organische Chemie, Christian-Albrechts-Universitaet Kiel, Kiel, 24098, Germany
SOURCE: Australian Journal of Chemistry (2004), 57(11), 1055-1066
CODEN: AJCHAS; ISSN: 0004-9425
PUBLISHER: CSIRO Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Anellated pyrrolidines were obtained through a diastereoselective self-terminating, oxidative radical cyclization cascade by treating cis-2-alkynylcyclopentylamines with photochem. generated nitrate radicals, NO•3. A fast and modular access to the starting materials was developed, which readily enables variation of the substitution pattern at the pyrrolidine ring formed upon radical cyclization. The diastereoselectivity of this reaction sequence was strongly influenced by the nature of the substituents at the nitrogen atom. This shows that a complex interplay of both steric and stereoelectronic effects orchestrates the stereoselectivity of 5-exo radical cyclizations of highly substituted radicals.

IT **850654-89-6P 850654-90-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of cyclopentapyrrolidines via nitrate radical-induced cyclization of cis-2-alkynylcyclopentylamines)

RN 850654-89-6 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-3-(1-oxopentyl)-, ethyl ester, (2R,3R,3aR,6aR)-rel- (9CI) (CA INDEX NAME)

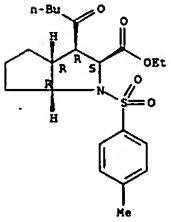
Relative stereochemistry.



RN 850654-90-9 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-3-(1-oxopentyl)-, ethyl ester, (2R,3S,3aS,6aS)-rel- (9CI) (CA INDEX NAME)

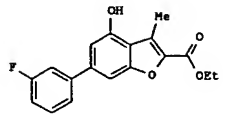
Relative stereochemistry.

L4 ANSWER 8 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:626163 CAPLUS
DOCUMENT NUMBER: 141:295794
TITLE: A library synthesis of 4-hydroxy-3-methyl-6-phenylbenzofuran-2-carboxylic acid ethyl ester derivatives as anti-tumor agents
AUTHOR(S): Hayakawa, Ichiro; Shioya, Rieko; Agatsuma, Toshinori; Furukawa, Hidehiko; Naruto, Shunji; Sugano, Yuichi
CORPORATE SOURCE: Lead Discovery Research Laboratories, Sankyo Co. Ltd., Shinagawa-ku, Tokyo, 140-8710, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(17), 4383-4387
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:295794
GI



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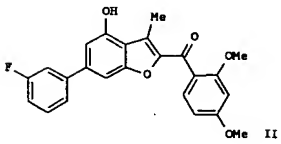


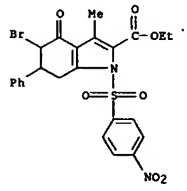
II

AB 4-Hydroxy-3-methyl-6-phenylbenzofuran-2-carboxylic acid Et ester was discovered as a screening hit from small-mol. libraries and exhibited selective cytotoxicity against a tumorigenic cell line. A series of derivs. were synthesized by parallel solution phase synthesis to produce a combinatorial library of benzofuranscarboxylates, e.g., I. All the benzofurans were tested for their antitumor activity and the structure-activity relationship was evaluated. I and its derivative II showed good antitumor activity.

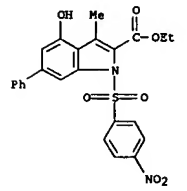
IT 762243-46-9P 762243-48-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antitumor activity, structure-activity relationship of aryl(hydroxy)methylindoles via amination-heterocyclization of arylcyclohexanediones with acetoacetate followed by N-sulfonylation,

L4 ANSWER 9 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
bromination, aromatization, and substitution)

RN 762243-46-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-4,5,6,7-tetrahydro-3-methyl-1-[(4-nitrophenyl)sulfonyl]-4-oxo-6-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

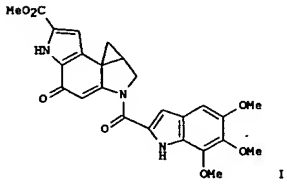


RN 762243-48-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-hydroxy-3-methyl-1-[(4-nitrophenyl)sulfonyl]-6-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:587461 CAPLUS
DOCUMENT NUMBER: 141:277387
TITLE: New Synthetic Method for Indole-2-carboxylate and Its Application to the Total Synthesis of Duocarmycin SA
AUTHOR(S): Hiroya, Kou; Matsumoto, Shigemitsu; Sakamoto, Takao
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Tohoku University, Sendai, 980-8578, Japan
SOURCE: Organic Letters (2004), 6(17), 2953-2956
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:277387
GI

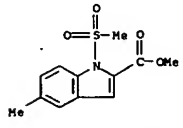


I

AB The sequential coupling and cyclization reactions between aryl halides and Me propiolate were investigated. The electron-withdrawing groups on the aromatic ring are essential for producing the Me indole-2-carboxylate derivs.
The presence of an extra Me propiolate and Pd(PPh3)4 were required to provide an efficient catalytic system for the cyclization reactions. This reaction was used for the total synthesis of duocarmycin SA (I).

IT 757951-93-2P
RL: BYP (Byproduct); PREP (Preparation)
(new synthetic method for indole-2-carboxylate and its application to the total synthesis of duocarmycin SA)

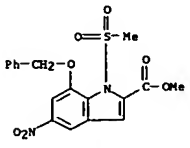
RN 757951-93-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-methyl-1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



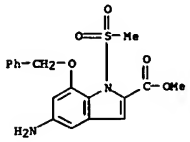
IT 757951-76-1P 757951-80-7P 757951-81-8P
757951-82-9P 757951-83-0P 757951-84-1P

L4 ANSWER 10 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(new synthetic method for indole-2-carboxylate and its application to the total synthesis of duocarmycin SA)

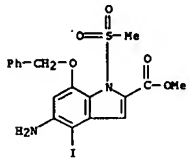
RN 757951-76-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)-5-nitro-7-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 757951-80-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-amino-1-(methylsulfonyl)-7-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

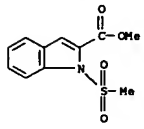


RN 757951-81-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-amino-4-iodo-1-(methylsulfonyl)-7-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

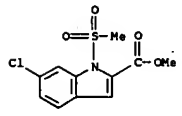


RN 757951-82-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-iodo-5-[(methoxycarbonyl)amino]-1-(methylsulfonyl)-7-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

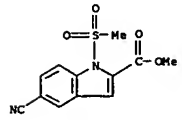
L4 ANSWER 10 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 757951-91-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

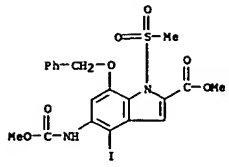


RN 757951-92-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-cyano-1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

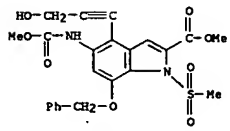


REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

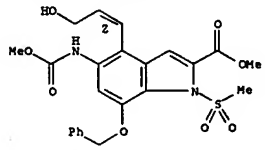


RN 757951-83-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-(3-hydroxy-1-propynyl)-5-[(methoxycarbonyl)amino]-1-(methylsulfonyl)-7-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 757951-84-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-[(1Z)-3-hydroxy-1-propenyl]-5-[(methoxycarbonyl)amino]-1-(methylsulfonyl)-7-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 442155-74-0P 757951-91-0P 757951-92-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(new synthetic method for indole-2-carboxylate and its application to the total synthesis of duocarmycin SA)

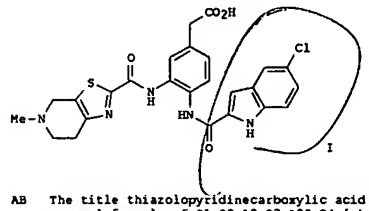
RN 442155-74-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:584667 CAPLUS
DOCUMENT NUMBER: 141:140425
TITLE: Preparation of 1,2-phenylenediamine amides as activated blood coagulation factor X inhibitors
INVENTOR(S): Takemura, Makoto; Ota, Toshiharu; Uoto, Koichi; Kawakami, Katsuhiro; Yoshino, Toshiharu; Yokomizo, Yoshihiro; Yoshikawa, Kenji
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 308 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004203791	A2	20040722	JP 2002-375655	20021225
PRIORITY APPLN. INFO.:			JP 2002-375655	20021225

OTHER SOURCE(S): MARPAT 141:140425
GI



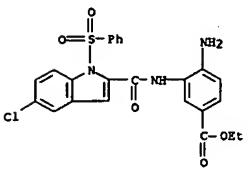
AB The title thiazolopyridinecarboxylic acid 1,2-phenylenediamine amides with general formula of Q1-Q2-A0-Q3-A00-Q4 [wherein Q1 = (un)substituted cyclohydrocarbyl, heterocyclyl, etc.; Q2 = a single bond, alkylene, alkenylene, etc.; Q3 = (un)substituted phenylene or any other (hetero)arylene; Q4 = (un)substituted aryl, arylalkenyl, etc.; A0 = (un)substituted CONH or CSNH; A00 = OCH2, (un)substituted CONH, SO2NH, etc.] or salts, solvates, or N-oxides thereof are prepared as activated blood coagulation factor X inhibitors. For example, the compound I was prepared in a multi-step synthesis. I inhibited human FXa with IC50 of 1.9 nM. The compds. are useful for the treatment of blood coagulation, thrombosis, embolism, etc. (no data).

IT 726207-03-0P 726207-04-1P 726207-06-3P
726207-07-4P 726207-08-5P 726207-09-6P
726207-10-9P 726207-11-0P 726207-12-1P
726207-13-2P 726207-14-3P 726207-15-4P
726207-16-5P 726207-17-6P 726207-18-7P
726207-19-8P 726207-20-1P 726207-21-2P
726207-22-3P 726207-23-4P 726207-24-5P
726207-82-5P 726207-83-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,2-phenylenediamine amides as activated blood coagulation

factor X inhibitors)

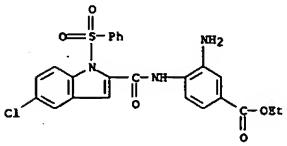
RN 726207-03-0 CAPLUS

CN Benzoic acid, 4-amino-3-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



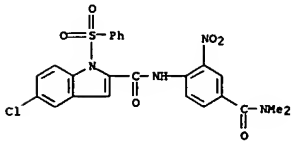
RN 726207-04-1 CAPLUS

CN Benzoic acid, 3-amino-4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



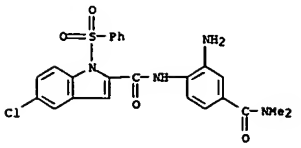
RN 726207-06-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[4-[(dimethylamino)carbonyl]-2-nitrophenyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



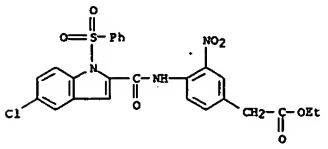
RN 726207-07-4 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-amino-4-[(dimethylamino)carbonyl]phenyl]-5-chloro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



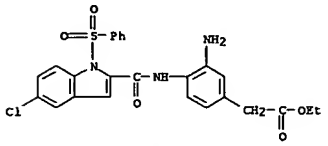
RN 726207-08-5 CAPLUS

CN Benzeneacetic acid, 4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-3-nitro-, ethyl ester (9CI) (CA INDEX NAME)



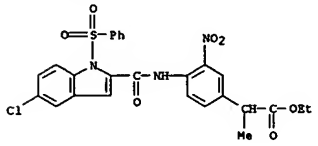
RN 726207-09-6 CAPLUS

CN Benzeneacetic acid, 3-amino-4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



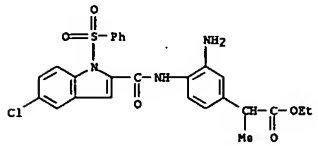
RN 726207-10-9 CAPLUS

CN Benzeneacetic acid, 4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-α-methyl-3-nitro-, ethyl ester (9CI) (CA INDEX NAME)



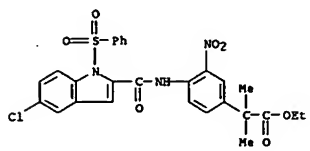
RN 726207-11-0 CAPLUS

CN Benzeneacetic acid, 3-amino-4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)



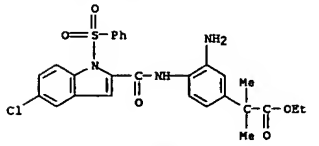
RN 726207-12-1 CAPLUS

CN Benzeneacetic acid, 4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-α,α-dimethyl-3-nitro-, ethyl ester (9CI) (CA INDEX NAME)



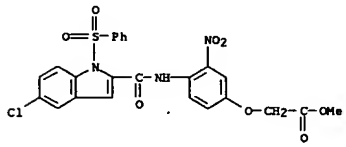
RN 726207-13-2 CAPLUS

CN Benzeneacetic acid, 3-amino-4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-α,α-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



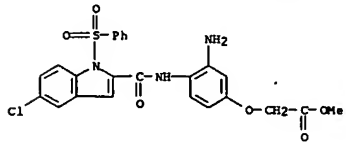
RN 726207-14-3 CAPLUS

CN Acetic acid, [4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-3-nitrophenoxy]-, methyl ester (9CI) (CA INDEX NAME)



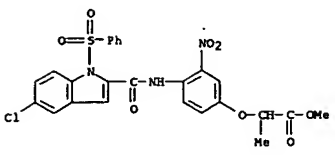
RN 726207-15-4 CAPLUS

CN Acetic acid, [3-amino-4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

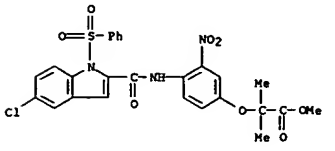


RN 726207-16-5 CAPLUS

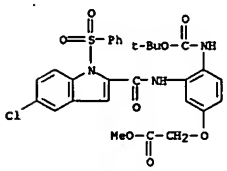
CN Propanoic acid, 2-[4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-3-nitrophenoxy]-, methyl ester (9CI) (CA INDEX NAME)



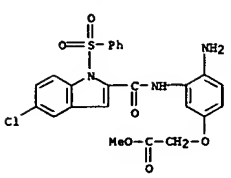
RN 726207-17-6 CAPLUS
CN Propanoic acid, 2-[4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-3-nitrophenoxy]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



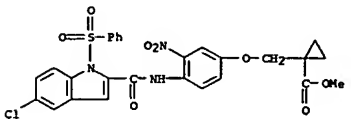
RN 726207-18-7 CAPLUS
CN Acetic acid, [3-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-4-[[(1,1-dimethylethoxy)carbonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



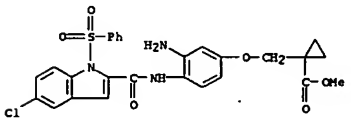
RN 726207-19-8 CAPLUS
CN Acetic acid, [4-amino-3-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



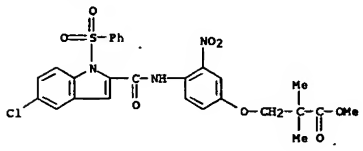
RN 726207-20-1 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-3-nitrophenoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)



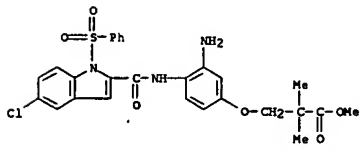
RN 726207-21-2 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[3-amino-4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]phenoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)



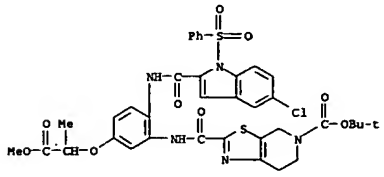
RN 726207-22-3 CAPLUS
CN Propanoic acid, 3-[4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-3-nitrophenoxy]-2,2-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



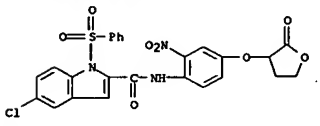
RN 726207-23-4 CAPLUS
CN Propanoic acid, 3-[3-amino-4-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]phenoxy]-2,2-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



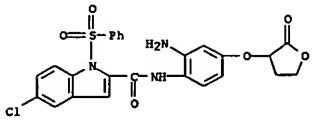
RN 726207-24-5 CAPLUS
CN Thiazolo[5,4-c]pyridine-5(4H)-carboxylic acid, 2-[[[2-[[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-5-(2-methoxy-1-methyl-2-oxoethoxy)phenyl]amino]carbonyl]-6,7-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 726207-82-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-nitro-4-[(tetrahydro-2-oxo-3-furanyl)oxy]phenyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 726207-83-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-amino-4-[(tetrahydro-2-oxo-3-furanyl)oxy]phenyl]-5-chloro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

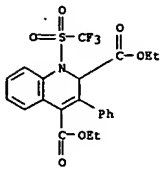
ACCESSION NUMBER: 2004:480084 CAPLUS
DOCUMENT NUMBER: 141:156744
TITLE: Mechanism of Stereoinduction in Asymmetric Synthesis of Highly Functionalized 1,2-Dihydroquinolines and 2H-1-Benzopyrans via Nonracemic Palladacycles with a Metal-Bonded Stereogenic Carbon
AUTHOR(S): Lu, Genliang; Malinakova, Helena C.
CORPORATE SOURCE: Department of Chemistry, University of Kansas, Lawrence, KS, 66045-7582, USA
SOURCE: Journal of Organic Chemistry (2004), 69(14), 4701-4715
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:156744

AB To establish the synthetic utility of palladacycles, a stable racemic benzannulated azapalladacycle featuring a palladium-bonded sp3-hybridized stereogenic carbon was prepared and converted into a series of racemic 2,3,4-trisubstituted 1,2-dihydroquinolines via a regioselective insertion of activated alkynes (RC.tplbond.CCOOEt). Analogous diastereomerically enriched azapalladacyle (92% de) and oxapalladacycle (64% de) were synthesized from arylpalladium(II) iodo complexes possessing a nonracemic spectator ligand [(1R,2R)-N,N,N',N'-tetramethyl-1,2-diaminocyclohexane] via an intramol. displacement of the iodide by an ester enolate. Absolute configurations of the metal-bonded stereocenters in the diastereomerically enriched palladacycles were unequivocally assigned, and the efficiency of stereoinduction was systematically studied. On the basis of these expts., a plausible mechanism for the transfer of chirality from the nonracemic auxiliary ligand to the palladium-bonded stereogenic carbon was proposed. A restricted rotation about the palladium-aryl bond in arylpalladium(II) iodo complexes giving rise to atropisomers, as well as the nature of the leaving group (iodide or acetate), were found to play a crucial role in the chirality transfer process. Diastereomerically enriched palladacycles underwent a ligand exchange with triphenylphosphine followed by regioselective insertion of unsym. alkynes to afford nonracemic 1,2-dihydroquinolines (six examples) in excellent 80-91% ee and 2H-1-benzopyrans (four examples) in 32-56% ee.

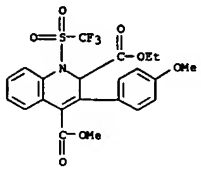
IT **728911-94-2P 728911-96-4P 728911-98-6P**
728912-09-2P
RL: BYP (Byproduct); PREP (Preparation)
(mechanism of stereoinduction in asym. synthesis of 1,2-dihydroquinolines and 2H-1-benzopyrans via alkyne insertion into nonracemic palladacycles with a metal-bonded stereogenic carbon)

RN 728911-94-2 CAPLUS
CN 2,4-Quinolinedicarboxylic acid, 1,2-dihydro-3-phenyl-1-[(trifluoromethyl)sulfonyl]-, diethyl ester (9CI) (CA INDEX NAME)

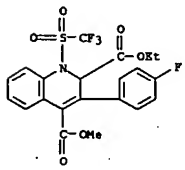
L4 ANSWER 13 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 728911-96-4 CAPLUS
CN 2,4-Quinolinedicarboxylic acid, 1,2-dihydro-3-(4-methoxyphenyl)-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 4-methyl ester (9CI) (CA INDEX NAME)

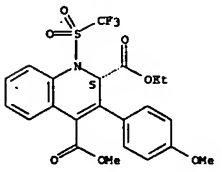


RN 728911-98-6 CAPLUS
CN 2,4-Quinolinedicarboxylic acid, 3-(4-fluorophenyl)-1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 4-methyl ester (9CI) (CA INDEX NAME)



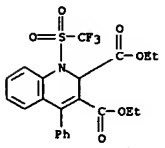
RN 728912-09-2 CAPLUS
CN 2,4-Quinolinedicarboxylic acid, 1,2-dihydro-3-(4-methoxyphenyl)-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 4-methyl ester, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.

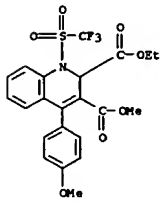


IT **728911-93-1P 728911-95-3P 728911-97-5P**
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(mechanism of stereoinduction in asym. synthesis of 1,2-dihydroquinolines and 2H-1-benzopyrans via alkyne insertion into nonracemic palladacycles with a metal-bonded stereogenic carbon)

RN 728911-93-1 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-4-phenyl-1-[(trifluoromethyl)sulfonyl]-, diethyl ester (9CI) (CA INDEX NAME)

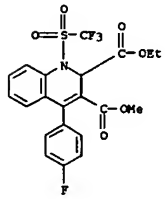


RN 728911-95-3 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-4-(4-methoxyphenyl)-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 3-methyl ester (9CI) (CA INDEX NAME)



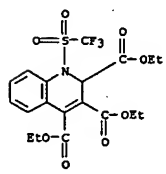
L4 ANSWER 13 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 728911-97-5 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 4-(4-fluorophenyl)-1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 3-methyl ester (9CI) (CA INDEX NAME)

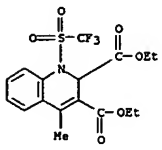


IT **728911-88-4P 728911-89-5P 728911-90-8P**
728911-91-9P 728911-92-0P 728912-03-6P
728912-04-7P 728912-05-8P 728912-06-9P
728912-07-0P 728912-08-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(mechanism of stereoinduction in asym. synthesis of 1,2-dihydroquinolines and 2H-1-benzopyrans via alkyne insertion into nonracemic palladacycles with a metal-bonded stereogenic carbon)

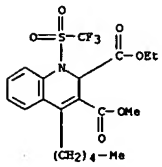
RN 728911-88-4 CAPLUS
CN 2,3,4-Quinolinetricarboxylic acid, 1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-, triethyl ester (9CI) (CA INDEX NAME)



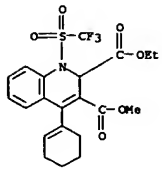
RN 728911-89-5 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-4-methyl-1-[(trifluoromethyl)sulfonyl]-, diethyl ester (9CI) (CA INDEX NAME)



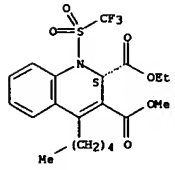
RN 728911-90-8 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-4-pentyl-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 3-methyl ester (9CI) (CA INDEX NAME)



RN 728911-91-9 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 4-(1-cyclohexen-1-yl)-1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 3-methyl ester (9CI) (CA INDEX NAME)

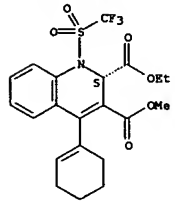


RN 728911-92-0 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-4-(trimethylsilyl)-, diethyl ester (9CI) (CA INDEX NAME)



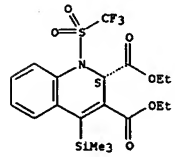
RN 728912-06-9 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 4-(1-cyclohexen-1-yl)-1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 3-methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

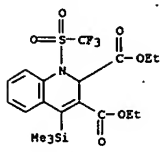


RN 728912-07-0 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-4-(trimethylsilyl)-, diethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

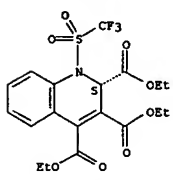


RN 728912-08-1 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-4-(4-methoxyphenyl)-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 3-methyl ester, (2S)- (9CI) (CA



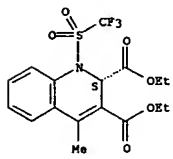
RN 728912-03-6 CAPLUS
CN 2,3,4-Quinolinetricarboxylic acid, 1,2-dihydro-1-[(trifluoromethyl)sulfonyl]-, triethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 728912-04-7 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-4-methyl-1-[(trifluoromethyl)sulfonyl]-, diethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

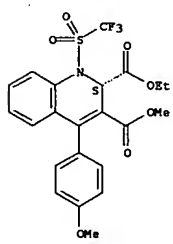


RN 728912-05-8 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-4-pentyl-1-[(trifluoromethyl)sulfonyl]-, 2-ethyl 3-methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 125 THERE ARE 125 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:433750 CAPLUS
DOCUMENT NUMBER: 141:7131
TITLE: Preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for the treatment of cancer
INVENTOR(S): Barnett, Stanley F.; Defeo-Jones, Deborah D.; Hartman, George D.; Huber, Hans E.; Stirdivant, Steven M.; Heimbrook, David C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 121 pp., which
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004102360	A1	20040527	US 2003-678565		20031003
PRIORITY APPLN. INFO.:			US 2002-422312P	P	20021030
			US 2003-460911P	P	20030407

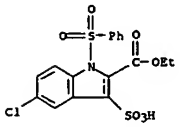
OTHER SOURCE(S): MARPAT 141:7131
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

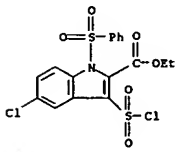
AB The present invention relates to methods of treating cancer using a combination of at least two Akt inhibitors I [wherein Q = (un)substituted heterocyclyl, aryl; U, V, W, and X = independently CH, N; Y, Z = independently CH, N, provided that at least one of Y and Z = N; n = 0-3; p = 0-2; q = 0-4; R1, R2, R7 = independently halo, CN, OH, CHO, NO2, or (un)substituted (cyclo)alkyl(oxy), alkenyl(oxy), alkynyl(oxy), heterocyclyl(oxy), acyl, carboxy, carbamoyl(oxy), ureido, sulfamoyl, etc.; R3, R4 = independently H, (perfluoro)alkyl; or CR3R4 = cycloalkyl, heterocyclyl; and pharmaceutically acceptable salts or stereoisomers thereof] or a combination of I and a protein kinase inhibitor II [wherein G = H2, O; X = C, N, SO0-2, O; m = 0-2; n = 0-2; p = 0-6; q = 0-4; R1 = independently H, halo, or (un)substituted (cyclo)alkyl, heterocyclyl, aryl, carbamoyl, amino, acyl, sulfamoyl, carboxy, etc.; R2 = H or (un)substituted (cyclo)alkyl(oxy), amino, aryloxy, heterocyclyloxy, alkenyloxy, alkynyloxy, etc.; R5 = independently H, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkynyl, carboxy, acyl, sulfamoyl, carbamoyl, ureido, amino, etc.; and pharmaceutically acceptable salts or stereoisomers thereof], optionally in combination with a third compound Examples include syntheses for I and II and assays demonstrating Akt inhibitor activity, antitumor activity, and the synergistic effect of combinations of AKT inhibitors and/or protein kinase inhibitors on caspase 3 activity. For instance, III•HCl was prepared in an 8-step reaction sequence culminating with the cycloaddn. of 4-(2-aminoprop-2-yl)benzil and o-phenylenediamine using glacial acetic acid in H2O, followed by work up with chloroform and ethanolic HCl. III•HCl, a selective Akt1 and Akt2 inhibitor, demonstrated a 3.2-fold in caspase 3 activation over control compared to a 1.2-fold increase for a protein kinase inhibitor. Combination treatment produced a 9-fold increase in caspase 3 activation.

IT **158561-82-1P**, 5-Chloro-2-(ethoxycarbonyl)-1-(phenylsulfonyl)-1H-indole-3-sulfonic acid **158561-84-3P**, Ethyl 5-chloro-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate **158561-88-7P**, Ethyl 5-chloro-1-(phenylsulfonyl)-1H-indole-2-carboxylate **660412-52-2P**, Ethyl 5-bromo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate **660412-55-5P**, Ethyl 5-iodo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate **661470-04-8P** **661470-07-1P** **661470-45-7P** **695816-07-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)

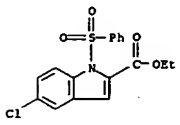
RN 158561-82-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-3-sulfo-, 2-ethyl ester (9CI) (CA INDEX NAME)



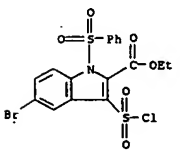
RN 158561-84-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



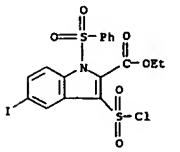
RN 158561-88-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



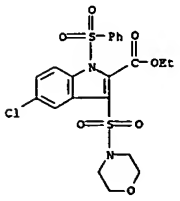
RN 660412-52-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 660412-55-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 661470-04-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(4-morpholinylsulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 661470-07-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(4-morpholinylsulfonyl)-1-

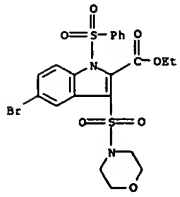
(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate **158561-88-7P**, Ethyl 5-chloro-1-(phenylsulfonyl)-1H-indole-2-carboxylate **660412-52-2P**, Ethyl 5-bromo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate **660412-55-5P**, Ethyl 5-iodo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate **661470-04-8P** **661470-07-1P** **661470-45-7P** **695816-07-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)

RN 158561-82-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-3-sulfo-, 2-ethyl ester (9CI) (CA INDEX NAME)

RN 158561-84-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

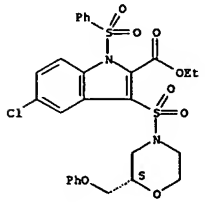
RN 158561-88-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

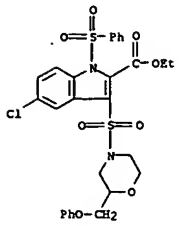


RN 661470-45-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

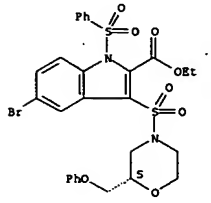


RN 695816-07-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



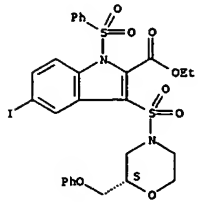
IT 695816-08-1 695816-09-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)
RN 695816-08-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 695816-09-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-iodo-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

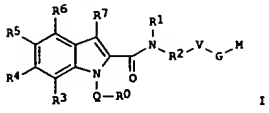
Absolute stereochemistry.



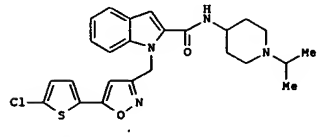
ACCESSION NUMBER: 2004:355668 CAPLUS
DOCUMENT NUMBER: 140:357208
TITLE: Preparation of indole-2-carboxamides as factor Xa inhibitors
INVENTOR(S): Nazare, Marc; Essrich, Melanie; Will, David William; Mattter, Hans; Ritter, Kurt; Wehner, Wolkmar
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044014	A1	20030530	WO 2002-EP12500	20021108
WO 2003044014	C1	20040722		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1314733	A1	20030528	EP 2001-127809	20011122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2467374	AA	20030530	CA 2002-2467374	20021108
EP 1451185	A1	20040901	EP 2002-787604	20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014396	A	20040914	BR 2002-14396	20021108
JP 2005514365	T2	20050519	JP 2003-545651	20021108
PRIORITY APPLN. INFO.:			EP 2001-127809 A	20011122
			WO 2002-EP12500 W	20021108

OTHER SOURCE(S): MARPAT 140:357208
GI



I

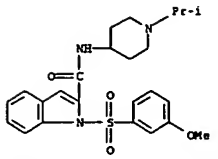


II

AB The title compds. I [wherein R0 = (un)substituted monocyclic or bicyclic (hetero)aryl; Q = a bond, CO, SO2, or (un)substituted (CH2)0-2CONH, NHCONH, NHCO, or (cyclo)alkylene; R1 = H or (un)substituted alkyl; R2 = a bond or alkylene; or NR1R2V = (un)substituted heterocyclyl; R3-R7 = independently H, halo, NO2, CN, OH, or (un)substituted alkyl, alkoxy, Ph, PhO, carbamoyl, sulfamoyl, acyl, etc.; or R1 and R7 together with the atoms to which they are attached = (un)substituted mono-, di-, or trisubstituted heterocyclyl; V = (un)substituted (hetero)cyclyl or (hetero)aryl; G = a bond or alkylene optionally interrupted by (un)substituted NHSO2NH, CHOH, O, CONH, SO2, NHCONH, NHCO, CO, S, SO2NH, NHSO2, NH, OCO, or NHCO2; M = H or (un)substituted (amino)alkyl, carbamoyl, (hetero)aryl, or (hetero)cycloalkyl; and stereoisomers, mixts., and physiol. tolerable salts thereof] where prepared as reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa) with strong antithrombotic effect. For example, 1-{[5-(5-chlorothiophen-2-yl)isoxazol-3-yl]methyl}-1H-indole-2-carboxylic acid was amidated with 1-isopropylpiperidin-4-ylamine•HCl (prepns. given) in the presence of BOP-Cl, Et3N, and DCM and the product purified by preparative HPLC using a H2O/MeCN gradient with 0.1% TFA to afford II•TFA. In a chromogenic assay, the latter exhibited a Ki value of 0.0033 μM against human factor Xa. Thus, I and their pharmaceutical compns. are useful for the therapy and prophylaxis of cardiovascular disorders, such as thromboembolic diseases or restenoses (no data).

IT 534582-19-9P, 1-(3-Methoxybenzenesulfonyl)-1H-indole-2-carboxylic acid N-(1-isopropylpiperidin-4-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of indolecarboxamides as factor Xa inhibitors for treatment of thrombotic and cardiovascular disorders)
RN 534582-19-9 CAPLUS
CN 1H-Indole-2-carboxamide, 1-[(3-methoxyphenyl)sulfonyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



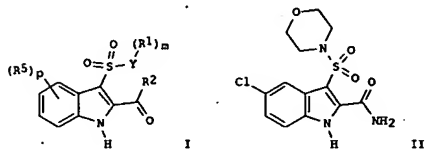
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:143099 CAPLUS
DOCUMENT NUMBER: 140:199202
TITLE: Preparation of substituted sulfonyl indoles as novel tyrosine kinase inhibitors
INVENTOR(S): Dinsmore, Christopher J.; Beshore, Douglas C.; Bergman, Jeffrey M.; Lindsley, Craig W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014851	A2	20040219	WO 2003-US24643	20030805
WO 2004014851	A3	20040902		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494962	AA	20040219	CA 2003-2494962	20030805
EP 1534695	A2	20050601	EP 2003-784961	20030805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-402478P	P 20020809
			WO 2003-US24643	W 20030805

OTHER SOURCE(S): MARPAT 140:199202
GI



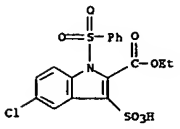
AB Title compds. I [R5 = H, halo, NO2, CN, COR4, -C.tplbond.CR4, etc.; R4 = H, alkyl, cycloalkyl, aryl, heterocycle, CF3, alkenyl, or alkynyl; R2 = H, (un)substituted alkyl, N(R4)2, OR4, (un)substituted-aryl or -cycloalkyl; R1 = H, halo, (CRa2)nOR4, (CRa2)nCO2R4, CON(R4)(CRa2)nN(R4)2, etc.; Y = heterocycle or optional double bond; m = 0-6, n = independently 0-6, p = 0-4] and their pharmaceutically acceptable salts are prepared and disclosed

L4 ANSWER 16 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

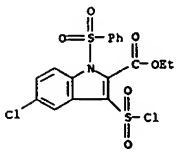
as tyrosine kinase inhibitors. Thus, II was prepd. via N-phenylsulfonylation of Et 5-chloro-1H-indole-2-carboxylate with subsequent sulfonation, chlorination to provide the 3-chlorosulfonylindole intermediate which was substituted with morpholine and underwent ammonolysis to provide the product. The present invention relates to compds. that are capable of inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. I were found to possess IC50 values of less than or equal to 100 μM in assays to det. inhibition of IFG-1R or insulin receptor kinase activity. Addnl., claims for administration with codrugs (e.g., estrogen receptor modulators, GPIIB/IIIa antagonists, or COX-2 inhibitors) to treat or prevent cancer are disclosed.

IT 158561-82-1P 158561-84-3P 158561-88-7P
660412-52-2P 660412-55-5P 660412-57-7P
660413-39-8P 661470-04-8P 661470-07-1P
661470-09-3P 661470-13-9P 661470-23-1P
661470-25-3P 661470-44-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and tyrosine kinase inhibition activity of substituted sulfonyl indoles)

RN 158561-82-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-3-sulfo-, 2-ethyl ester (9CI) (CA INDEX NAME)

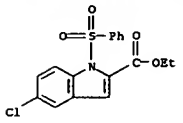


RN 158561-84-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

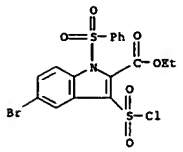


RN 158561-88-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

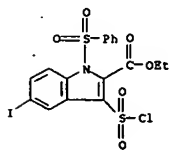
L4 ANSWER 16 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



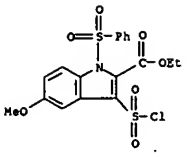
RN 660412-52-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



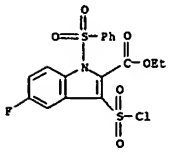
RN 660412-55-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



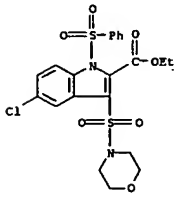
RN 660412-57-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-methoxy-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



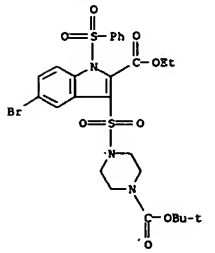
RN 660413-39-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-fluoro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



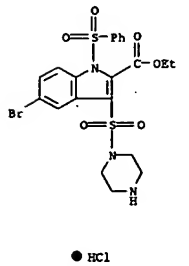
RN 661470-04-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(4-morpholinylsulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 661470-07-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(4-morpholinylsulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



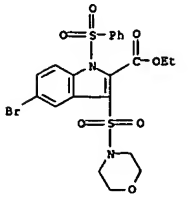
RN 661470-25-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-(phenylsulfonyl)-3-(1-piperazinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



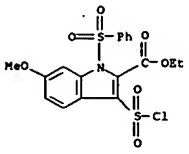
● HCl

RN 661470-44-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2R,6R)-2,6-dimethyl-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, rel- (9CI) (CA INDEX NAME)

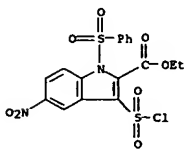
Relative stereochemistry.



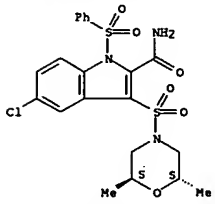
RN 661470-09-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-6-methoxy-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



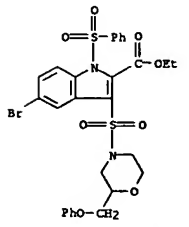
RN 661470-13-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-nitro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 661470-23-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

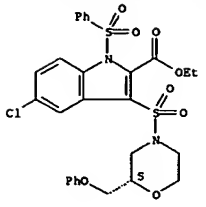


IT 661470-49-1
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(starting material; preparation and tyrosine kinase inhibition activity of
substituted sulfonyl indoles)
RN 661470-49-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



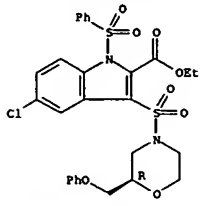
IT 661470-45-7P 661470-46-8P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(starting material; preparation and tyrosine kinase inhibition activity of
substituted sulfonyl indoles)
RN 661470-45-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

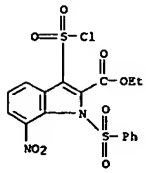


RN 661470-46-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 661470-43-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation and tyrosine kinase inhibition activity of
substituted sulfonyl indoles)
RN 661470-43-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-7-nitro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

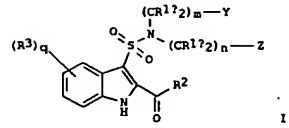


L4 ANSWER 17 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

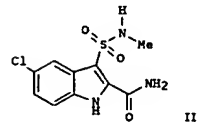
ACCESSION NUMBER: 2004:142899 CAPLUS
DOCUMENT NUMBER: 140:181323
TITLE: Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor 1 receptor (IGF-1R) inhibitors
INVENTOR(S): Dinsmore, Christopher J.; Beshore, Douglas C.; Bergman, Jeffrey M.; Lindsley, Craig W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014300	A2	20040219	WO 2003-US24393	20030805
WO 2004014300	A3	20040422		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2493575	AA	20040219	CA 2003-2493575	20030805
EP 1534268	A2	20050601	EP 2003-784904	20030805
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-402482P	P 20020809
			WO 2003-US24393	W 20030805

OTHER SOURCE(S): CASREACT 140:181323; MARPAT 140:181323
GI



I

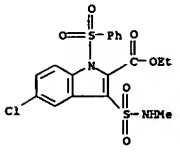


II

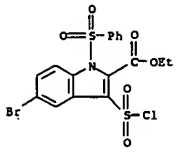
AB Title compds. I [wherein R1a, R1b = independently H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl, heterocyclyl; R2 = H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl; R3 = H, halo, (CH2)pOH and derivs., CO2H and derivs., CH:CH2 and derivs., NO2, (CH2)pNH2 and derivs., NHCHO and derivs., NHS(O)oR4, S(O)oR4, S(O)oNH2 and derivs., CN, (CH2)pNH(CH2)pH and derivs., etc.; R4 = (un)substituted cyclo/alkyl, aryl, heterocyclyl; m = 0-6; n = 0-6; q = 0-4; p = 0-6; o = 0-2; and their pharmaceutically acceptable salts, hydrates and stereoisomers] were prepared for inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. For example, I was prepared in 5 steps via substitution of benzenesulfonyl chloride with Et 5-chloro-1H-indole-2-carboxylate, sulfonation with concentrated H2SO4 in DCM, chlorination with oxalyl chloride in the presence of DCM/DMF, substitution with methylamine hydrochloride in the presence of TEA/DCM, and one-pot amidation with NH3/phenylsulfonyl group deprotection in i-PrOH. I inhibited insulin-like growth factor 1 receptor (IGF-1R) or Insulin receptor kinase with an IC50 ≤ 100 μM. Thus, I and their formulations are useful for treating cancer, diabetes, an autoimmune disorder, a hyperproliferative disorder, aging, acromegaly, and Crohn's disease.

IT 158561-82-1P, 5-Chloro-2-(ethoxycarbonyl)-1-(phenylsulfonyl)-1H-indole-3-sulfonic acid 158561-84-3P, Ethyl 5-chloro-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate 158561-88-7P, Ethyl 5-chloro-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-49-7P, Ethyl 5-chloro-3-[(methylamino)sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-52-2P, Ethyl 5-bromo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-55-5P, Ethyl 5-iodo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-57-7P, Ethyl 3-(chlorosulfonyl)-5-methoxy-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-73-7P, Ethyl 5-chloro-3-[[(4-chlorophenyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-

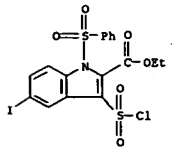
2-carboxylate 660412-75-9P, Ethyl 5-chloro-3-[[(3-chlorophenyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-77-1P, Ethyl 5-chloro-3-[[(2-chlorophenyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-79-3P, Ethyl 5-chloro-3-[[(4-chlorophenyl)(methyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-81-7P, Ethyl 5-chloro-3-[[(3-chlorophenyl)(methyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-83-9P, Ethyl 5-chloro-3-[[(2-chlorophenyl)(methyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-85-1P, Ethyl 5-chloro-3-[(tert-butylamino)sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-90-8P, Ethyl 5-chloro-3-(aminosulfonyl)-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-91-9P, Ethyl 5-chloro-3-[(benzoylamino)sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660412-93-1P, Ethyl 5-chloro-3-[(1H-tetrazol-5-ylamino)sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-25-2P, Ethyl 5-bromo-3-[[(5-tert-butoxy-5-oxopentyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-30-9P, Ethyl 5-bromo-3-[[[2-[(tert-butoxycarbonyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-34-3P, Ethyl 3-[[[2-[(tert-butoxycarbonyl)amino]ethyl]amino]sulfonyl]-5-iodo-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-35-4P, Ethyl 3-[[(2-aminoethyl)amino]sulfonyl]-5-iodo-1-(phenylsulfonyl)-1H-indole-2-carboxylate hydrochloride 660413-36-5P, Ethyl 5-iodo-3-[[[2-[[(4-methoxyphenyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-39-8P, Ethyl 3-(chlorosulfonyl)-5-fluoro-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-42-3P, Ethyl 5-fluoro-3-[[[2-[[(4-methoxyphenyl)sulfonyl]amino]ethyl](methyl)amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-44-5P, Ethyl 5-bromo-3-[[[2-[[(4-nitrophenyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-48-9P, Ethyl 5-bromo-3-[[[3-[(4-chlorophenyl)thio]propyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-67-2P, Ethyl 5-bromo-3-[[[3-[(tert-butoxycarbonyl)amino]propyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-68-3P, Ethyl 3-[[(3-aminopropyl)amino]sulfonyl]-5-bromo-1-(phenylsulfonyl)-1H-indole-2-carboxylate hydrochloride 660413-69-4P, Ethyl 5-bromo-1-(phenylsulfonyl)-3-[[[3-[(phenylsulfonyl)amino]propyl]amino]sulfonyl]-1H-indole-2-carboxylate 660413-77-4P, Ethyl 5-bromo-3-[[[2-[[(4-bromophenyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-79-6P, Ethyl 5-bromo-1-(phenylsulfonyl)-3-[[[2-[(thien-3-ylsulfonyl)amino]ethyl]amino]sulfonyl]-1H-indole-2-carboxylate 660413-81-0P, Ethyl 5-bromo-3-[[[2-[[(3-chlorobenzyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-83-2P, Ethyl 5-bromo-3-[[[2-[[(2-phenylethyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-85-4P, Ethyl 5-bromo-3-[[[2-[(4-methoxybenzoyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-87-6P, Ethyl 5-bromo-3-[[[2-[(4-methoxybenzyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-92-3P, Ethyl 5-bromo-3-[[[2-[(4-methoxyphenyl)(methylsulfonyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-94-5P, Ethyl 3-[[[2-[acetyl(4-methoxyphenyl)amino]ethyl]amino]sulfonyl]-5-bromo-1-(phenylsulfonyl)-1H-indole-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indolesulfonamides as tyrosine kinase inhibitors)



RN 660412-52-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

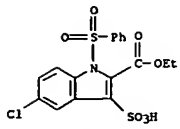


RN 660412-55-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

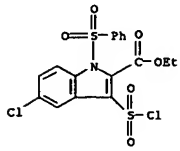


RN 660412-57-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-methoxy-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

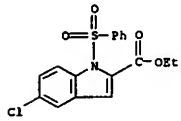
RN 158561-82-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-3-sulfo-, 2-ethyl ester (9CI) (CA INDEX NAME)



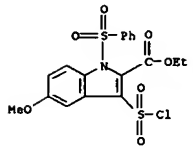
RN 158561-84-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



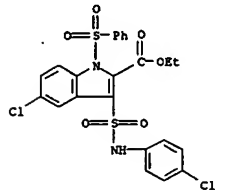
RN 158561-88-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



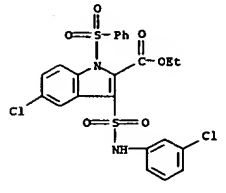
RN 660412-49-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(methylamino)sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



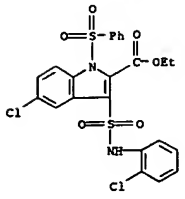
RN 660412-73-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[(4-chlorophenyl)amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



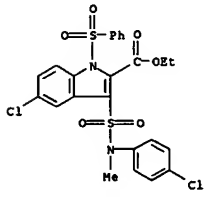
RN 660412-75-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[(3-chlorophenyl)amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



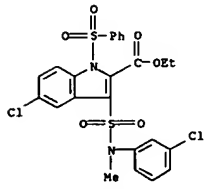
RN 660412-77-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[(2-chlorophenyl)amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



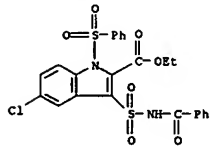
RN 660412-79-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[[(4-chlorophenyl)methylamino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



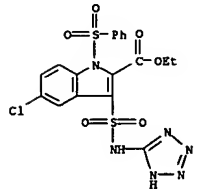
RN 660412-81-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[[(3-chlorophenyl)methylamino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



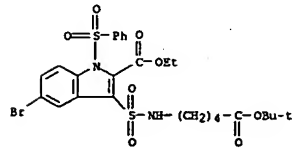
RN 660412-83-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[[(2-chlorophenyl)methylamino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



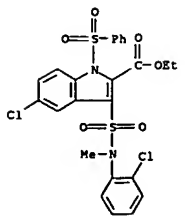
RN 660412-93-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-3-[(1H-tetrazol-5-ylamino)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



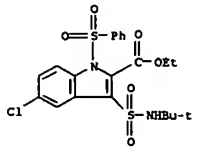
RN 660413-25-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[5-(1,1-dimethylethoxy)-5-oxopentyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



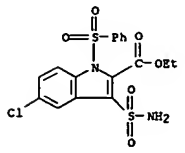
RN 660413-30-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



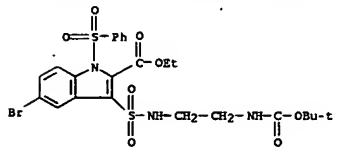
RN 660412-85-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[[(1,1-dimethylethyl)amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



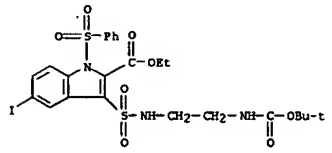
RN 660412-90-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-5-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



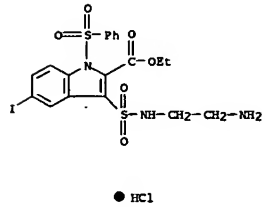
RN 660412-91-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(benzoylamino)sulfonyl]-5-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 660413-34-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]amino]sulfonyl]-5-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

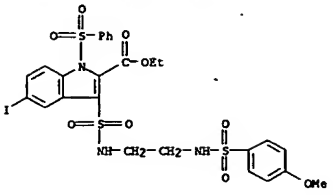


RN 660413-35-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[(2-aminoethyl)amino]sulfonyl]-5-iodo-1-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

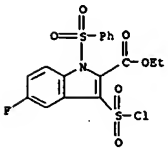


● HCl

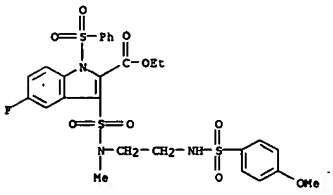
RN 660413-36-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-iodo-3-[[[2-[[(4-methoxyphenyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



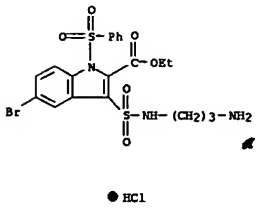
RN 660413-39-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(chlorosulfonyl)-5-fluoro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



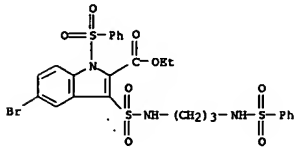
RN 660413-42-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-fluoro-3-[[[2-[[(4-methoxyphenyl)sulfonyl]amino]ethyl]methylamino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



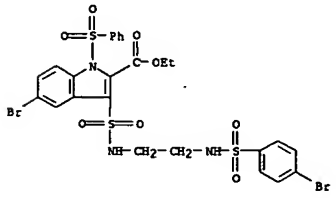
RN 660413-44-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[(4-nitrophenyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

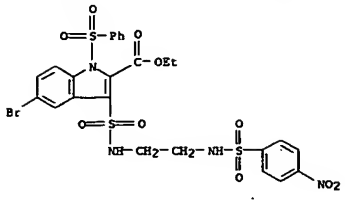


RN 660413-69-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-(phenylsulfonyl)-3-[[[3-[(phenylsulfonyl)amino]propyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

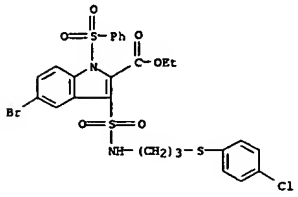


RN 660413-77-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[(4-bromophenyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

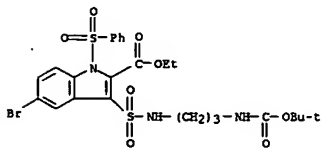




RN 660413-48-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[3-[(4-chlorophenyl)thio]propyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

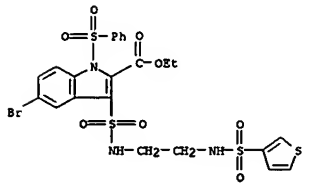


RN 660413-67-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[3-[[(1,1-dimethylethoxy)carbonyl]amino]propyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

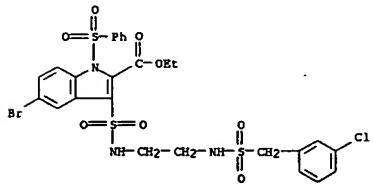


RN 660413-68-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[(3-aminopropyl)amino]sulfonyl]-5-bromo-1-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

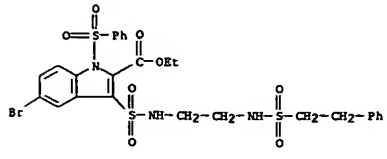
RN 660413-79-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-(phenylsulfonyl)-3-[[[2-[(3-thienylsulfonyl)amino]ethyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



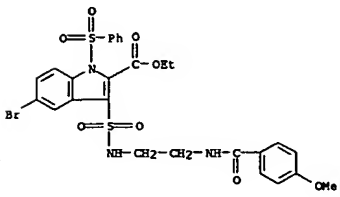
RN 660413-81-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[[(3-chlorophenyl)methyl]sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



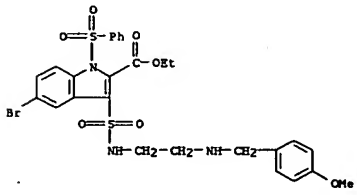
RN 660413-83-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[(2-phenylethyl)sulfonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



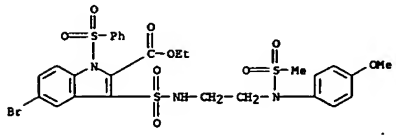
RN 660413-85-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[(4-methoxybenzoyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

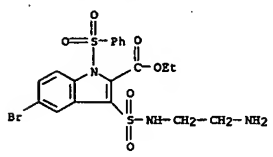


RN 660413-87-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[[(4-methoxyphenyl)methyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 660413-92-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[(4-methoxyphenyl)(methylsulfonyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

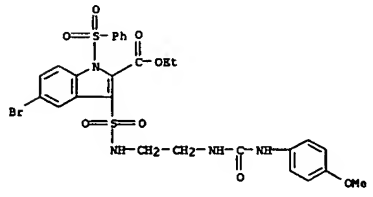




● HCl

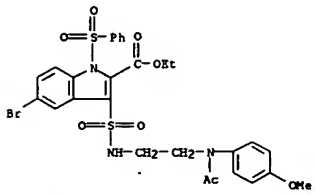
IT 660413-75-2P, Ethyl 5-bromo-3-[[[2-[[[(4-methoxyphenyl)amino]carbonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-90-1P, Ethyl 5-bromo-3-[[[2-[(4-methoxyphenyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolesulfonamides as tyrosine kinase inhibitors)

RN 660413-75-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[[(4-methoxyphenyl)amino]carbonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



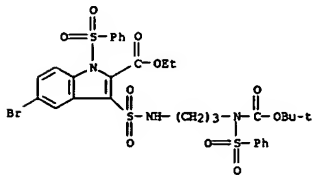
RN 660413-90-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[(4-methoxyphenyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 660413-94-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[2-[acetyl(4-methoxyphenyl)amino]ethyl]amino]sulfonyl]-5-bromo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

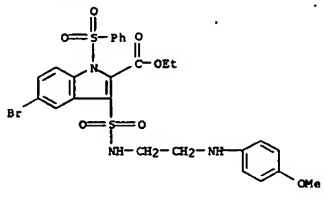


IT 660413-70-7, Ethyl 5-bromo-3-[[[3-[N-(tert-butoxycarbonyl)phenylsulfonylamino]propyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-74-1, Ethyl 3-[[(2-aminoethyl)amino]sulfonyl]-5-bromo-1-(phenylsulfonyl)-1H-indole-2-carboxylate hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indolesulfonamides as tyrosine kinase inhibitors)

RN 660413-70-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[3-[[(1,1-dimethylethoxy)carbonyl](phenylsulfonyl)amino]propyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 660413-74-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[(2-aminoethyl)amino]sulfonyl]-5-bromo-1-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

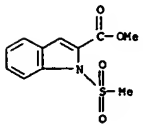
ACCESSION NUMBER: 2004:42450 CAPLUS
DOCUMENT NUMBER: 140:217469
TITLE: Development of an efficient procedure for indole ring synthesis from 2-ethynylaniline derivatives catalyzed by Cu(II) salts and its application to natural product synthesis
AUTHOR(S): Hiroya, Kou; Itoh, Shin; Sakamoto, Takao
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Tohoku University, Aoba, Sendai, 980-8578, Japan
SOURCE: Journal of Organic Chemistry (2004), 69(4), 1126-1136
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Efficient methods were developed for the synthesis of indoles catalyzed by Cu(II) salts. Cu(OAc)2 was the best catalyst for preparation of 1-p-tolylsulfonyl- or 1-methylsulfonylindoles, which have both electron-withdrawing and electron-donating groups on the aromatic ring and C-2 positions of the indoles. For primary anilines, Cu(O2CCF3)2 showed good activity, while Cu(OAc)2 was a good catalyst for the cyclization of secondary anilines. Thus, treatment of the alkynyl sulfonanilides I (R = H, Ph, Bu, HOCH2, MeO2C, Me3C; R1 = Me, 4-MeC6H4) with Cu(OAc)2 in refluxing ClCH2CH2Cl gave the N-sulfonylindoles II in 22-94% yields. This methodol. was applied to sequential cyclization reactions for compds. which have the electrophilic part in the same mol. By prior treatment with KH, sequential cyclization gave tricyclic ring systems, but it was limited to five- and six-membered rings for the second cyclization. Thus, treatment of the (tosylaminophenyl)pentynol tosylate III with KH and then with CU(OAc)2 in refluxing ClCH2CH2Cl gave 67% tetrahydrocyclopentaindole IV. Finally, a formal synthesis of hippadine using Cu(II)-promoted indole synthesis as the key step was described.

IT 442155-74-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(indole ring synthesis via cupric salt catalyzed cyclization of N-sulfonyl ethynylanilines)

RN 442155-74-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

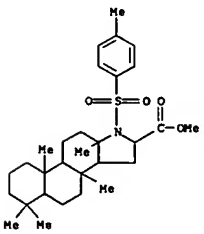
L4 ANSWER 19 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:10531 CAPLUS
DOCUMENT NUMBER: 140:198938
TITLE: Mass spectrometric studies of some novel sulfonamides
AUTHOR(S): Haskins, Charlotte M.; Haskins, Neville J.; Knight, David W.
CORPORATE SOURCE: Chemistry Department, Cardiff University, Cardiff, CF10 3TB, UK
SOURCE: Rapid Communications in Mass Spectrometry (2003), Volume Date 2004, 18(1), 44-48
CODEN: RCMSEF; ISSN: 0951-4198
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A recent paper described the overall 5-endo cyclisation of homoallylic sulfonamides to give pyrrolidines. This reaction was also used to prepare polycyclic systems. Mass spectrometric anal. using classical electron ionization spectra and accurate mass measurement played a vital role in confirming the proposed structures for the products. These materials were not amenable to newer mass spectrometric methods and this study shows the continuing importance of older techniques.

IT 503839-73-4P 503839-75-6P 663215-10-9P 663215-12-1P 663215-14-3P
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(mass spectrometry on mol. structure of sulfonamides and cyclization products and fragments)

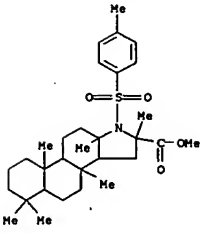
RN 503839-73-4 CAPLUS
CN 1H-Naphth[2,1-e]indole-2-carboxylic acid, hexadecahydro-3b,6,6,9a,11a-pentamethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



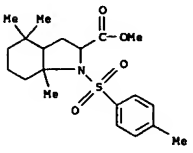
RN 503839-75-6 CAPLUS
CN 1H-Naphth[2,1-e]indole-2-carboxylic acid, hexadecahydro-2,3b,6,6,9a,11a-hexamethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

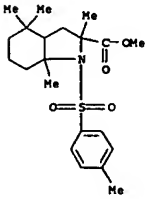
L4 ANSWER 19 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 663215-10-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-4,4,7a-trimethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

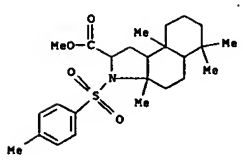


RN 663215-12-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-2,4,4,7a-tetramethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 663215-14-3 CAPLUS
CN 1H-Benz[e]indole-2-carboxylic acid, dodecahydro-3a,6,6,9a-tetramethyl-3-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

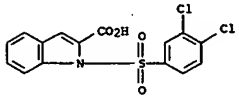
L4 ANSWER 20 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:1001977 CAPLUS
DOCUMENT NUMBER: 140:314404
TITLE: N-Benzylindole-2-carboxylic acids: potent functional antagonists of the CCR2b chemokine receptor
AUTHOR(S): Kettle, Jason G.; Faull, Alan W.; Barker, Andy J.; Davies, D. Huw; Stone, Michael A.
CORPORATE SOURCE: AstraZeneca, Macclesfield, Cheshire, SK10 4TG, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 405-408
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Screening of the corporate database led to the discovery of a novel series of N-benzylindole-2-carboxylic acid CCR2b chemokine receptor antagonists. These compds. demonstrate high affinity and functional inhibition of the CCR2b receptor. A discussion of the structure-activity relationships is presented, together with evidence for a highly selective receptor binding profile.

IT 220664-21-1P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(N-Benzylindole-2-carboxylic acid derivs. as potent functional antagonists of CCR2b chemokine receptor)

RN 220664-21-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

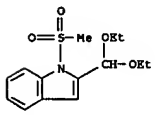
L4 ANSWER 21 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:1000504 CAPLUS
DOCUMENT NUMBER: 141:242819
TITLE: Product class 4: organometallic complexes of copper
AUTHOR(S): Heaney, H.; Christie, S.
CORPORATE SOURCE: Dept. of Chemistry, University of Loughborough, Loughborough, LE11 3TU, UK
SOURCE: Science of Synthesis (2004), 3, 305-662
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. The use of copper and related complexes in applications to organic synthesis is reviewed.

IT 116547-98-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of applications of copper and organocopper complexes to organic synthesis)

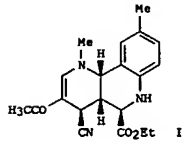
RN 116547-98-9 CAPLUS
CN 1H-Indole, 2-(diethoxymethyl)-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1706 THERE ARE 1706 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:957350 CAPLUS
DOCUMENT NUMBER: 141:140329
TITLE: Dihydropyridines in MCRs. Tandem processes leading to modular tetrahydroquinoline systems with up to 6 diversity elements
AUTHOR(S): Lavilla, Rodolfo; Carranco, Ines; Diaz, Jose Luis; Bernabeu, M. Carmen; de la Rosa, Guillermo
CORPORATE SOURCE: Parc Cientific de Barcelona, Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, Barcelona, 08028, Spain
SOURCE: Molecular Diversity (2003), 6(3-4), 171-175
CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:140329
GI



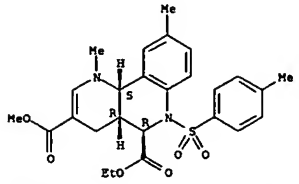
AB An efficient, modular method for the synthesis of highly substituted tetrahydroquinoline systems (e.g. I) is described. The Lewis acid catalyzed interaction of dihydropyridines with glyoxalate and anilines affords the heterocyclic parent systems in good yields. Tandem one-pot processes allow the incorporation of addnl. components: a preliminary nucleophilic attack on pyridinium salts generates the reactive dihydropyridine in situ, and subsequent electrophilic reactions on the secondary amine complete the assembly of the final targets, which have up to 6 diversity points.

IT 725256-65-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(one-pot preparation of pyridopyridines from dihydropyridines, glyoxalate and anilines)

RN 725256-65-5 CAPLUS
CN Benzo[h]-1,6-naphthyridine-3,5-dicarboxylic acid, 1,4,4a,5,6,10b-hexahydro-1,9-dimethyl-6-[(4-methylphenyl)sulfonyl]-, 5-ethyl 3-methyl ester, (4aR,5R,10bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 22 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:892751 CAPLUS
DOCUMENT NUMBER: 139:381384
TITLE: Preparation of 2,6-quinolinyl and 2,6-naphthyl(acylamino)propionic acids as VLA-4 inhibitors
INVENTOR(S): Lassoie, Marie-Agnes; Knerr, Laurent; Demaude, Thierry; De Laveleye, Francoise; Koge], Thierry; Routier, Sylvain; Guillaumet, Gerald
PATENT ASSIGNEE(S): UCB, S.A., Belg.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093237	A1	20031113	WO 2003-EP3909	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484954	AA	20031113	CA 2003-2484954	20030415
EP 1501801	A1	20050202	EP 2003-747411	20030415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009719	A	20050209	BR 2003-9719	20030415
NZ 536180	A	20050429	NZ 2003-536180	20030415
PRIORITY APPLN. INFO.:			EP 2002-9746 A	20020430
			WO 2003-EP3909 W	20030415

OTHER SOURCE(S): MARPAT 139:381384
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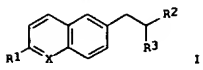


I

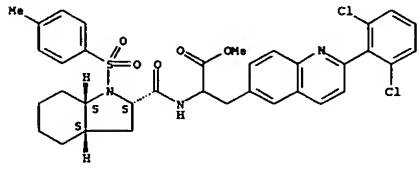
AB Title compds. I [X = N, CH; R1 = R1 = cycloalkyl, aryl, hevterocyclic, heterocyclylalkyl, substituted OH, norbornen-5-yl; R2 = (un)substituted NH2, OH, CONH2; R3 = tetrazolyl, CN, CH2OH, (un)substituted CO2H] were prepared for use in treating VLA-4 dependent inflammatory diseases such as asthma, allergic rhinitis, sinusitis, conjunctivitis, food allergy, psoriasis, urticaria, pruritus, eczema, rheumatoid arthritis, inflammatory bowel disease, multiple sclerosis and atherosclerosis (no data). Thus, 4-nitrophenylalanine was esterified, N-protected , reduced to the amine, cyclized with 2,6-Cl2C6H3CHO and CH2:CHSPh, followed by elimination of PhSH to give I [X = N, R1 = 2,6-Cl2C6H3, R2 = NHBoc, R3 = CO2Me]. This compound was deprotected and acylated with 2,6-Cl2C6H3COCl, followed by

L4 ANSWER 23 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
ester hydrolysis to give I [X = N, R1 = 2,6-Cl2C6H3, R2 = 2,6-Cl2C6H3CONH, R3 = CO2H].

IT **623144-49-0P 623145-07-3P 623145-27-7P**
623145-32-4P 623145-37-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,6-quinolinyl and 2,6-naphthyl(acylamino)propionic acids as
VLA-4 inhibitors)

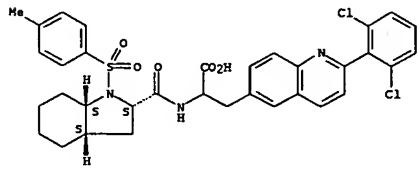
RN 623144-49-0 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2,6-dichlorophenyl)-α-[[[(2S,3aS,7aS)-octahydro-1-[(4-methylphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 623145-07-3 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2,6-dichlorophenyl)-α-[[[(2S,3aS,7aS)-octahydro-1-[(4-methylphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

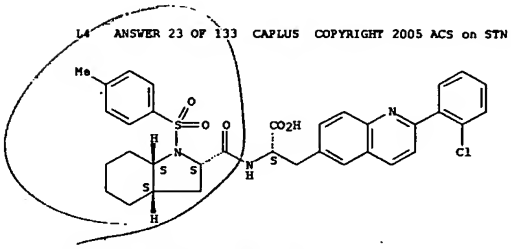
Absolute stereochemistry.



RN 623145-27-7 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2-chlorophenyl)-α-[[[(2S,3aS,7aS)-octahydro-1-[(4-methylphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

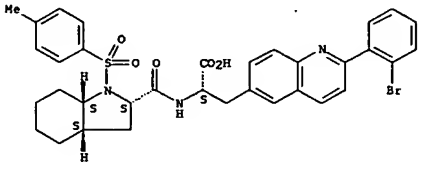
Absolute stereochemistry.

L4 ANSWER 23 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



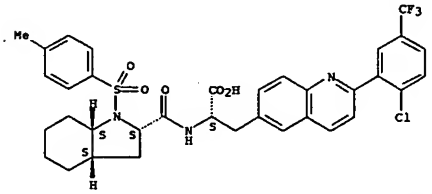
RN 623145-32-4 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2-bromophenyl)-α-[[[(2S,3aS,7aS)-octahydro-1-[(4-methylphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 623145-37-9 CAPLUS
CN 6-Quinolinepropanoic acid, 2-[2-chloro-5-(trifluoromethyl)phenyl]-α-[[[(2S,3aS,7aS)-octahydro-1-[(4-methylphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



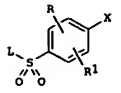
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 24 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:417720 CAPLUS
DOCUMENT NUMBER: 139:6767
TITLE: Preparation of arylsulfonyl-azetidine/pyrrolidine derivatives as agonists of peroxisome proliferator-activated receptors
INVENTOR(S): Bach, Andrew Thomas; Kapa, Prasad Koteswara; Lee, George Tien-San; Loeser, Eric M.; Sabio, Michael Lloyd; Stanton, James Lawrence; Vedananda, Thalaththani Ralalage
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043985	A1	20030530	WO 2002-EP13025	20021120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2463154	AA	20030530	CA 2002-2463154	20021120
EP 1448523	A1	20040825	EP 2002-787747	20021120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014305	A	20041026	BR 2002-14305	20021120
JP 2005511634	T2	20050428	JP 2003-545622	20021120
ZA 2004002310	A	20050105	ZA 2004-2310	20040324
US 2004248936	A1	20041209	US 2004-495992	20040614
PRIORITY APPLN. INFO.:			US 2001-331986P	P 20011121
			US 2002-396906P	P 20020718
			WO 2002-EP13025	W 20021120

OTHER SOURCE(S): MARPAT 139:6767
GI



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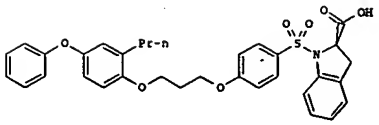


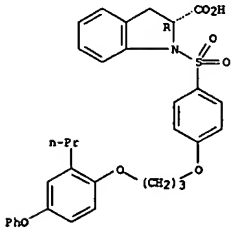
II

AB Title compds. I [L = 2,3-dihydroindolyl, azetidine, pyrrolidinyl, etc.; R, R1 = H, halo, alkyl, alkoxy, aralkyl, heteroaralkyl; X = Z-(CH2)p-Q-W; Z = bond, O, S, CO, etc.; p = 1-8; Q = bond provided that Z is not a bond when p = 1, etc.; W = cycloalkyl, aryl, heterocyclyl, etc.] are prepared For instance, (R)-2,3-dihydro-1H-indole-2-carboxylic acid is reacted with 4-benzyloxybenzenesulfonyl chloride (dioxane, NaOH), the product converted to the Me ester (MeOH, TsOH), debenzylated (EtOH, 46 psi H2-Pd/C, 18.5 h), reacted with 3-(4-phenoxy-2-propylphenoxy)propyl bromide (DMF, K2CO3) and finally saponified to give II. II had EC50 = 27 nM for peroxisome proliferator-activated receptor-α (PPARa), EC50 = 23 nM for PPARγ and EC50 = 173 nM for PPARδ. I are useful for the treatment of dyslipidemia, hyperlipidemia, hypercholesteremia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, inflammatory bowel diseases, ulcerative colitis and Crohn's disease. I are also useful as hypoglycemic agents for the treatment and prevention of conditions in which impaired glucose tolerance, hyperglycemia and insulin resistance are implicated, such as type-1 and type-2 diabetes, and Syndrome X.

IT 532957-74-7P 532957-75-8P 532957-76-9P
532957-77-0P 532957-78-1P 532957-79-2P
532957-80-5P 532957-81-6P 532957-82-7P
532957-83-8P 532957-84-9P 532957-85-0P
532957-86-1P 532957-87-2P 532957-88-3P
532957-89-4P 532957-90-7P 532957-91-8P
532957-92-9P 532957-93-0P 532957-94-1P
532957-95-2P 532957-96-3P 532957-97-4P
532957-98-5P 532957-99-6P 532958-00-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonyl-azetidine/pyrrolidine derivs. as agonists of peroxisome proliferator-activated receptors)

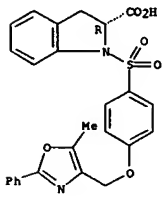
RN 532957-74-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[3-(4-phenoxy-2-propylphenoxy)propoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



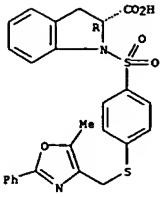
RN 532957-75-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



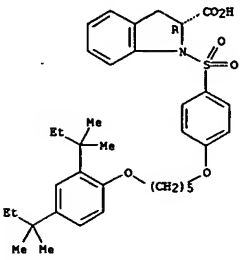
RN 532957-76-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[[(5-methyl-2-phenyl-4-oxazolyl)methyl]thio]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



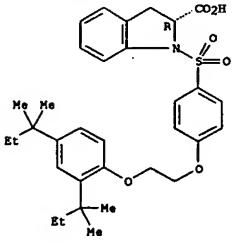
RN 532957-77-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[[5-[2,4-bis(1,1-dimethylpropyl)phenoxy]pentyl]oxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



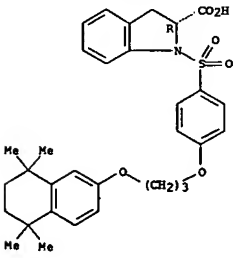
RN 532957-78-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



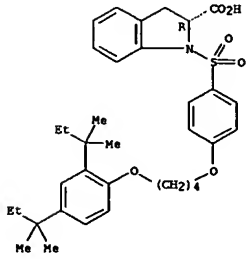
RN 532957-81-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[3-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)oxy]propoxy]phenyl]sulfonyl]-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



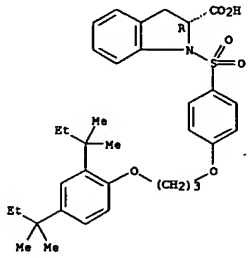
RN 532957-82-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[3-chloro-4-[3-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)oxy]propoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



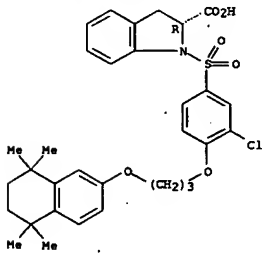
RN 532957-79-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



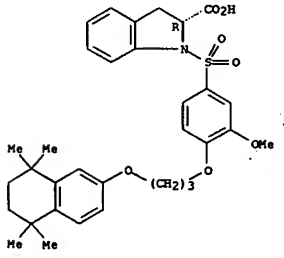
RN 532957-80-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]ethoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



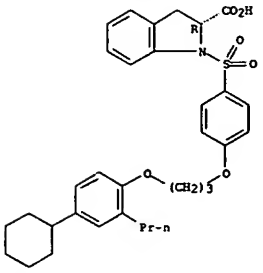
RN 532957-83-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methoxy-4-[3-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)oxy]propoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



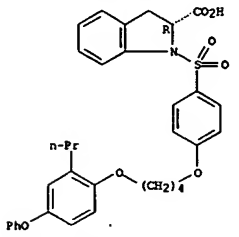
RN 532957-84-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[3-(4-cyclohexyl-2-propylphenoxy)propoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



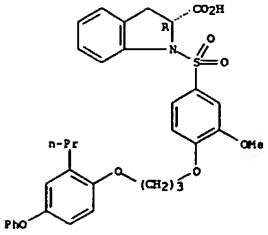
RN 532957-85-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[4-(4-phenoxy-2-propylphenoxy)butoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



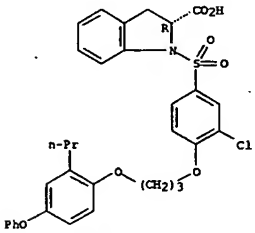
RN 532957-86-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methoxy-4-[3-(4-phenoxy-2-propylphenoxy)propoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



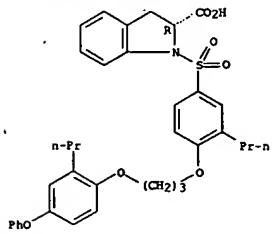
RN 532957-87-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[3-chloro-4-[3-(4-phenoxy-2-propylphenoxy)propoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



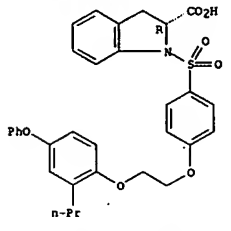
RN 532957-88-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[3-(4-phenoxy-2-propylphenoxy)propoxy]-3-propylphenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



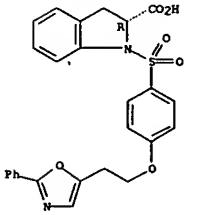
RN 532957-89-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-phenoxy-2-propylphenoxy)ethoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



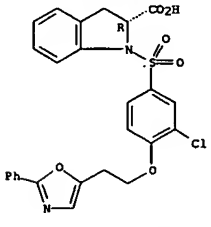
RN 532957-90-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(2-phenyl-5-oxazolyl)ethoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



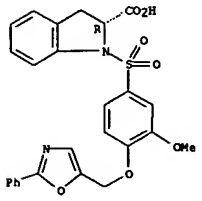
RN 532957-91-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[3-chloro-4-[2-(2-phenyl-5-oxazolyl)ethoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



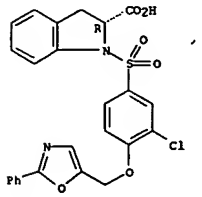
RN 532957-92-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methoxy-4-[(2-phenyl-5-oxazolyl)methoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



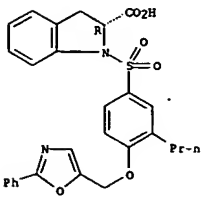
RN 532957-93-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[3-chloro-4-[(2-phenyl-5-oxazolyl)methoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



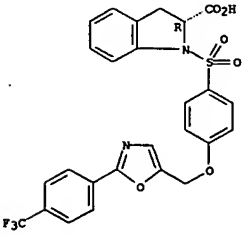
RN 532957-94-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[(2-phenyl-5-oxazolyl)methoxy]-3-propylphenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



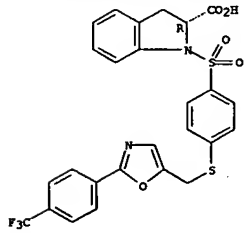
RN 532957-95-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[[2-[4-(trifluoromethyl)phenyl]-5-oxazolyl]methoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



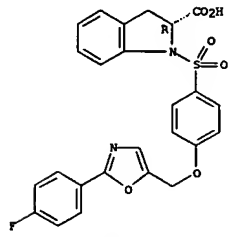
RN 532957-96-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[[[2-[4-(trifluoromethyl)phenyl]-5-oxazolyl]methyl]thio]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



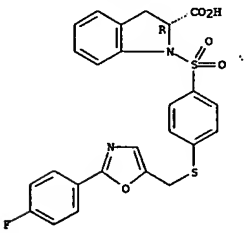
RN 532957-97-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[[2-(4-fluorophenyl)-5-oxazolyl]methoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



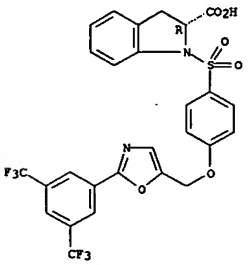
RN 532957-98-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[[[2-(4-fluorophenyl)-5-oxazolyl]methyl]thio]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



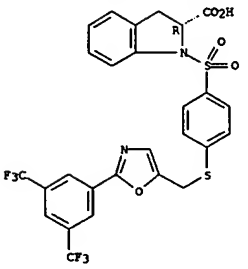
RN 532957-99-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[[2-[3,5-bis(trifluoromethyl)phenyl]-5-oxazolyl]methoxy]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 532958-00-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[[[2-[3,5-bis(trifluoromethyl)phenyl]-5-oxazolyl]methyl]thio]phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

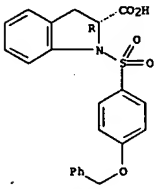
Absolute stereochemistry.



IT 532958-68-2P 532958-69-3P 532958-70-6P
532958-71-7P 532958-74-0P 532958-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonyl-azetidine/pyrrolidine derivs. as agonists of peroxisome proliferator-activated receptors)

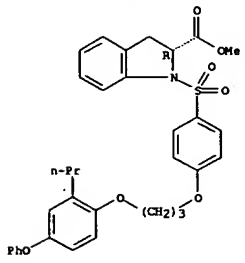
RN 532958-68-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-(phenylmethoxy)phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



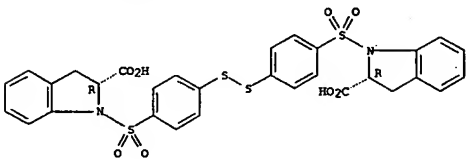
RN 532958-69-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-(phenylmethoxy)phenyl]sulfonyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



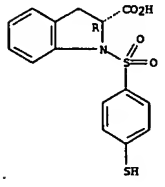
RN 532958-74-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1,1'-[dithiobis(4,1-phenylenesulfonyl)]bis[2,3-dihydro-, (2R,2'R)- (9CI) (CA INDEX NAME)

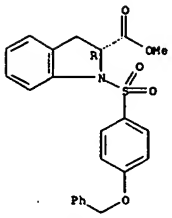
Absolute stereochemistry.



RN 532958-75-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-mercaptophenyl)sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

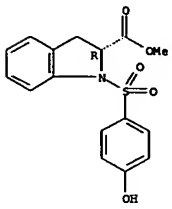
Absolute stereochemistry.





RN 532958-70-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-hydroxyphenyl)sulfonyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 532958-71-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[3-(4-phenoxy-2-propylphenoxy)propoxy]phenyl]sulfonyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:414216 CAPLUS
DOCUMENT NUMBER: 139:6766
TITLE: Preparation of indole-2-carboxamides as factor Xa inhibitors
INVENTOR(S): Nazare, Marc; Essrich, Melanie; Will, David William; Matter, Hans; Ritter, Kurt; Wehner, Volkmar
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 90 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1314733	A1	20030528	EP 2001-127809	20011122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2467374	AA	20030530	CA 2002-2467374	20021108
WO 2003044014	A1	20030530	WO 2002-EP12500	20021108
WO 2003044014	C1	20040722		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1451185	A1	20040901	EP 2002-787604	20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014396	A	20040914	BR 2002-14396	20021108
JP 2005514365	T2	20050519	JP 2003-545651	20021108
US 2003199689	A1	20031023	US 2002-301397	20021121
US 6906084	B2	20050614		
ZA 2004002945	A	20050112	ZA 2004-2945	20040419
US 2005043302	A1	20050224	US 2004-926909	20040826

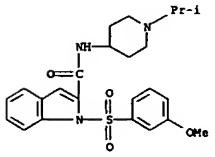
PRIORITY APPLN. INFO.:			EP 2001-127809	A 20011122
			WO 2002-EP12500	W 20021108
			US 2002-301397	A3 20021121

OTHER SOURCE(S): MARPAT 139:6766
GI

L4 ANSWER 25 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 1

CRN 534582-19-9
CMF C24 H29 N3 O4 S

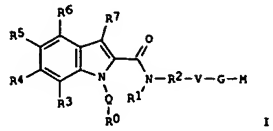


CM 2

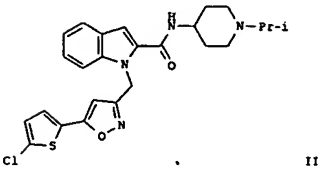
CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



II

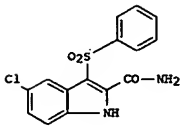
AB The title compds. [I; R0 = (un)substituted monocyclic or bicyclic 6-14 membered aryl, monocyclic or bicyclic 5-14 membered heteroaryl, etc.; Q = a bond, CO, SO2, etc.; R1 = H, alkyl; R2 = a bond, alkylene; R1 and R2 together with the N atom and V to which they are bonded form (un)substituted 5-7 membered cyclic group containing up to 1-4 heteroatoms chosen from N, S or O; V = (un)substituted 3-7 membered cyclic residue containing up to 1-4 heteroatoms chosen from N, S or O, 6-14 membered aryl, etc.; G = a bond, (CH2)m, (CH2)mO(CH2)n, etc.; n , m = 0-6; M = H, alkyl, aryl, etc.; R3-R7 = H, halo, alkyl, etc.] which exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses, were prepared Thus, amidation of 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-indole-2-carboxylic acid with 1-isopropylpiperidin-4-ylamine.HCl (prepns. given) in the presence of BOP-Cl, Et3N and DCM afforded II which showed Ki of 0.0033 μM against factor Xa. The compds. I are reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa), and can in general be applied in conditions in which an undesired activity of factor Xa and/or factor VIIa is present or for the cure or prevention of which an inhibition of factor Xa and/or factor VIIa is intended. The invention furthermore relates to processes for the preparation of compds. I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical prepns. comprising them.

IT 534582-20-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole-2-carboxamides as factor Xa inhibitors)

RN 534582-20-2 CAPLUS
CN 1H-Indole-2-carboxamide, 1-[(3-methoxyphenyl)sulfonyl]-N-[1-(1-methylethyl)-4-piperidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:347096 CAPLUS
DOCUMENT NUMBER: 139:30181
TITLE: Novel Indolyl Aryl Sulfones Active against HIV-1 Carrying NNRTI Resistance Mutations: Synthesis and SAR Studies
AUTHOR(S): Silvestri, Romano; De Le Martino, Gabriella; La Regina, Giuseppe; Artico, Marino; Massa, Silvio; Vargiu, Laura; Mura, Massimo; Loi, Anna Giulia; Marceddu, Tiziana; La Colla, Paolo
CORPORATE SOURCE: Istituto Pasteur - Fondazione Cenci Bolognetti, Dipartimento di Studi Farmaceutici, Universita di Roma "La Sapienza", Rome, I-00185, Italy
SOURCE: Journal of Medicinal Chemistry (2003), 46(12), 2482-2493
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:30181
GI

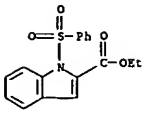


I

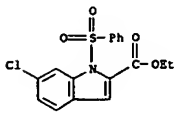
AB The potent anti-HIV-1 activities of L-737,126 (I) and PAS sulfones prompted us to design and test against HIV-1 in acutely infected MT-4 cells a number of novel 1- and 3-benzenesulfonylindoles. Indoles belonging to the 1-benzenesulfonyl series were found poorly or totally inactive. On the contrary, some of the 3-benzenesulfonyl derivs. turned out to be as potent as I, being endowed with potencies in the low nanomolar concentration range. In particular, (2-methylphenyl)sulfonyl and (3-methylphenyl)sulfonyl derivs. showed EC50 values of 1 nM. Introduction of two Me groups at positions 3 and 5 of the Ph ring of I furnished derivs. which showed very potent and selective anti-HIV-1 activity not only against the wt strain, but also against mutants carrying NNRTI-resistant mutations at positions 103 and 181 of the reverse transcriptase gene.

IT 40899-92-1P 540740-40-7P 540740-43-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and anti-HIV-1 activities of indolyl aryl sulfones)

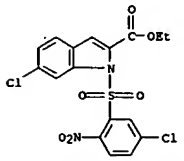
RN 40899-92-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



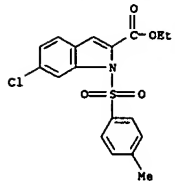
RN 540740-40-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



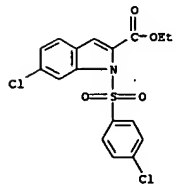
RN 540740-43-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-[(5-chloro-2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



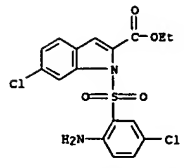
IT 173908-27-5P 173908-47-9P 540740-38-3P
540740-41-8P 540740-42-9P 540740-44-1P
540740-47-4P 540740-48-5P 540740-51-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and anti-HIV-1 activities of indolyl aryl sulfones)
RN 173908-27-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(5-chloro-2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



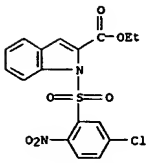
RN 540740-42-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-[(4-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



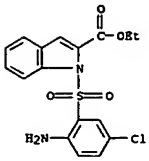
RN 540740-44-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-amino-5-chlorophenyl)sulfonyl]-6-chloro-, ethyl ester (9CI) (CA INDEX NAME)



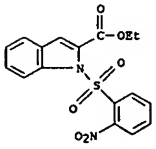
RN 540740-47-4 CAPLUS
CN 1H-Indole-2-carboxamide, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



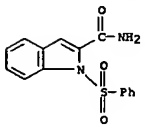
RN 173908-47-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-amino-5-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



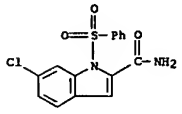
RN 540740-38-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



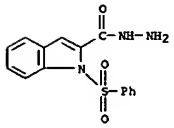
RN 540740-41-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



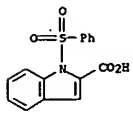
RN 540740-48-5 CAPLUS
CN 1H-Indole-2-carboxamide, 6-chloro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 540740-51-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, hydrazide (9CI) (CA INDEX NAME)

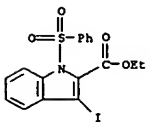


IT 40899-93-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and anti-HIV-1 activities of indolyl aryl sulfones)
RN 40899-93-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



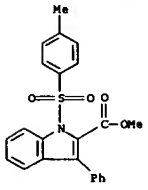
L4 ANSWER 26 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:861062 CAPLUS
DOCUMENT NUMBER: 139:197300
TITLE: Product class 13: indole and its derivatives
AUTHOR(S): Joule, J. A.
CORPORATE SOURCE: Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK
SOURCE: Science of Synthesis (2001), 10, 361-652
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review of preparation of indoles and its derivs. Covered reactions include
cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.
IT 153827-71-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(review of preparation of indoles and analogs thereof via cyclization, ring
transformation, aromatization and substituent modifications)
RN 153827-71-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

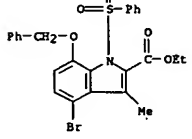


IT 36004-74-7P 582319-34-4P 582320-02-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of indoles and analogs thereof via cyclization, ring
transformation, aromatization and substituent modifications)
RN 36004-74-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester (9CI) (CA INDEX NAME)

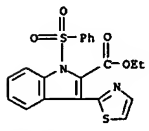
L4 ANSWER 28 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 582319-34-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-bromo-3-methyl-7-(phenylmethoxy)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

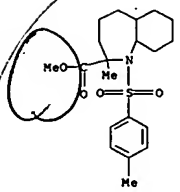


RN 582320-02-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-3-(2-thiazolyl)-, ethyl ester (9CI) (CA INDEX NAME)



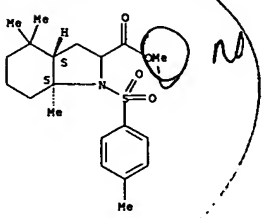
REFERENCE COUNT: 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:846395 CAPLUS
DOCUMENT NUMBER: 138:287471
TITLE: Sulfonamides as novel terminators of cationic cyclizations
AUTHOR(S): Haskins, Charlotte M.; Knight, David W.
CORPORATE SOURCE: Chemistry Department, Cardiff University, Cardiff, CF10 3TB, UK
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2002), (22), 2724-2725
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:287471
AB Trifluoromethanesulfonic (triflic) acid is an excellent catalyst for inducing overall 5-endo cyclization of homoallylic sulfonamides to give pyrrolidines. In competitive expts., pyrrolidines or homopiperidines are formed in preference to piperidines, even when the latter would be obtained by trapping a tertiary carbocation. Cationic cascades terminated by a sulfonamide group are viable for the efficient formation of polycyclic systems.
IT 503839-63-2P 503839-66-5P 503839-68-7P
503839-73-4P 503839-75-6P 504416-26-6P
504416-27-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(5-endo cyclization of homoallylic sulfonamides catalyzed by triflic acid)
RN 503839-63-2 CAPLUS
CN 1H-1-Benzazepine-2-carboxylic acid, decahydro-2-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



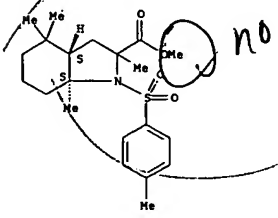
RN 503839-66-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-4,4,7a-trimethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, (3aR,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

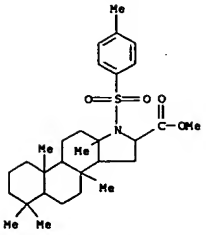


RN 503839-68-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-2,4,4,7a-tetramethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, (3aR,7aR)-rel- (9CI) (CA INDEX NAME)

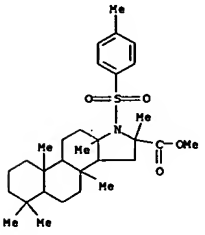
Relative stereochemistry.



RN 503839-73-4 CAPLUS
CN 1H-Naphth[2,1-e]indole-2-carboxylic acid, hexadecahydro-3b,6,6,9a,11a-pentamethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

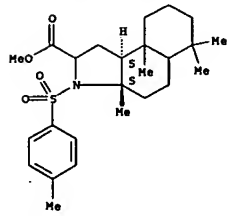


RN 503839-75-6 CAPLUS
CN 1H-Naphth[2,1-e]indole-2-carboxylic acid, hexadecahydro-2,3b,6,6,9a,11a-hexamethyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



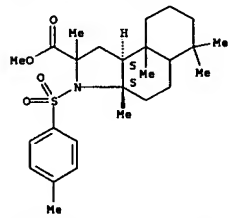
RN 504416-26-6 CAPLUS
CN 1H-Benz[e]indole-2-carboxylic acid, dodecahydro-3a,6,6,9a-tetramethyl-3-[(4-methylphenyl)sulfonyl]-, methyl ester, (3aR,9bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



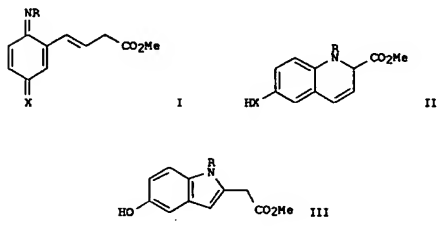
RN 504416-27-7 CAPLUS
CN 1H-Benz[e]indole-2-carboxylic acid, dodecahydro-2,3a,6,6,9a-pentamethyl-3-[(4-methylphenyl)sulfonyl]-, methyl ester, (3aR,9bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:844402 CAPLUS
DOCUMENT NUMBER: 138:106590
TITLE: Heterocycle Annulation of Enolizable Vinyl Quinone Imides. Dihydroquinolines and Quinolines from Thermal 6π-Electrocyclizations and Indoles from Photochemical Cyclizations
AUTHOR(S): Parker, Kathlyn A.; Mindt, Thomas L.
CORPORATE SOURCE: Department of Chemistry, SUNY Stony Brook, Stony Brook, NY, 11794-3400, USA
SOURCE: Organic Letters (2002), 4(24), 4265-4268
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:106590
GI

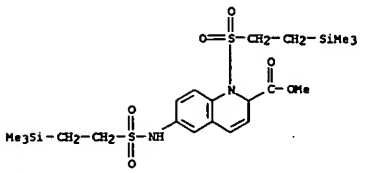


AB Enolizable vinyl quinone mono- and diimide substrates I (R = Ac, Me3SiCH2CH2SO2; X = O, NR) undergo cyclization in toluene with HMPA in the dark to provide protected 6-hydroxy and 6-amino dihydroquinolines II (R = Ac, Me3SiCH2CH2SO2; X = O, NR) in 55-71% yields. Aromatization of I (R = Ac, Me3SiCH2CH2SO2; X = O, NR) provides the corresponding quinolines upon deprotection of the dihydroquinoline nitrogens. The substrates I are prepared from bromophenylenediamines and bromoaminophenols using a Stille coupling to assemble the framework followed by deprotection (if needed) and oxidation to generate the quinone imides. When the quinone monoimides I (R = Ac, Me3SiCH2CH2SO2; X = O) are stirred in toluene with HMPA under ambient light, the hydroxyindoles III (R = Ac, Me3SiCH2CH2SO2) are obtained instead in 59-69% yields.

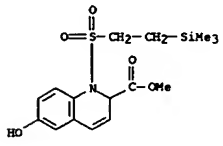
IT 487047-50-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino-substituted dihydroquinolines and quinolines by thermal cyclizations of enolizable alkenyl quinone diimides)

RN 487047-50-7 CAPLUS
CN 2-Quinolinecarboxylic acid, 1,2-dihydro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-6-[[[2-(trimethylsilyl)ethyl]sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 487047-63-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxy-substituted dihydroquinolines and quinolines by thermal cyclizations of enolizable alkenyl quinone monoimides)
RN 487047-63-2 CAPLUS
CN 2-Quinolinecarboxylic acid, 1,2-dihydro-6-hydroxy-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

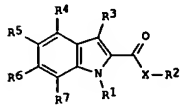


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:293620 CAPLUS
DOCUMENT NUMBER: 136:309846
TITLE: Preparation of substituted indoles as PPAR-γ binding agents
INVENTOR(S): Stolle, Andreas; Dumas, Jacques P.; Carley, William; Coish, Phillip D. G.; Magnuson, Steven R.; Wang, Yamin; Nagarathnam, Dhanapalan; Lowe, Derek B.; Su, Ning; Bullock, William H.; Campbell, Ann-Marie; Qi, Ning; Baryta, Jeremy L.; Cook, James H.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 233 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030895	A1	20020418	WO 2001-US42644	20011009
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2427499	AA	20020418	CA 2001-2427499	20011009
AU 2002011901	A5	20020422	AU 2002-11901	20011009
US 2003087902	A1	20030508	US 2001-974319	20011009
US 6787651	B2	20040907		
EP 1341761	A1	20030910	EP 2001-979996	20011009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004529855	T2	20040930	JP 2002-534281	20011009
ZA 2003002529	A	20040719	ZA 2003-2529	20030331
NO 2003001619	A	20030602	NO 2003-1619	20030409
PRIORITY APPLN. INFO.:			US 2000-239195P P	20001010
			US 2000-243665P P	20001027
			WO 2001-US42644 W	20011009

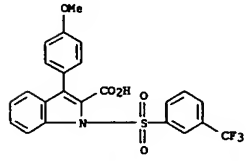
OTHER SOURCE(S): MARPAT 136:309846
GI



I

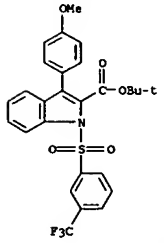
AB The title compds. [I; R1 = R8R9; R8 = alkyl, alkenyl, alkynyl, etc.; R9 = (un)substituted Ph, cycloalkyl, heterocycloalkyl, etc.; X = (un)substituted NH, S, O; R2 = H, alkyl, halo, alkyl, etc.; R3 = R12R13;

L4 ANSWER 31 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
R12 = alkyl, alkenyl, alkynyl, CO; R13 = (un)substituted cycloalkyl, cycloalkenyl, heterocycloalkyl, etc.; R4-R7 = H, OH, etc.], useful in treating or preventing PPAR-γ mediated diseases or conditions, such as osteopenia, osteoporosis, cancer, diabetes and atherosclerosis, were prepd. Thus, hydrolysis of Et 3-(cyclopropylidenemethyl)-1-[3-(trifluoromethyl)benzyl]-1H-indole-2-carboxylate (prepn. given) with NaOH in H2O/THF afforded 57% I [R1 = 3-F3CC6H4CH2; X = O; R2 = H; R3 = cyclopropylidenemethyl; R4-R7 = H] which showed IC50 of 100 pM and 9.99 nM against PPAR-γ binding.
IT 412005-79-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted indoles as PPAR-γ binding agents)
RN 412005-79-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(4-methoxyphenyl)-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

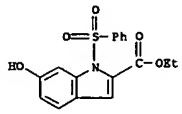


IT 411230-69-8P 412006-85-0P 412007-65-9P
412007-66-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles as PPAR-γ binding agents)
RN 411230-69-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(4-methoxyphenyl)-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

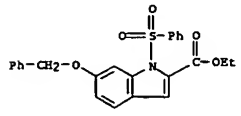
L4 ANSWER 31 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 412006-85-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-hydroxy-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

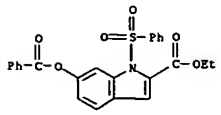


RN 412007-65-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-(phenylmethoxy)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 412007-66-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-(benzoyloxy)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

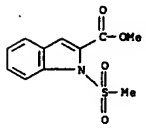
L4 ANSWER 32 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:97577 CAPLUS
DOCUMENT NUMBER: 137:93662
TITLE: Efficient construction of indole rings from 2-ethynylaniline derivatives catalyzed by copper(II) salts and its application to the tandem cyclization reactions
AUTHOR(S): Hiroya, Kou; Itoh, Shin; Ozawa, Mika; Kanamori, Yuichi; Sakamoto, Takao
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Tohoku University, Aoba-ku, Sendai, 980-8578, Japan
SOURCE: Tetrahedron Letters (2002), 43(7), 1277-1280
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:93662

AB The efficient cyclization reactions of the N-methanesulfonyl or N-ethoxycarbonyl derivs. of 2-ethynylanilines, functionalized on the benzene ring and/or the acetylene terminal into indoles catalyzed by either Cu(OTf)2 or Cu(OAc)2 are accomplished. The application of this reaction to the tandem cyclization reaction is also described.

IT 442155-74-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indoles by copper catalyzed intramol. cycloaddn. ethynylanilines)

RN 442155-74-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

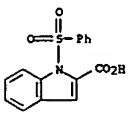
L4 ANSWER 33 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:80889 CAPLUS
DOCUMENT NUMBER: 136:272658
TITLE: Bis(1H-2-indolyl)methanones as a Novel Class of Inhibitors of the Platelet-Derived Growth Factor Receptor Kinase
AUTHOR(S): Mahboobi, Siavosh; Teller, Steffen; Pongratz, Herwig; Hufsky, Harald; Sellmer, Andreas; Botzki, Alexander; Uecker, Andrea; Beckers, Thomas; Baasner, Silke; Schaechtele, Christoph; Ueberall, Florian; Kassack, Matthias U.; Dove, Stefan; Boehmer, Frank-D.
CORPORATE SOURCE: Faculty of Chemistry and Pharmacy, Institute of Pharmacy, University of Regensburg, Regensburg, D-93040, Germany
SOURCE: Journal of Medicinal Chemistry (2002), 45(5), 1002-1018
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:272658

AB The novel lead bis(1H-2-indolyl)methanone inhibits autophosphorylation of platelet-derived growth factor (PDGF) receptor tyrosine kinase in intact cells. Various substituents in the 5- or 6-position of one indole ring increase or preserve potency, whereas most modifications of the ring structures and of the methanone group as well as substitution at both indoles result in weak or no activity. An ATP binding site model, derived by homol. from the FGFR-1 tyrosine kinase crystal structure suggesting hydrogen bonds of one indole NH and the methanone oxygen with the backbone carbonyl and amide, resp., of Cys684, explains why only one indole moiety is open for substitution and locates groups in the 5- or 6-position outside the pocket. Some of the most active derivs., inhibit both isoforms of the PDGF receptor kinase in intact cells, with IC50 of 0.1-0.3 μM, and purified PDGFβ-receptor in vitro, with IC50 of 0.09, 0.1, or 0.02 μM, resp. PDGF-stimulated DNA synthesis is inhibited by these derivs. with IC50 values of 1-3 μM. Kinetic anal. of one compound showed an ATP-competitive mode of inhibition. The compds. are inactive or weakly active toward a number of other tyrosine kinases, including the FGF receptor 1, EGF receptor, and c-Src kinase, as well as toward serine-threonine kinases, including different PKC isoforms and GRK2, and appear therefore selective for PDGF receptor inhibition.

IT 40899-93-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn and structure-activity relationship study of bis(1H-2-indolyl)methanones, a novel class of inhibitors of platelet-derived growth factor receptor kinase)

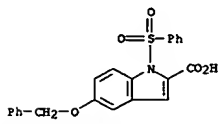
RN 40899-93-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

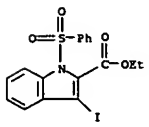
IT 405917-79-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn and structure-activity relationship study of bis(1H-2-indolyl)methanones, a novel class of inhibitors of platelet-derived growth factor receptor kinase)

RN 405917-79-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

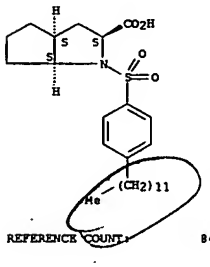
L4 ANSWER 34 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:924698 CAPLUS
DOCUMENT NUMBER: 136:232424
TITLE: Synthesis of β-carbolines from 2-acyl-1-benzenesulfonyl-3-iodo-1H-indoles
AUTHOR(S): Abbiati, Giorgio; Beccalli, Egle M.; Marchesini, Alessandro; Rossi, Elisabetta
CORPORATE SOURCE: Istituto di Chimica Organica, Facolta di Farmacia, Universita degli Studi di Milano, Milan, 20133, Italy
SOURCE: Synthesis (2001), (16), 2477-2483
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:232424
AB 2-Acyl-1-benzenesulfonyl-3-iodo-1H-indoles and 1-benzenesulfonyl-3-iodo-1H-indole-2-carbaldehyde give in satisfactory yields 1,3- and 3-substituted-β-carbolines, resp., by combined palladium-catalyzed coupling with alk-1-ynes followed by 6-endo-dig cycloamination reactions.
IT 153827-71-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of β-carbolines from 2-acyl-1-benzenesulfonyl-3-iodo-1H-indoles)
RN 153827-71-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:790491 CAPLUS
DOCUMENT NUMBER: 136:200070
TITLE: Development of dirhodium(II)-catalyzed generation and enantioselective 1,3-dipolar cycloaddition of carbonyl ylides
AUTHOR(S): Hodgson, David M.; Stupple, Paul A.; Pierard, Francoise Y. T. M.; Labande, Agnes H.; Johnstone, Craig
CORPORATE SOURCE: Dyson Perrins Laboratory, Department of Chemistry, University of Oxford, Oxford, OX1 3QY, UK
SOURCE: Chemistry--A European Journal (2001), 7(20), 4465-4476
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:200070
AB Catalytic, enantioselective, tandem carbonyl ylide formation/cycloaddn. of CH2:CH(CH2)3COCH2CH2OC(N2)CO2CMe3 with the use of dirhodium tetrakiscarboxylate and tetrakisbinaphtholphosphate catalysts gives the cycloadduct in good yields and up to 90% ee.
IT 401573-74-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dirhodium(II)-catalyzed generation and enantioselective 1,3-dipolar cycloaddn. of carbonyl ylides)
RN 401573-74-8 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1-[(4-dodecylphenyl)sulfonyl]octahydro-, (2S,3aS,6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

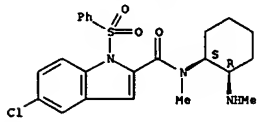
L4 ANSWER 36 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:747751 CAPLUS
DOCUMENT NUMBER: 135:303902
TITLE: Preparation of ethylenediamine and 1,2-cycloalkanediamine derivatives as inhibitors of activated blood coagulation factor X
INVENTOR(S): Yoshino, Toshiharu; Nagata, Tsutomu; Haginoya, Noriyasu; Yoshikawa, Kenji; Kanno, Hideyuki; Nagamochi, Masatoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 481 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001074774	A1	20011011	WO 2001-JP2945		20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2405144	AA	20011011	CA 2001-2405144		20010405
AU 2001046835	A5	20011015	AU 2001-46835		20010405
EP 1270557	A1	20030102	EP 2001-919784		20010405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001010052	A	20050510	BR 2001-10052		20010405
ZA 2002007331	A	20030912	ZA 2002-7331		20020912
NO 2002004766	A	20021128	NO 2002-4766		20021003
US 2004122063	A1	20040624	US 2003-240725		20030730
PRIORITY APPLN. INFO.:			JP 2000-108047	A	20000405
			WO 2001-JP2945	W	20010405

OTHER SOURCE(S): MARPAT 135:303902
AB Compds. of the general formula (1): Q1-Q2-CO-N(R1)-Q3-N(R2)-T1-Q4 [R1, R2 = H, OH, alkyl, alkoxy; Q1 = (un)substituted and (un)saturated 5- to 6-membered cyclohydrocarbyl or heterocyclyl or bi- or tricyclic condensed heterocyclyl; Q2 = bond, linear or branched alkyl C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene, N-alkyl-(un)substituted NH or NH(CH2)m, (un)substituted and (un)saturated divalent 5- to 6-membered cyclic hydrocarbon
or heterocycle or bi- or tricyclic condensed heterocycle group; Q3 = CR5R6CR7R8 (wherein R5, R6, R7, R8 = H, HO, halo, haloalkyl, cyano, cyanoalkyl, acyl, acylalkyl, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, hydroxyalkyl, CO2H, carboxyalkyl, etc.), Q (wherein Q5 = C1-8 alkylene or C2-8 alkenylene; R9 and R10 are substituted on the carbon atoms of the ring containing Q5 and represent H, OH, alkyl, alkenyl, alkynyl, halo, haloalkyl, cyano, cyanoalkyl, NH2, aminoalkyl, N-alkylaminoalkyl, etc.); Q4 = (un)substituted aryl, arylalkenyl, heteroaryl, or heteroarylalkenyl, (un)substituted and (un)saturated bi- or tricyclic condensed hydrocarbyl or condensed heterocyclyl; T1 = CO, SO2] are prepared Also claimed are drugs which contain these compds. and are efficacious for thrombosis and embolism. Thus, (±)-cis-N1 (or N2)-[(5-chloroindol-2-yl)carbonyl]-4,4-(1,2-ethylenedioxy)-1,2-cycloalkanediamine was condensed with

L4 ANSWER 36 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate in DMF at room temp. overnight to give (±)-cis-N1 (or N2)-[(5-chloroindol-2-yl)carbonyl]-4,4-(1,2-ethylenedioxy)-N2 (or N1)-[(5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]-1,2-cyclohexanediamine (II). II in vitro showed IC50 of 1.4 nM μg/mL against human FXa.
IT 365997-21-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ethylenediamine and cycloalkanediamine derivs. as inhibitors
of activated blood coagulation factor X for treatment of thrombosis and embolism)
RN 365997-21-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-methyl-N-[(1R,2S)-2-(methylamino)cyclohexyl]-1-(phenylsulfonyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



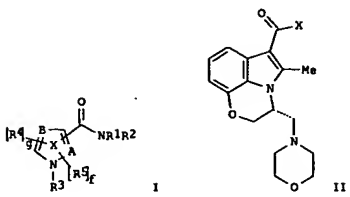
REFERENCE COUNT: 104 THERE ARE 104 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:597958 CAPLUS
DOCUMENT NUMBER: 135:166827
TITLE: Preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases
INVENTOR(S): Leftheris, Katerina; Zhao, Rulin; Chen, Bang-Chi; Kiener, Peter; Wu, Hong; Pandit, Chennagiri R.; Wrobleski, Stephen; Chen, Ping; Hynes, John, Jr.; Longphre, Malinda; Norris, Derek J.; Spergel, Steven; Tokarski, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; et al.
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058869	A2	20010816	WO 2001-US4131	20010208
WO 2001058869	A3	20020124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2399791	AA	20010816	CA 2001-2399791	20010208
AU 2001034958	A5	20010820	AU 2001-34958	20010208
EP 1254115	A2	20021106	EP 2001-907144	20010208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502642	T2	20040129	JP 2001-558420	20010208
PRIORITY APPLN. INFO.:			US 2000-181818P	P 20000211
			WO 2001-US4131	W 20010208

OTHER SOURCE(S): MARPAT 135:166827
GI

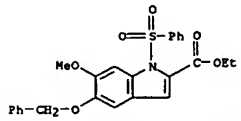


I II

AB The title compds. [I; A, B = C, N so that ring X = pyrrole, pyrazole or imidazole (wherein when A = N, the group CONR1R2 is attached to atom C-3 and R5 does not exist; and when A = C, one of CONR1R2 and R5 is attached to A and the other to atom C-3; and when B = C, two R4 groups attached to B and atom C-5, resp., form a fused 6-membered heteroaryl); f = 0-1; g = 1-2; R1, R2 = H, alkyl, heterocycloalkyl, etc.; R2 together with R1 or R5 forms a 5-6 membered heterocyclo; R3 = H, alkyl, aryl, etc.; R4 is attached to atom C-5 and optionally B and is H, alkyl, aryl, etc.; R5 is attached to A or atom C-3 and is H, alkyl, aryl, etc.; R5 together with R2 forms a heterocyclo], useful as cannabinoid receptor modulators (no data given) for treating respiratory and non-respiratory leukocyte-activation associated diseases, were prepared Thus, reacting the acid chloride II [X = Cl] (multi-step synthesis given) with 2,2,6,6-tetramethylcyclohexylamine afforded the pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamide II [X = 2,2,6,6-tetramethylcyclohexylamino].

IT 354574-29-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases)

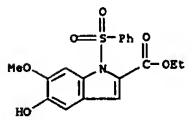
RN 354574-29-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-methoxy-5-(phenylmethoxy)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



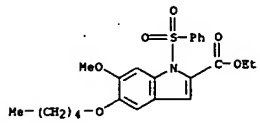
IT 354573-87-8P 354573-88-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases)

L4 ANSWER 37 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 354573-87-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-hydroxy-6-methoxy-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 354573-88-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-methoxy-5-(pentyloxy)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

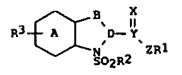


L4 ANSWER 38 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:464367 CAPLUS
DOCUMENT NUMBER: 135:61240
TITLE: Preparation of phenylsulfonylindolines as immunophilin ligands useful as antiasthmatic, antiallergic, antirheumatic, immunosuppressive, antipsoriatic and neuroprotective agents.
INVENTOR(S): Reichelt, Dietmar; Kutscher, Berhard; Szelenyi, Istvan; Poppe, Hildegard; Quinkert, Gerhard; Brune, Kay; Bang, Holger; Deppe, Holger
PATENT ASSIGNEE(S): Asta Medica A.-G., Germany
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6251932	B1	20010626	US 1998-161037	19980925
PRIORITY APPLN. INFO.:			US 1998-161037	19980925

OTHER SOURCE(S): MARPAT 135:61240
GI



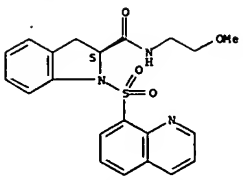
I

AB Title compds. [I; R1 = H, (substituted) alkyl, alkoxy, amino acid Me ester residue; R2 = H, (substituted) alkyl, alkoxy; R3 = H, F, OR4, Br, NHR4; R4 = H, cycloalkyl, (substituted) alkyl, carboxyalkyl; B = CH2; D = CH; BD = CH:C; X = O, S, H2; Z = S, O, NR5; R5 = H, (substituted) alkyl, alkoxy; A = without ring, nonarom., aromatic, heteroaryl, nonarom. heterocyclic ring], were prepared Thus, (2S)-1-[[(2S)-1-(4-aminophenylsulfonyl)pipecolyl]carbonyl]-N-(2-methoxyethyl)indolin-2-carboxamide (general prepn given) gave 40-60% inhibition of peptidyl prolyl isomerase activity.

IT 221900-66-9P 221900-70-5P 221900-75-0P
221900-81-8P 221901-27-5P 221901-34-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylsulfonylindolines as immunophilin ligands useful as drugs)

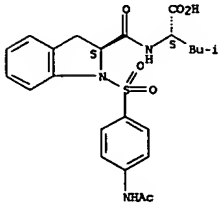
RN 221900-66-9 CAPLUS
CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-(2-methoxyethyl)-1-(8-quinolinylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



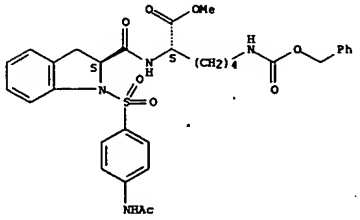
RN 221900-70-5 CAPLUS
CN L-Leucine, N-[[(2S)-1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

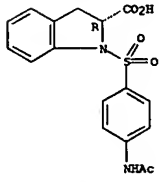
Absolute stereochemistry.



RN 221900-75-0 CAPLUS
CN L-Lysine, N2-[[(2S)-1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

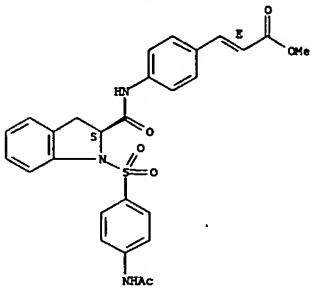




REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

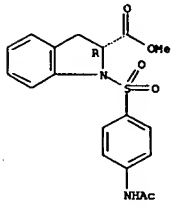
RN 221900-81-8 CAPLUS
CN 2-Propenoic acid, 3-[4-[[[(2S)-1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]amino]phenyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 221901-27-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

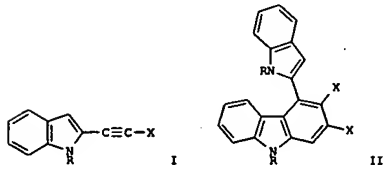
Absolute stereochemistry.



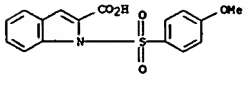
RN 221901-34-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ACCESSION NUMBER: 2001:166469 CAPLUS
DOCUMENT NUMBER: 134:295698
TITLE: Cyclodimerization of indol-2-ylacetylenes. An example of intermolecular enyne-alkyne cycloaddition
AUTHOR(S): Passarella, Daniele; Giardini, Alessandra; Martinelli, Marisa; Silvani, Alessandra
CORPORATE SOURCE: Dipartimento di Chimica Organica e Industriale, Universita degli Studi di Milano, Milan, 20133, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1 (2001), (2), 127-129
CODEN: JCSPCE; ISSN: 1472-7781
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:295698
GI



AB Cyclodimerization of indol-2-ylacetylenes I (X = CO2Me, R = CH2OCH2CH2TMS; X = SO2Ph, R = SO2C6H4OMe-4) proceeds through an enyne-alkyne cycloaddn. to give 4-(indol-2-yl)carbazoles II.
IT 334701-11-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolylcarbazole derivs. from the cyclodimerization of indolylacetylenes)
RN 334701-11-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:137020 CAPLUS
DOCUMENT NUMBER: 134:193741
TITLE: Preparation of peptide derivatives as cell adhesion inhibitors
INVENTOR(S): Lee, Wen-Cherng; Scott, Daniel; Cornebise, Mark; Petter, Russell
PATENT ASSIGNEE(S): Biogen, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012186	A1	20010222	WO 2000-US22285	20000814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2380817	AA	20010222	CA 2000-2380817	20000814
BR 2000013248	A	20020723	BR 2000-13248	20000814
EP 1265606	A1	20021218	EP 2000-959232	20000814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506491	T2	20030218	JP 2001-516532	20000814
EE 200200070	A	20030415	EE 2002-70	20000814
US 6630503	B1	20031007	US 2000-638652	20000814
NZ 517011	A	20040227	NZ 2000-517011	20000814
AU 780610	B2	20050407	AU 2000-70586	20000814
ZA 2002001158	A	20030512	ZA 2002-1158	20020211
NO 2002000725	A	20020408	NO 2002-725	20020213
BG 106510	A	20021031	BG 2002-106510	20020311
US 2004132809	A1	20040708	US 2003-677756	20031003

PRIORITY APPLN. INFO.: US 1999-148845P P 19990813
US 2000-638652 A1 20000814
WO 2000-US22285 W 20000814

OTHER SOURCE(S): MARPAT 134:193741

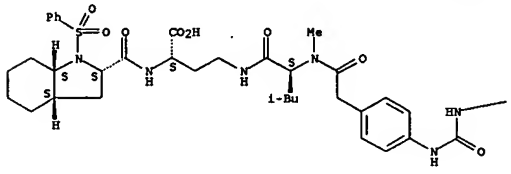
AB Cell adhesion inhibitors of the general formula R3-L-L'-R1 (R1 = H, C1-10alkyl, C2-10alkenyl or -alkynyl, cycloalkyl, cycloalkylalkyl, -alkenyl, or -alkynyl; L' and L are hydrocarbon linker moieties having 1-5 or 1-14 carbons, resp., which are optionally substituted and interrupted by, or terminally attached to, various groups; R3 = alkyl, cycloalkyl, aryl, aralkyl, aryloxy, arylamino, heterocyclyl, etc.) were prepared An inhibitor of the present invention interacts with VLA-4 mols. to inhibit VLA-4 dependent cell adhesion. Thus, N2-[N-[(3,5-dichlorophenyl)sulfonyl]-L-prolyl]-N4-[N-(o-MePUPA)-N-methyl-L-leucyl]-L-2,4-diaminobutyric acid [o-MePUPA = [4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl] was prepared via peptide coupling reactions in solution

IT **327613-16-1P 327613-17-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide derivs. as cell adhesion inhibitors)

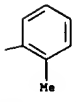
RN 327613-16-1 CAPLUS

L4 ANSWER 40 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



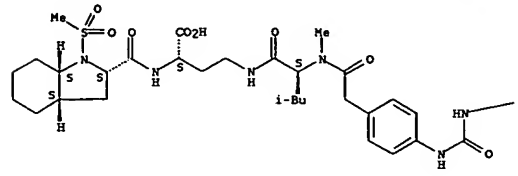
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN Butanoic acid, 4-[[(2S)-4-methyl-2-[methyl[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-1-oxopentyl]amino]-2-[[[(2S,3aS,7aS)-octahydro-1-(methylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

RN 327613-17-2 CAPLUS

CN Butanoic acid, 4-[[(2S)-4-methyl-2-[methyl[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-1-oxopentyl]amino]-2-[[[(2S,3aS,7aS)-octahydro-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 41 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:911072 CAPLUS
DOCUMENT NUMBER: 134:56566
TITLE: Preparation of 1-[[(hetero)aryl]sulfonyl]indole-2-carboxylic acid antibiotics which are inhibitors of FabH (3-ketoacyl-ACP Synthase)
INVENTOR(S): Daines, Robert A.; Sham, Kelvin C.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078309	A1	20001228	WO 2000-US17244	20000622
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1187607	A1	20020320	EP 2000-941654	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502367	T2	20030121	JP 2001-504372	20000622
US 6469046	B1	20021022	US 2001-980304	20011128

PRIORITY APPLN. INFO.: US 1999-140558P P 19990623
WO 2000-US17244 W 20000622

OTHER SOURCE(S): MARPAT 134:56566

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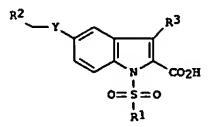


I

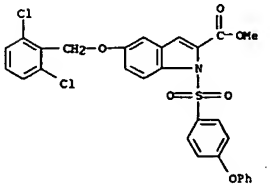
AB The title compds. [I; R1 = (un)substituted aryl, heteroaryl, alkyl, cycloalkyl, arylalkyl, etc.; R2 = (un)substituted aryl, heteroaryl; R3 = H, lower alkyl; Y = CH2, O, S, NR4; R4 = H, lower alkyl, CHO, COR1] [e.g., 5-(2,6-dichlorobenzyloxy)-1[(4-phenyl)phenylsulfonyl]indole-2-carboxylic acid], useful as antibiotics which are inhibitors of the fatty acid synthase FabH (i.e., 3-ketoacyl-ACP Synthase), are prepared

IT **313951-99-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in the preparation of 1-[[(hetero)aryl]sulfonyl]indole-2-carboxylic acid antibiotics which are inhibitors of FabH)

RN 313951-99-4 CAPLUS

L4 ANSWER 41 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

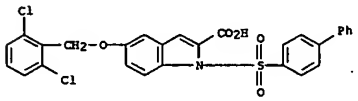
CN 1H-Indole-2-carboxylic acid, 5-[(2,6-dichlorophenyl)methoxy]-1-[(4-phenoxyphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 313951-91-6P 313951-96-1P 313951-98-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-[[(hetero)aryl]sulfonyl]indole-2-carboxylic acid antibiotics which are inhibitors of FabH)

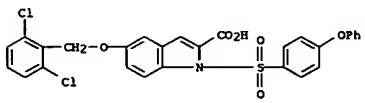
RN 313951-91-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-([1,1'-biphenyl]-4-ylsulfonyl)-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 313951-96-1 CAPLUS

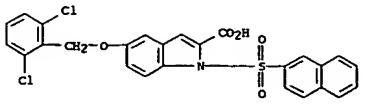
CN 1H-Indole-2-carboxylic acid, 5-[(2,6-dichlorophenyl)methoxy]-1-[(4-phenoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 313951-98-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2,6-dichlorophenyl)methoxy]-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

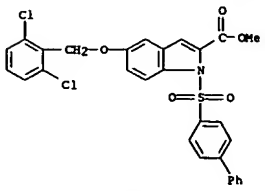
L4 ANSWER 41 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 313951-95-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-[[(hetero)aryl]sulfonyl]indole-2-carboxylic acid antibiotics which are inhibitors of FabH)

RN 313951-95-0 CAPLUS

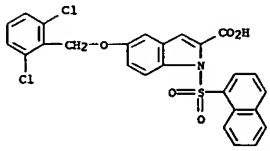
CN 1H-Indole-2-carboxylic acid, 1-([1,1'-biphenyl]-4-ylsulfonyl)-5-[(2,6-dichlorophenyl)methoxy]-, methyl ester (9CI) (CA INDEX NAME)



IT 313951-97-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(the preparation of 1-[[(hetero)aryl]sulfonyl]indole-2-carboxylic acid antibiotics which are inhibitors of FabH)

RN 313951-97-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2,6-dichlorophenyl)methoxy]-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:707160 CAPLUS

DOCUMENT NUMBER: 133:266858

TITLE: Preparation of heterocyclic sulfonamide derivatives as matrix metalloprotease inhibitors

INVENTOR(S): Watanabe, Fumihiko; Tamura, Yoshinori; Fujii, Yasuhiko

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058304	A1	20001005	WO 2000-JP1708	20000321

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-84526 A 19990326

OTHER SOURCE(S): MARPAT 133:266858

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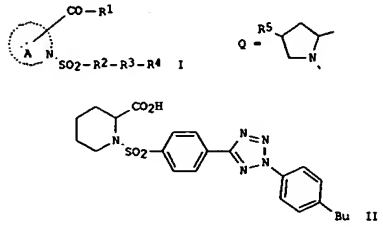
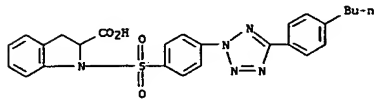


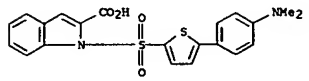
AB The title compds. I [A is a group represented by Q (wherein R5 is hydrogen or the like), or the like; R1 is hydroxyl or the like; R2 is a single bond, optionally substituted arylene, or optionally substituted heteroarylene; R3 is a single bond, C.tplbond.C, or the like; R4 is optionally substituted aryl, optionally substituted heteroaryl, or the like] are prepared The title compound II in vitro showed IC50 of 0.001 μM against against MMP-2. Formulations are given.

IT 296767-69-6P 296767-79-8P 296767-80-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

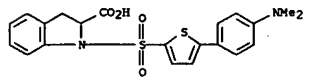
L4 ANSWER 42 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic sulfonamide derivs. as matrix metalloprotease inhibitors)
RN 296767-69-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[5-(4-butylphenyl)-2H-tetrazol-2-yl]phenyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 296767-79-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[5-[4-(dimethylamino)phenyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

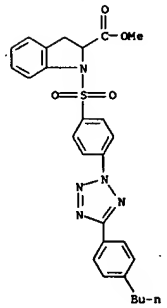


RN 296767-80-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[5-[4-(dimethylamino)phenyl]-2-thienyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



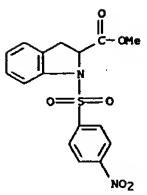
IT 296767-82-3P 296767-83-4P 296767-84-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic sulfonamide derivs. as matrix metalloprotease inhibitors)
RN 296767-82-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-nitrophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

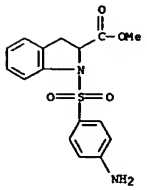


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 296767-83-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-aminophenyl)sulfonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

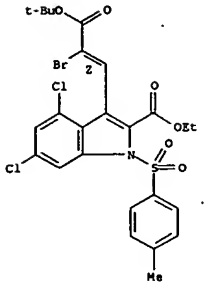


RN 296767-84-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[5-(4-butylphenyl)-2H-tetrazol-2-yl]phenyl]sulfonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

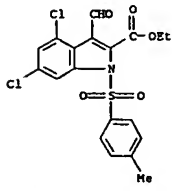
L4 ANSWER 43 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:655192 CAPLUS
DOCUMENT NUMBER: 133:351752
TITLE: Chemical Development of MDL 103371: An N-Methyl-D-Aspartate-Type Glycine Receptor Antagonist for the Treatment of Stroke
AUTHOR(S): Watson, Timothy J. N.; Horgan, Stephen W.; Shah, Ramnik S.; Farr, Robert A.; Schnettler, Richard A.; Nevill, C. Richard,Jr.; Weiberth, Franz J.; Huber, Edward W.; Baron, Bruce M.; Webster, Mark E.; Mishra, Rajesh K.; Harrison, Boyd L.; Nyce, Phillip L.; Rand, Cynthia L.; Goralski, Christian T.
CORPORATE SOURCE: Aventis Pharmaceuticals Chemical Development, Cincinnati, OH, 45215-6300, USA
SOURCE: Organic Process Research & Development (2000), 4(6), 477-487
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB MDL 103371 is a N-methyl-D-aspartate (NMDA)-type glycine receptor antagonist for the potential treatment of stroke. Evaluation of five different synthetic routes, which included Stille, Suzuki, enol ether, Knoevenagel, and the Mukaiyama coupling reactions, revealed the Knoevenagel approach superior for preparing large quantities of drug substance for evaluation. The overall process utilized some classical chemical Fischer indole cyclization, followed by a Vilsmeier-Haack formylation and a Knoevenagel condensation gave immediate access into the proper carbon framework of the target mol. A unique hydrogenation catalyst and solvent system for a nitro reduction, followed by a two step acid-base hydrolysis of a nitrile gave the crude product. Purification was accomplished by a potassium salt crystallization followed by a Schiff base formation to give MDL 103371 in nine steps in an overall yield of 38%.
IT 179106-70-8P 179106-92-4P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(N-methyl-D-aspartate-type Gglycine receptor antagonist for treatment of stroke)
RN 179106-70-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-bromo-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 43 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 179106-92-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-formyl-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

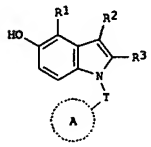


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:553553 CAPLUS
DOCUMENT NUMBER: 133:150460
TITLE: Preparation of indole derivatives as MCP-1 antagonists
INVENTOR(S): Faull, Alan Wellington; Kettle, Jason Grant
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046196	A1	20000810	WO 2000-GB265	20000131
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356898	AA	20000810	CA 2000-2356898	20000131
BR 2000007984	A	20011106	BR 2000-7984	20000131
EP 1150952	A1	20011107	EP 2000-901259	20000131
EP 1150952	B1	20041027		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102233	T2	20011221	TR 2001-200102233	20000131
EE 200100403	A	20021015	EE 2001-403	20000131
JP 2002536359	T2	20021029	JP 2000-597267	20000131
NZ 512680	A	20031128	NZ 2000-512680	20000131
AU 770856	B2	20040304	AU 2000-21213	20000131
RU 2235090	C2	20040827	RU 2001-124567	20000131
AT 280757	E	20041115	AT 2000-901259	20000131
ZA 2001005311	A	20020927	ZA 2001-5311	20010627
NO 2001003809	A	20011002	NO 2001-3809	20010803
US 6737435	B1	20040518	US 2001-889599	20011019
PRIORITY APPLN. INFO.:			GB 1999-2461 A	19990205
			WO 2000-GB265 W	20000131

OTHER SOURCE(S): MARPAT 133:150460
GI

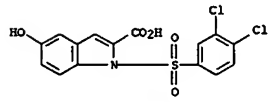


AB The title compds. [I; R1 = H, halo, OMe; R2 = H, halo, Me, Et, OMe; R3 =

L4 ANSWER 44 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CO_2H, tetrazolyl, $CONHSO_2R4$ (wherein R4 = Me, Et, Ph, 2,5-dimethylisoxazolyl, CF_3); T = CH_2, SO_2; A = 3-ClC6H4, 4-ClC6H4, 2,3-dichloropyrid-5-yl, etc.], useful in the treatment of disease mediated by monocyte chemoattractant protein-1 or RANTES (Regulated Upon Activation, Normal T-cell Expressed and Secreted), such as inflammatory disease, were prepd. and formulated. Thus, hydrolysis of Et N-(3,4-dichlorobenzyl)-5-hydroxyindole-2-carboxylate (prepn. given) afforded 89% I [R1, R2 = H; R3 = CO_2H; T = CH_2; A = 3,4-Cl2C6H3]. Compds. I tested had IC50 of ≤ 50 μM against hMCP-1 receptor binding.

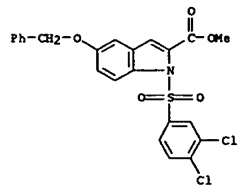
IT 287714-91-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as MCP-1 antagonists)

RN 287714-91-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]-5-hydroxy- (9CI) (CA INDEX NAME)



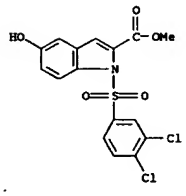
IT 287715-22-4P 287715-23-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as MCP-1 antagonists)

RN 287715-22-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]-5-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 287715-23-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]-5-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 44 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 45 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:420788 CAPLUS
DOCUMENT NUMBER: 133:58622
TITLE: Preparation of acylaminocarboxylic hydrazides as Neuropeptide Y receptor ligands
INVENTOR(S): Monge Vega, Antonio; Aldana Moraza, Ignacio; Caignard, Daniel-Henri; Duhault, Jacques; Boutin, Jean; Della Zuana, Odile
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1010691	A2	20000621	EP 1999-403191	19991217
EP 1010691	A3	20020619		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ES 2161594	A1	20011201	ES 1998-2626	19981217
ES 2161594	B1	20030401		
CA 2292246	AA	20000617	CA 1999-2292246	19991213
JP 2000178240	A2	20000627	JP 1999-352665	19991213
JP 3445204	B2	20030908		
MX 9911645	A	20000731	MX 1999-11645	19991214
NO 9906250	A	20000619	NO 1999-6250	19991216
NO 314399	B1	20030317		
BR 9907429	A	20000919	BR 1999-7429	19991216
US 6172108	B1	20010109	US 1999-464182	19991216
AU 9965289	A1	20000622	AU 1999-65289	19991217
AU 763555	B2	20030724		
ZA 9907733	A	20000629	ZA 1999-7733	19991217
CN 1260345	A	20000719	CN 1999-126182	19991217
KR 2000057067	A	20000915	KR 1999-58529	19991217
NZ 501849	A	20000929	NZ 1999-501849	19991217
US 6271247	B1	20010807	US 2000-602538	20000623
PRIORITY APPLN. INFO.:			ES 1998-2626 A	19981217
			US 1999-464182 A3	19991216

OTHER SOURCE(S): MARPAT 133:58622

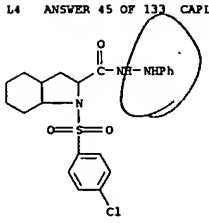
AB RZCONHNHZ1R1 [I; R = COZ2R2, CO2Z2R2, O2CZ2R2, SO0-2Z2R2; R1,R2 = (un)substituted (hetero)aryl(alkyl); Z = iminoalk(en)ylene, iminoalkylidene, iminoarylenealkylene, N-attached azacycloalkylene, etc.; Z1 = bond, CO, SO0-2; Z2 = bond, alk(en)ylene, alkynylene] were prepared Thus, PhCH2CH(NH2)CO2H was N-acylated by ClCO2CH2Ph and the product amidated by H2NNHPh to give PhCH2O2CNHCH(CH2Ph)CONHNHPh. Data for biol. activity of 1 prepared I were given.

IT **274934-90-6P 274934-91-7P 274934-92-8P 274935-27-2P 274935-28-3P 274935-29-4P 274935-30-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminocarboxylic hydrazides as Neuropeptide Y receptor ligands)

RN 274934-90-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-(phenylsulfonyl)-, 2-phenylhydrazide (9CI) (CA INDEX NAME)

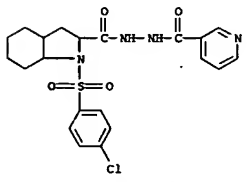
not in patent

L4 ANSWER 45 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

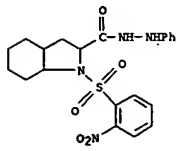


no

RN 274935-28-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-chlorophenyl)sulfonyl]octahydro-, 2-(3-pyridinylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

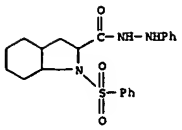


RN 274935-29-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[(2-nitrophenyl)sulfonyl]-, 2-phenylhydrazide (9CI) (CA INDEX NAME)

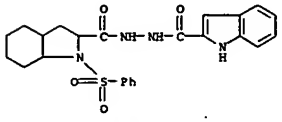


RN 274935-30-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[(2-nitrophenyl)sulfonyl]-, 2-benzoylhydrazide (9CI) (CA INDEX NAME)

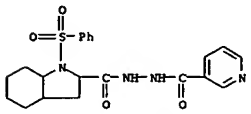
L4 ANSWER 45 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 274934-91-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-(phenylsulfonyl)-, 2-(1H-indol-2-ylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

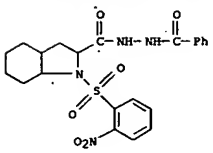


RN 274934-92-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-(phenylsulfonyl)-, 2-(3-pyridinylcarbonyl)hydrazide (9CI) (CA INDEX NAME)



RN 274935-27-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-chlorophenyl)sulfonyl]octahydro-, 2-phenylhydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 46 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:368707 CAPLUS
DOCUMENT NUMBER: 133:14318
TITLE: Detecting structural or synthetic information about chemical compounds using tags attached to supports and binding partners for detecting the tags
INVENTOR(S): Mitchison, Timothy J.
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031536	A2	20000602	WO 1999-US27803	19991123
WO 2000031536	A3	20001116		
W: CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 2002006614	A1	20020117	US 1999-448395	19991123
US 6541203	B2	20030401		

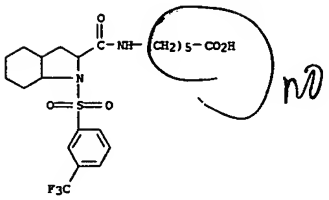
PRIORITY APPLN. INFO.: US 1998-109725P P 19981123
US 1999-448395 A 19991123

AB The present invention provides an improved system for the rapid and non-destructive identification of chemical compds. attached to solid supports. In general, the invention provides an identification unit comprising a tag attached to the solid support and a binding partner that interacts specifically and detectably with the tag. In preferred embodiments, the identification unit incorporates the advantages of chemical robust tags and a decoding technique capable of amplification for easy detection and anal. The present invention further provides a kit comprising a collection of tags capable of attachment to a support and binding partners capable of binding selectively and detectably to the tags, to generate an identification unit for the facile determination of the structure of a compound of interest by determining the reaction history and/or structural characteristics of the compds. that are encoded by the identification unit. Fourteen hapten tags were synthesized and used to immunized rabbits. Specific antibodies to the tags were purified. Tags were attached to the outside of polystyrene beads and library mols. were attached or synthesized on the inside of the beads. Tags were identified by ELISA.

IT 272110-07-3P
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); NUU (Other use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(as tags; detecting structural or synthetic information about chemical compds. using tags attached to supports and binding partners for detecting tags)

RN 272110-07-3 CAPLUS
CN Hexanoic acid, 6-[[[octahydro-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-1H-indol-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 46 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

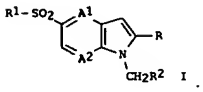


L4 ANSWER 47 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:316965 CAPLUS
DOCUMENT NUMBER: 132:334446
TITLE: Preparation of amide group-containing indoles and mono- or diazaindoles as cyclooxygenase-2 inhibitors and anti-inflammatory agents
INVENTOR(S): Matsuoka, Koji; Takahashi, Tadakatsu; Maruyama, Tensho; Ishizawa, Takenobu; Kato, Yasuharu
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000136182	A2	20000516	JP 1998-310209	19981030

PRIORITY APPLN. INFO.: JP 1998-310209 19981030
OTHER SOURCE(S): MARPAT 132:334446
GI



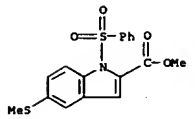
I

AB The compds. I [A1, A2 = CH, N; R = C:QNYZ, CO2R3; R1 = alkyl, amino; R2 = (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; Q = O, S, N:CN; Y, Z = H, (un)substituted alkyl, (un)substituted alkoxy, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heterocyclyl; YNZ may form (un)substituted ring (having addnl. O, N, and/or S)], their pharmacol. acceptable salts, or their hydrates are prepared Me 1-benzenesulfonyl-5-methylthio-1H-pyrrolo[2,3-b]pyridine-2-carboxylate was oxidized, treated with 4-fluorobenzyl bromide, and amidated with NMeH2 to give I (A1 = CH, A2 = N; R = CONHMe, R1 = Me, R2 4-FC6H4), which inhibited human cyclooxygenase-1 and 2 with IC50 of >20 and 0.4 μM, resp.

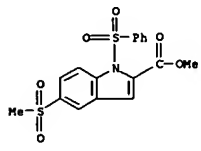
IT 251549-13-0P 251549-14-1P 251549-55-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indoles as cyclooxygenase-2 inhibitors and anti-inflammatory agents)

RN 251549-13-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-(methylthio)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

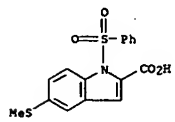
L4 ANSWER 47 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251549-14-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-(methylsulfonyl)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 251549-55-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-(methylthio)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:211163 CAPLUS
DOCUMENT NUMBER: 133:58639
TITLE: Enantioselective Formal Total Synthesis of Roseophilin
AUTHOR(S): Bamford, Samantha J.; Luker, Tim; Speckamp, W. Nico; Hiemstra, Henk
CORPORATE SOURCE: Laboratory of Organic Chemistry Institute of Molecular Chemistry, University of Amsterdam, Amsterdam, 1018 WS, Neth.
SOURCE: Organic Letters (2000), 2(8), 1157-1160
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:58639
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

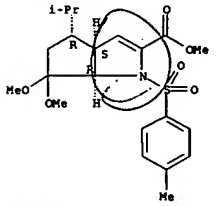
AB An enantioselective formal total synthesis of roseophilin is presented. The 13-membered ring of macrotricycle I was formed via an efficient ring-closing metathesis reaction of bicycle II. A palladium-catalyzed methoxycarbonylation reaction of enol triflate III was utilized to functionalize the right-hand ring of bicycle. The allyl substituent was introduced by a radical allylation of α-bromoketone.

IT 275364-84-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective formal total synthesis of roseophilin)

RN 275364-84-6 CAPLUS

CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1,3a,4,5,6,6a-hexahydro-6,6-dimethoxy-4-(1-methylethyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, (3aS,4R,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



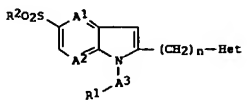
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 49 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:764033 CAPLUS
DOCUMENT NUMBER: 132:12319
TITLE: Preparation of heterocyclic indole derivatives and mono- or diazaindole derivatives as cyclooxygenase-2 (COX-2) inhibitors
INVENTOR(S): Matsuoka, Hiroharu; Kato, Nobuaki; Takahashi, Tadakatsu; Maruyama, Noriaki; Ishizawa, Takenori; Suzuki, Yukio
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

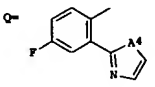
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961436	A1	19991202	WO 1999-JP2718	19990525
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9938511	A1	19991213	AU 1999-38511	19990525
EP 1086950	A1	20010328	EP 1999-921245	19990525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6673797	B1	20040106	US 2000-701188	20001127
US 2004067964	A1	20040408	US 2003-674488	20031001
US 6875770	B2	20050405		
US 2005137202	A1	20050623	US 2005-58597	20050216

PRIORITY APPLN. INFO.:
JP 1998-143957 A 19980526
JP 1998-323553 A 19981113
WO 1999-JP2718 W 19990525
US 2000-701188 A3 20001127
US 2003-674488 A3 20031001

OTHER SOURCE(S): MARPAT 132:12319
GI



I



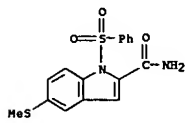
AB Indole derivs. and mono- or diazaindole derivs. represented by general formula (I; wherein Het represents an optionally substituted heterocycle; A1 and A2 independently represent each CH or N; A3 represents CH2, CO, or SO2; R1 represents 4-fluorophenyl, 5-methyl-4H-1,2,4-triazol-3-yl, 5-methylpyridin-2-yl, 4-methylpiperazin-1-yl, cyclohexyl, pyridin-2-yl, 3,4-dichlorophenyl, 2,4-difluorophenyl, or Q; wherein A4 = O, S, or NH; R2 represents linear or branched C1-3 alkyl; and n is 0, 1 or 2, provided

L4 ANSWER 49 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
that when A1 and A2 are both CH, then A3 is CH2 or SO2), pharmaceutically acceptable acid-addn. salts or base-addn. salts thereof or hydrates of the same, which have a COX-2 inhibitory activity and are useful as drugs such as anti-inflammatory agents, are prepd. Thus, 2-(2-furyl)-5-(methanesulfonyl)-1H-pyrrolo[2,3-b]pyridine (prepn. given) was stirred with NaH in DMF at 0° for 30 min and then stirred with 4-fluorobenzyl bromide for 1 h to give the title compd. (II). II showed IC50 of 0.15 and >20 μM against COX-2 and COX-1, resp.

IT 251548-74-0P 251549-13-0P 251549-14-1P
251549-55-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic indole derivs. and mono- or diazaindole derivs.
as cyclooxygenase-2 (COX-2) inhibitors and anti-inflammatory agents)

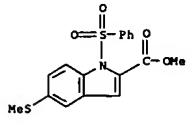
RN 251548-74-0 CAPLUS

CN 1H-Indole-2-carboxamide, 5-(methylthio)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 251549-13-0 CAPLUS

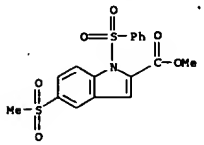
CN 1H-Indole-2-carboxylic acid, 5-(methylthio)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 251549-14-1 CAPLUS

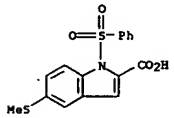
CN 1H-Indole-2-carboxylic acid, 5-(methylsulfonyl)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 49 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



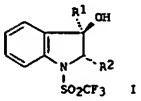
RN 251549-55-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-(methylthio)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

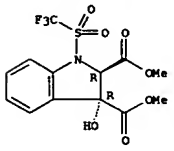
L4 ANSWER 50 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:646293 CAPLUS
DOCUMENT NUMBER: 131:336906
TITLE: Diastereoselective photocyclization to dihydroindolinols
AUTHOR(S): Seiler, Martin; Schumacher, Andreas; Lindemann, Ute; Barbosa, Frederique; Giese, Bernd
CORPORATE SOURCE: Dep. Chemistry, Univ. Basel, Basel, CH-4056, Switz.
SOURCE: Synlett (1999), (10), 1588-1590
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:336906
GI



I

AB Photocyclization of 2-R1COC6H4NTfCH2R2 (Tf = CF3SO2; R1 = CO2Me, Ph; R2 = Ph, CO2Me) leads in high yields to indolinols I. Depending upon the substituent R2 and on the solvent, either cis-products (R2 = CO2Me) or trans-products (R2 = Ph) are formed predominantly.
IT 249613-42-1P 249613-44-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure)
RN 249613-42-1 CAPLUS
CN 1H-Indole-2,3-dicarboxylic acid, 2,3-dihydro-3-hydroxy-1-[(trifluoromethyl)sulfonyl]-, dimethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

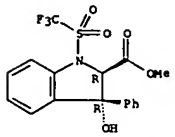
Relative stereochemistry.



RN 249613-44-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-3-phenyl-1-[(trifluoromethyl)sulfonyl]-, methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

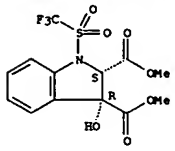
Relative stereochemistry.

L4 ANSWER 50 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



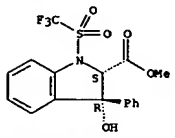
IT 249613-41-0P 249613-43-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hydroindolinols by stereoselective photocyclization of aminophenyl ketones)
RN 249613-41-0 CAPLUS
CN 1H-Indole-2,3-dicarboxylic acid, 2,3-dihydro-3-hydroxy-1-[(trifluoromethyl)sulfonyl]-, dimethyl ester, (2R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 249613-43-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-3-phenyl-1-[(trifluoromethyl)sulfonyl]-, methyl ester, (2R,3S)-rel- (9CI) (CA INDEX NAME)

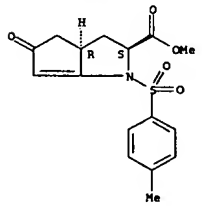
Relative stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 51 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:591009 CAPLUS
DOCUMENT NUMBER: 132:35998
TITLE: Stereospecific synthesis of chiral N-(ethynyl)allylglycines and their use in highly stereoselective intramolecular Pauson-Khand reactions
AUTHOR(S): Witulski, Bernhard; Gossmann, Matthias
CORPORATE SOURCE: Fachbereich Chemie, Universitat Kaiserslautern, Kaiserslautern, Germany
SOURCE: Chemical Communications (Cambridge) (1999), (18), 1879-1880
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:35998
AB The first synthesis of an enantiopure N-ethynylated L-allylglycine and its application in the intramol. Pauson-Khand reaction, which leads to a novel highly functionalized proline derivative with complete control of stereoselectivity, is reported.
IT 252648-34-3P 252648-39-8P 252648-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereospecific synthesis of chiral N-(ethynyl)allylglycines and their use in highly stereoselective intramol. Pauson-Khand reactions)
RN 252648-34-3 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1,2,3,3a,4,5-hexahydro-1-[(4-methylphenyl)sulfonyl]-5-oxo-, methyl ester, (2S,3aR)- (9CI) (CA INDEX NAME)

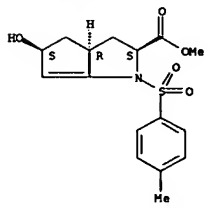
Absolute stereochemistry.



RN 252648-39-8 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1,2,3,3a,4,5-hexahydro-5-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, (2R,3aS,5R)-rel- (9CI) (CA INDEX NAME)

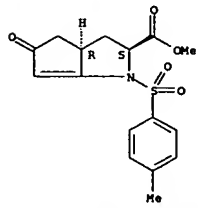
Relative stereochemistry.

L4 ANSWER 51 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



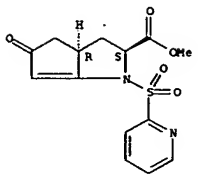
RN 252648-43-4 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1,2,3,3a,4,5-hexahydro-1-[(4-methylphenyl)sulfonyl]-5-oxo-, methyl ester, (2R,3aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



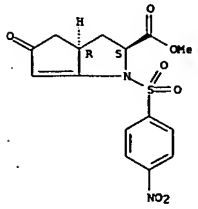
IT 252648-35-4P 252648-36-5P 252648-37-6P
252648-38-7P 252648-44-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereospecific synthesis of chiral N-(ethynyl)allylglycines and their use in highly stereoselective intramol. Pauson-Khand reactions)
RN 252648-35-4 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1,2,3,3a,4,5-hexahydro-5-oxo-1-(2-pyridinylsulfonyl)-, methyl ester, (2R,3aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



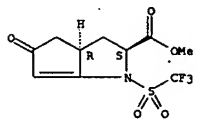
RN 252648-36-5 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1,2,3,3a,4,5-hexahydro-1-[(4-nitrophenyl)sulfonyl]-5-oxo-, methyl ester, (2R,3aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



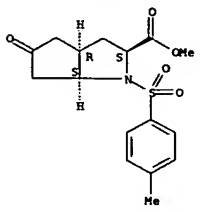
RN 252648-37-6 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, 1,2,3,3a,4,5-hexahydro-5-oxo-1-[(trifluoromethyl)sulfonyl]-, methyl ester, (2R,3aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



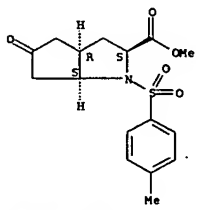
RN 252648-38-7 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-5-oxo-, methyl ester, (2S,3aR,6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252648-44-5 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-5-oxo-, methyl ester, (2R,3aS,6aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 52 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

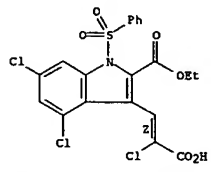
ACCESSION NUMBER: 1999:559424 CAPLUS
DOCUMENT NUMBER: 131:271786
TITLE: Substituted indole-2-carboxylates as potent antagonists of the glycine binding site associated with the NMDA receptor
AUTHOR(S): Micheli, Fabrizio; Di Fabio, Romano; Baraldi, Davide; Conti, Nadia; Cugola, Alfredo; Gastaldi, Paola; Giacobbe, Simone; Marchioro, Carla; Mugnaini, Manolo; Rossi, Luciana; Pecunioso, Angelo; Pentassuglia, Giorgio
CORPORATE SOURCE: Medicines Research Center, Glaxo Wellcome S.p.A., Verona, I-37100, Italy
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1999), 332(8), 271-278
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:271786

AB A novel series of indole-2-carboxylate analogs of GV150526 in which the propenoic double bond was substituted with different "probes" or replaced by a isosteric cyclopropyl moiety were synthesized and evaluated for their affinity profile to obtain further information on the pharmacophoric model of the glycine binding site associated to the NMDA receptor.

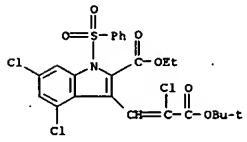
IT **159054-22-5P 245510-46-7P 245510-47-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolecarboxylate analogs of GV150526 as NMDA antagonists for glycine binding site)

RN 159054-22-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-carboxy-2-chloroethenyl]-4,6-dichloro-1-(phenylsulfonyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

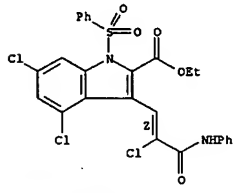


RN 245510-46-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 245510-47-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1Z)-2-chloro-3-oxo-3-(phenylamino)-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



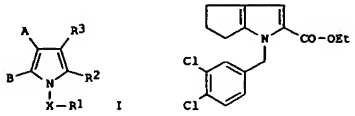
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 53 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:529020 CAPLUS
DOCUMENT NUMBER: 131:170264
TITLE: Preparation of cyclopenta[b]pyrrole, tetrahydroindole, and cyclohepta[b]pyrrole derivatives as MCP-1 inhibitors for use as antiinflammatory agents and immunomodulators
INVENTOR(S): Barker, Andrew John; Kettle, Jason Grant; Faull, Alan Wellington
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940913	A1	19990819	WO 1999-GB332	19990202
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317456	AA	19990819	CA 1999-2317456	19990202
AU 9924327	A1	19990830	AU 1999-24327	19990202
AU 745772	B2	20020328		
BR 9907962	A	20001024	BR 1999-7962	19990202
EP 1054667	A1	20001129	EP 1999-903807	19990202
EP 1054667	B1	20030416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002502873	T2	20020129	JP 2000-531165	19990202
NZ 505586	A	20021126	NZ 1999-505586	19990202
AT 237327	E	20030515	AT 1999-903807	19990202
US 6291507	B1	20010918	US 2000-626241	20000726
NO 2000004090	A	20001016	NO 2000-4090	20000816

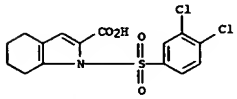
PRIORITY APPLN. INFO.: GB 1998-3226 A 19980217
WO 1999-GB332 W 19990202
OTHER SOURCE(S): MARPAT 131:170264
GI



I II

AB Pharmaceutical compns. (I) [where A and B = an (un)substituted alkylene chain forming a ring; X = CH2 or SO2; R1 = an (un)substituted aryl or heteroaryl ring; R2 = CO2H, CN, C(O)CH2OH, (un)substituted amide or

L4 ANSWER 53 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

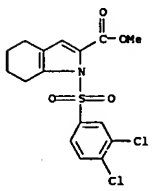


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 53 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

sulfamide, tetrazol-5-yl, SO3H, or (un)substituted isoxazolylsulfamidocarbonyl; R3 = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, hetercyclyl, alkoxy, arylalkyl, or arylalkoxy], or their pharmaceutically acceptable salts, esters, or amides, were prepd. as monocyte chemoattractant protein-1 inhibitors for use as antiinflammatory agents and immunomodulators. Thus, sodium hydride was added to Et cyclopenta[b]pyrrole-2-carboxylate followed by addn. of 3,4-dichlorobenzyl bromide to form Et 4-(3,4-dichlorobenzyl)-1,4,5,6-tetrahydrocyclopenta[b]pyrrole-2-carboxylate (II) in 83% yield. Compds. of the invention were tested for hMCP-1 receptor binding and displayed IC50 values of < 5μM. Compds. of the invention were also tested for MCP-1 mediated calcium flux in THP-1 cells and assayed for hMCP-1 mediated chemotaxis and RANTES inhibition (no data). No physiol. unacceptable toxicity was obsd. at the ED for tested compds. of the invention.

IT 238745-52-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of bicyclic aromatic pyrrole derivs. as MCP-1 inhibitors for use
as antiinflammatory agents and immunomodulators)
RN 238745-52-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]-4,5,6,7-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



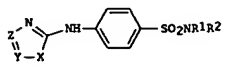
IT 238745-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic aromatic pyrrole derivs. as MCP-1 inhibitors for use
as antiinflammatory agents and immunomodulators)
RN 238745-53-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 54 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:421670 CAPLUS
DOCUMENT NUMBER: 131:58649
TITLE: Preparation of substituted benzenesulfonamide derivatives as antagonists of the neuropeptide NPY receptor subtype Y5
INVENTOR(S): Buehlmayer, Peter
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932466	A1	19990701	WO 1998-EP8333	19981218
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9924152	A1	19990712	AU 1999-24152	19981218
ZA 9811705	A	19990907	ZA 1998-11705	19981221

PRIORITY APPLN. INFO.: DE 1997-19757248 A 19971222
WO 1998-EP8333 W 19981218
OTHER SOURCE(S): MARPAT 131:58649
GI



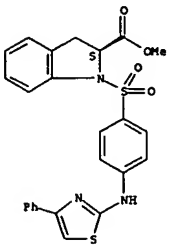
I

AB The title compds. I [X = S, NH; Y and Z are each CH; or X is NH and one of variables Y and Z is N and the other is CH; R1, R2 = H, C1-C7alkyl, C1-C7alkyl substituted by hydroxy, halo, C1-C7alkoxy, carboxy, C1-C7alkoxycarbonyl, carbamoyl, C1-C7alkylcarbamoyl, di-C1-C7alkylcarbamoyl, C3-C8cycloalkyl or by C3-C8cycloalkyl which is substituted by C1-C7alkoxy-carbonyl, or represent C2-C7 alkanoyl; at least one of R1 and R2 is different from hydrogen; or the group NR1R2 is linear C2-C6alkyleneamino that is unsubstituted or substituted], antagonists of the neuropeptide NPY receptor subtype Y5, were prepared E.g., N-methyl-4-(4-phenylthiazol-2-ylamino)benzenesulfonamide was prepared

IT 227931-31-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenesulfonamides as antagonists of the neuropeptide NPY
receptor subtype Y5)
RN 227931-31-9 CAPLUS

L4 ANSWER 54 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[(4-phenyl-2-thiazolyl)amino]phenyl]sulfonyl]-, methyl ester, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



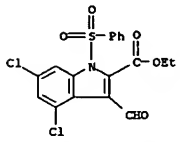
● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 55 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:394866 CAPLUS
DOCUMENT NUMBER: 131:157692
TITLE: New synthesis of substituted 2-carboxyindole derivatives. Versatile introduction of a carbamoylethynyl moiety at the C(3) position
AUTHOR(S): Hewkin, Cheryl T.; Di Fabio, Romano; Conti, Nadia; Cugola, Alfredo; Gastaldi, Paola; Micheli, Fabrizio; Quaglia, Anna M.
CORPORATE SOURCE: Medicines Research Center, Glaxo Wellcome S.p.A., Verona, I-37135, Italy
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1999), 332(2), 55-58
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:157692

AB A series of 3-(carbamoylethynyl)-2-indolecarboxylates, antagonists acting at the strychnine-insensitive glycine-binding site associated with the NMDA receptor, was synthesized. This versatile approach involves the introduction of a ClCH:CH moiety in position C(3) with subsequent derivatization of the terminal carboxyl group, followed by an unusual elimination of HCl to afford the ethynyl functionality. This series of glycine antagonists was evaluated in terms of in-vitro affinity at the glycine-binding site and the most potent compound was tested in vivo in the NMDA-induced convulsions model in mice.

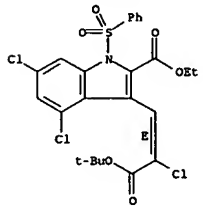
IT 159054-16-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indolecarboxylates as glycine antagonists)
RN 159054-16-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-formyl-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT 159054-19-0P 159054-20-3P 159054-21-4P
159054-22-5P 159054-25-8P 237763-90-5P
237763-91-6P 237763-92-7P 237763-93-8P
237763-94-9P 237763-95-0P 237763-96-1P
237763-97-2P 237763-98-3P 237763-99-4P
237764-00-0P 237764-01-1P 237764-02-2P
237764-03-3P 237764-04-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolecarboxylates as glycine antagonists)
RN 159054-19-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-2-chloro-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

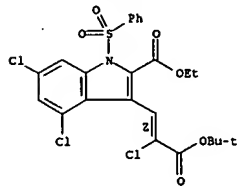
L4 ANSWER 55 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Double bond geometry as shown.



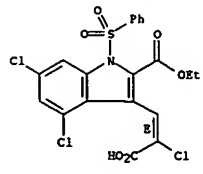
RN 159054-20-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1Z)-2-chloro-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 159054-21-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-chloroethenyl]-4,6-dichloro-1-(phenylsulfonyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

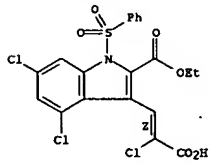
Double bond geometry as shown.



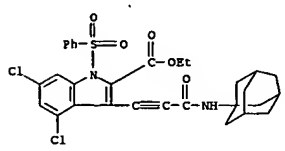
L4 ANSWER 55 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 159054-22-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-carboxy-2-chloroethenyl]-4,6-dichloro-1-(phenylsulfonyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

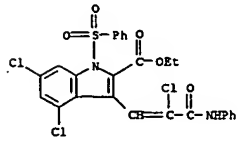
Double bond geometry as shown.



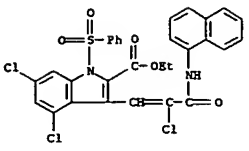
RN 159054-25-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-(tricyclo[3.3.1.13,7]dec-1-ylamino)-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



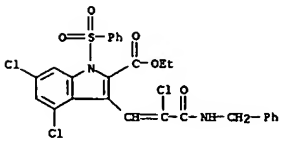
RN 237763-90-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-oxo-3-(phenylamino)-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



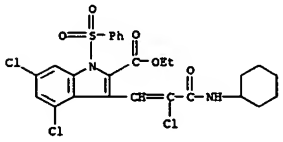
RN 237763-91-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-(1-naphthalenylamino)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 237763-92-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-oxo-3-[(phenylmethyl)amino]-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

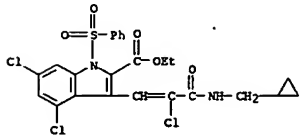


RN 237763-93-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-(cyclohexylamino)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

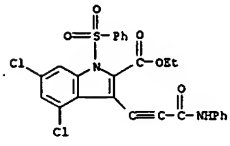


RN 237763-94-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-[(1R,2S,4S)-bicyclo[2.2.1]hept-2-ylamino]-2-chloro-3-oxo-1-propenyl]-4,6-dichloro-1-(phenylsulfonyl)-, ethyl ester, rel- (9CI) (CA INDEX NAME)

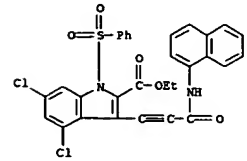
Relative stereochemistry.
Double bond geometry unknown.



RN 237763-97-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-[(cyclopropylmethyl)amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

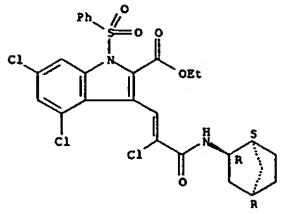


RN 237763-98-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-(phenylamino)-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

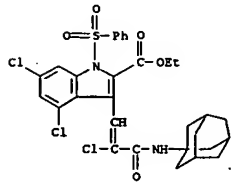


RN 237763-99-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(1-naphthalenylamino)-3-oxo-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

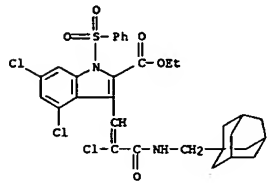
RN 237764-00-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[(phenylmethyl)amino]-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

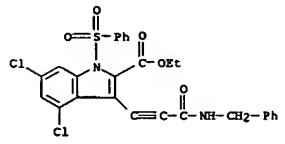


RN 237763-95-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-oxo-3-(tricyclo[3.3.1.13,7]dec-1-ylamino)-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

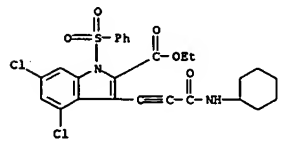


RN 237763-96-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-oxo-3-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



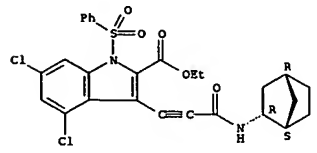


RN 237764-01-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(cyclohexylamino)-3-oxo-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



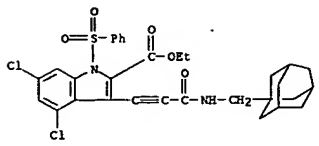
RN 237764-02-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-[(1R,2S,4S)-bicyclo[2.2.1]hept-2-ylamino]-3-oxo-1-propynyl]-4,6-dichloro-1-(phenylsulfonyl)-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

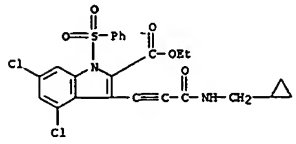


RN 237764-03-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 237764-04-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[(cyclopropylmethyl)amino]-3-oxo-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



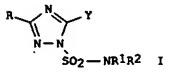
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 56 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:297416 CAPLUS
DOCUMENT NUMBER: 130:292818
TITLE: Sulfamoyl compounds useful as agricultural or horticultural fungicides
INVENTOR(S): Takeyama, Toshiaki; Hamada, Toshimasa; Takahashi, Hiroaki; Watanabe, Junichi; Yamagishi, Kazuhiro; Nishioka, Masanori; Suzuki, Hiroyuki
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921851	A1	19990506	WO 1998-JP4808	19981023
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309051	AA	19990506	CA 1998-2309051	19981023
AU 9896470	A1	19990517	AU 1998-96470	19981023
AU 755846	B2	20021219		
EP 1031571	A1	20000830	EP 1998-950362	19981023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9815211	A	20001017	BR 1998-15211	19981023
US 6350748	B1	20020226	US 2000-529817	20000602
US 2002103243	A1	20020801	US 2001-964357	20010928
US 6620812	B2	20030916		
US 2004143116	A1	20040722	US 2003-614871	20030709
PRIORITY APPLN. INFO.:			JP 1997-292399	A 19971024
			WO 1998-JP4808	W 19981023
			US 2000-529817	A3 20000602
			US 2001-964357	A3 20010928

OTHER SOURCE(S): MARPAT 130:292818
GI

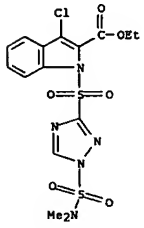


AB Novel sulfamoyl compds. (I, where R is SO2A or COB; R1 and R2 each independently is C1-4 alkyl, or R1 and R2 in combination represent C4-6 alkylene or C4-6 alkyleneoxy; Y is H, halo, C1-8 alkyl, C1-8 alkoxy, C1-8 alkylthio, C1-8 haloalkyl, C1-8 haloalkoxy, or C1-8 haloalkylthio; A is a given heterocyclic group; B is a given heterocyclic group which is the same as or different from A) (preparative and formulation examples given) are useful as an agricultural or horticultural fungicides. Thus,

L4 ANSWER 56 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1-(N,N-dimethylsulfamoyl)-3-(2-methyl-3-chloroindol-1-yl)sulfonyl-1,2,4-triazole at 500 ppm gave 100% control of Pseudoperonospora cubensis in a pot expt. with cucumber.

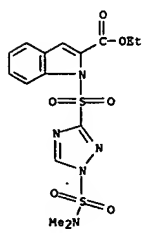
IT 223455-08-1
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)
(fungicide for agriculture or horticulture)

RN 223455-08-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-chloro-1-[[1-[(dimethylamino)sulfonyl]-1H-1,2,4-triazol-3-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



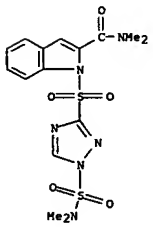
IT 223456-85-7 223456-87-9 223456-92-6
RL: AGR (Agricultural use); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)
(fungicide for agriculture or horticulture)

RN 223456-85-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[1-[(dimethylamino)sulfonyl]-1H-1,2,4-triazol-3-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

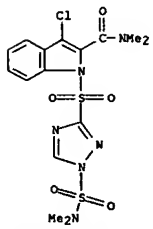


RN 223456-87-9 CAPLUS
CN 1H-Indole-2-carboxamide, 1-[[1-[(dimethylamino)sulfonyl]-1H-1,2,4-triazol-

L4 ANSWER 56 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-yl]sulfonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 223456-92-6 CAPLUS
CN 1H-Indole-2-carboxamide, 3-chloro-1-[[1-[(dimethylamino)sulfonyl]-1H-1,2,4-triazol-3-yl]sulfonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



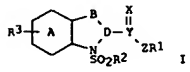
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 57 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:222915 CAPLUS
DOCUMENT NUMBER: 130:267342
TITLE: Preparation of phenylsulfonylindolines as immunophilin ligands useful as antiasthmatic, antiallergic, antirheumatic, immunosuppressive, antipsoriatic and neuroprotective agents.
INVENTOR(S): Reichert, Dietmar; Kutscher, Bernhard; Szelenyi, Stefan; Poppe, Hildegard; Quinkert, Gerhard; Brune, Kay; Bang, Holger; Deppe, Holger
PATENT ASSIGNEE(S): Asta Medica Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915501	A1	19990401	WO 1998-EP5300	19980820
W: AU, BR, CA, HU, IL, JP, KR, MX, NO, NZ, RU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19742263	A1	19990401	DE 1997-19742263	19970925
CA 2304451	AA	19990401	CA 1998-2304451	19980820
AU 9893450	A1	19990412	AU 1998-93450	19980820
EP 1017673	A1	20000712	EP 1998-946392	19980820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813226	A	20000829	BR 1998-13226	19980820
JP 2001517653	T2	20011009	JP 2000-512810	19980820
ZA 9807819	A	19990407	ZA 1998-7819	19980827
MX 9912020	A	20000430	MX 1999-12020	19991217
NO 2000001510	A	20000522	NO 2000-1510	20000323
PRIORITY APPLN. INFO.:			DE 1997-19742263 A	19970925
			WO 1998-EP5300 W	19980820

OTHER SOURCE(S): MARPAT 130:267342
GI



I

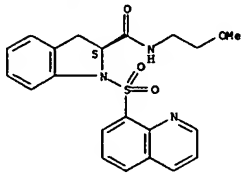
AB Title compds. [I; R1 = H, (substituted) alkyl, alkoxy, amino acid Me ester residue; R2 = H, (substituted) alkyl, alkoxy; R3 = H, F, OR4, Br, NHR4; R4 = H, cycloalkyl, (substituted) alkyl, carboxyalkyl; B = CH2; D = CH; BD = CH:C; X = O, S, H2; Z = S, O, NR5; R5 = H, (substituted) alkyl, alkoxy; A = without ring, nonarom., aromatic, heteroaryl, nonarom. heterocyclic ring], were prepared Thus, (2S)-1-[[(2S)-1-(4-aminophenylsulfonyl)pipecolyl]carbonyl]-N-(2-methoxyethyl)indolin-2-carboxamide (general prepn given) gave 40-60% inhibition of peptidyl prolyl isomerase activity.

IT **221900-66-9P 221900-70-5P 221900-75-0P**
221900-81-8P 221901-27-5P 221901-34-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 57 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylsulfonylindolines as immunophilin ligands useful as drugs)

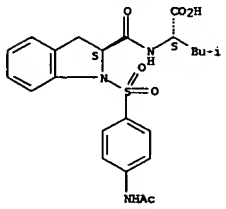
RN 221900-66-9 CAPLUS
CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-(2-methoxyethyl)-1-(8-quinolinylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221900-70-5 CAPLUS
CN L-Leucine, N-[[(2S)-1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

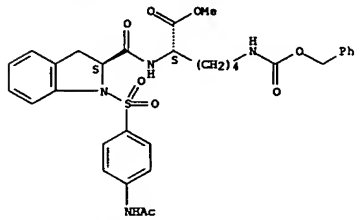
Absolute stereochemistry.



RN 221900-75-0 CAPLUS
CN L-Lysine, N2-[[(2S)-1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

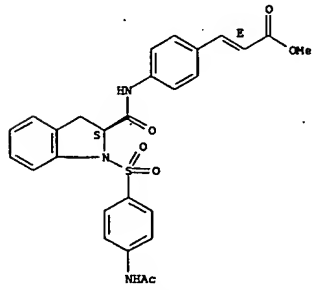
Absolute stereochemistry.

L4 ANSWER 57 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 221900-81-8 CAPLUS
CN 2-Propenoic acid, 3-[4-[[[(2S)-1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]amino]phenyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

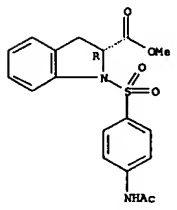
Absolute stereochemistry.
Double bond geometry as shown.



RN 221901-27-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

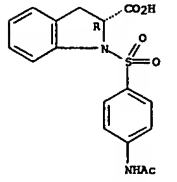
Absolute stereochemistry.

L4 ANSWER 57 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



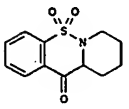
RN 221901-34-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-(acetylamino)phenyl]sulfonyl]-2,3-dihydro-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 58 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:187470 CAPLUS
DOCUMENT NUMBER: 130:311751
TITLE: Synthesis of tricyclic tetrahydro 1,2-benzothiazinones via Friedel-Craft anionic equivalents
AUTHOR(S): Familoni, O. B.
CORPORATE SOURCE: Department of Chemistry, University of Lagos, Lagos, Nigeria
SOURCE: Journal of Pharmaceutical Research and Development (1998), 3(1), 21-29
CODEN: JPROFX; ISSN: 1118-1028
PUBLISHER: National Institute for Pharmaceutical Research and Development
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:311751
GI

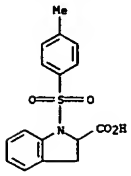


I

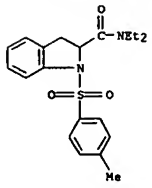
AB N-Benzenesulfonyl pyrrolidine-2-carboxamide, N-benzenesulfonyl piperidine-2-carboxamide and its substituted analogs were made to undergo Friedel-Craft Anionic Equivalent (FCAE) in lithium diisopropyl amide (LDA). Unsubstituted analogs gave the tricyclic benzothiazinones, e.g., I, in fair yields, while substituted analogs could not give the target compds. This type of reaction is not possible with the classical Friedel-Crafts reaction.

IT **16851-57-3P 223562-10-5P 223562-13-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of tricyclic benzothiazinones by cyclization of
sulfonamides as Friedel Crafts anionic equivs.)

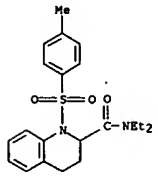
RN 16851-57-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



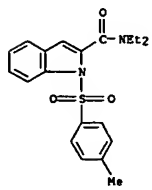
L4 ANSWER 58 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 223562-35-4 CAPLUS
CN 2-Quinolinecarboxamide, N,N-diethyl-1,2,3,4-tetrahydro-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

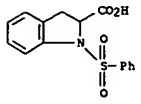


RN 223562-50-3 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-diethyl-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

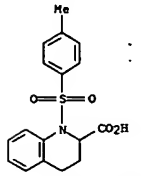


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 58 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 223562-10-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

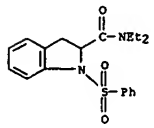


RN 223562-13-8 CAPLUS
CN 2-Quinolinecarboxylic acid, 1,2,3,4-tetrahydro-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **223562-30-9P 223562-32-1P 223562-35-4P 223562-50-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tricyclic benzothiazinones by cyclization of sulfonamides as
Friedel Crafts anionic equivs.)

RN 223562-30-9 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-diethyl-2,3-dihydro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

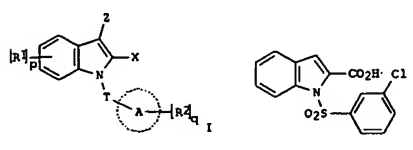


RN 223562-32-1 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-diethyl-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 59 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:126877 CAPLUS
DOCUMENT NUMBER: 130:182355
TITLE: Preparation of indoles as MCP-1 receptor antagonists
INVENTOR(S): Barker, Andrew John; Kettle, Jason Grant; Faull, Alan Wellington
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907678	A1	19990218	WO 1998-GB2340	19980804
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2295535	AA	19990218	CA 1998-2295535	19980804
AU 9886380	A1	19990301	AU 1998-86380	19980804
AU 748091	B2	20020530		
EP 1001935	A1	20000524	EP 1998-937658	19980804
EP 1001935	B1	20031008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001512716	T2	20010828	JP 2000-506182	19980804
AT 251610	E	20031015	AT 1998-937658	19980804
ZA 9807087	A	19990208	ZA 1998-7087	19980806
US 6288103	B1	20010911	US 2000-485107	20000203
NO 2000000572	A	20000404	NO 2000-572	20000204
PRIORITY APPLN. INFO.:			GB 1997-16656 A	19970807
			WO 1998-GB2340 W	19980804

OTHER SOURCE(S): MARPAT 130:182355
GI



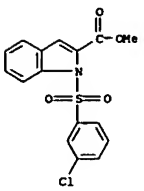
I II

AB The title compds. [I; R1 = CF3, alkyl, halo, etc.; p = 1-4; T = (CHR4)mSO2(CHR4)s (wherein R4 = H, alkyl; m = 0-2; s = 0-2; m + s = 0-2); X = CO2H, tetrazol-5-yl, CN, etc.; A = Ph, naphthyl, furyl, etc.; R2 = CF3, alkyl, halo, etc.; q = 0-4; Z = H, halo, Me, etc.] and their pharmaceutically acceptable salts or in vivo hydrolysable esters which possess inhibitory activity against monocyte chemoattractant protein-1

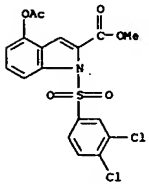
L4 ANSWER 59 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(MCP-1), were prepd. and formulated. Thus, treatment of Me N-(3-chlorophenylsulfonyl)indole-2-carboxylate with LiI in pyridine afforded 45% II. The tested compds. I generally showed IC50 of < 50 μM in the hMCP-1 receptor binding assay.

IT 220664-10-8P 220664-17-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indoles as MCP-1 receptor antagonists)

RN 220664-10-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3-chlorophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

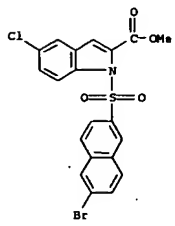


RN 220664-17-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-(acetyloxy)-1-[(3,4-dichlorophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

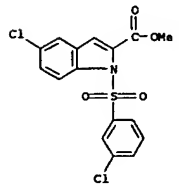


IT 220664-09-5P 220664-11-9P 220664-12-0P
220664-14-2P 220664-15-3P 220664-16-4P
220664-18-6P 220664-19-7P 220664-20-0P
220664-21-1P 220664-22-2P 220664-23-3P
220664-24-4P 220664-25-5P 220664-26-6P
220664-27-7P 220664-28-8P 220664-29-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles as MCP-1 receptor antagonists)

L4 ANSWER 59 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 220664-14-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-5-chloro-, methyl ester (9CI) (CA INDEX NAME)

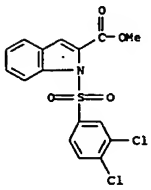


RN 220664-15-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3-chlorophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 220664-16-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-bromo-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 59 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 220664-09-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 220664-11-9 CAPLUS
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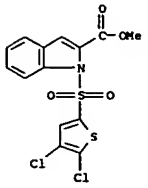
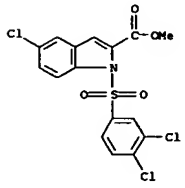
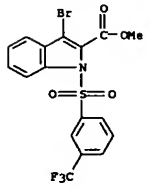


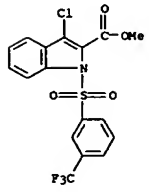
RN 220664-12-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3,4-dichlorophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



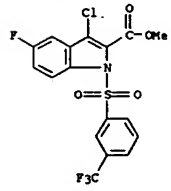
L4 ANSWER 59 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 220664-18-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-chloro-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 220664-19-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-chloro-5-fluoro-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 220664-20-0 CAPLUS
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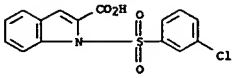

RN 220664-21-1 CAPLUS
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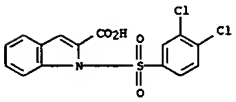
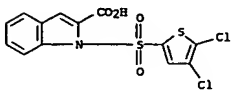
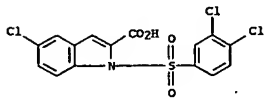


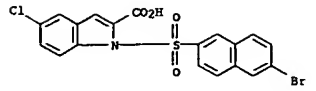
RN 220664-22-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4,5-dichloro-2-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)



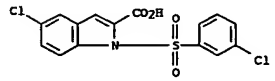
RN 220664-23-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



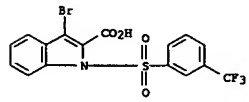
RN 220664-24-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-5-chloro- (9CI) (CA INDEX NAME)



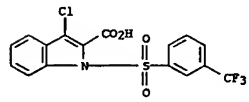
RN 220664-25-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



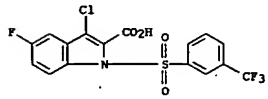
RN 220664-26-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-bromo-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



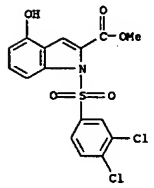
RN 220664-27-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-chloro-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 220664-28-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-chloro-5-fluoro-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 220664-29-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)sulfonyl]-4-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1999:113708 CAPLUS
DOCUMENT NUMBER: 130:153982
TITLE: Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Dappen, Michael S.; Dressen, Darren B.; Grant, Francine S.; Pleiss, Michael A.; Robinson, Cynthia Y.; Sarantakis, Dimitrios; Thorsett, Eugene D.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906433	A1	19990211	WO 1998-US15952	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2290746	AA	19990211	CA 1998-2290746	19980731
AU 9886786	A1	19990222	AU 1998-86786	19980731
EP 1001973	A1	20000524	EP 1998-938207	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811569	A	20000919	BR 1998-11569	19980731
JP 2001512136	T2	20010821	JP 2000-505188	19980731
US 6559127	B1	20030506	US 1998-127533	19980731
NO 2000000451	A	20000323	NO 2000-451	20000128
US 2003166575	A1	20030904	US 2002-266889	20021007

PRIORITY APPLN. INFO.:

US 1997-112010P	P	19970731
US 1997-904416	A1	19970731
US 1998-127533	A3	19980731
WO 1998-US15952	W	19980731

OTHER SOURCE(S): MARPAT 130:153982

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted unsatd. heterocyclic ring; R5 = CHZX1; X1 = H, OH, optionally substituted acylamino, alkyl, aryloxy, aryl, aryloxyaryl, CO2H, carboxyalkyl, carboxyheteroaryl, etc.; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, β-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCHZNR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin α4β1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the

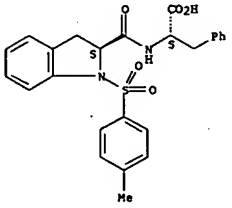
L4 ANSWER 60 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, reaction of Ts-Gly-OH (Ts = tosyl) with oxalyl chloride in CH2Cl2, followed by peptide coupling with L-phenylalanine benzyl ester tosylate and catalytic hydrogenolysis, gave desired title compd. Ts-Gly-Phe-OH. All prepd. compds. have IC50 ≤ 15 μM in a VLA-4 binding assay.

IT 220185-84-2P 220186-00-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220185-84-2 CAPLUS

CN L-Phenylalanine, N-[[(2S)-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

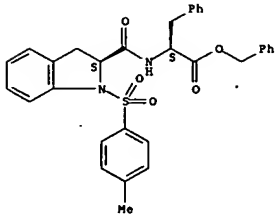
Absolute stereochemistry.



RN 220186-00-5 CAPLUS

CN L-Phenylalanine, N-[[(2S)-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 60 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

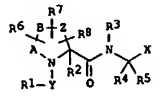
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 61 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:799992 CAPLUS
DOCUMENT NUMBER: 130:52724
TITLE: Preparation of heterocyclic dipeptide derivatives as cell adhesion inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.; Van Riper, Gail M.; Schmidt, Jack A.; Kevin, Nancy J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9853814	A1	19981203	WO 1998-US10940	19980529
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6903075	B1	20050607	US 1998-86327	19980528
CA 2291778	AA	19981203	CA 1998-2291778	19980529
EP 1001764	A1	20000524	EP 1998-926122	19980529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002512625	T2	20020423	JP 1999-500934	19980529
WO 9964395	A1	19991216	WO 1998-US11623	19980611
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9880595	A1	19991230	AU 1998-80595	19980611
PRIORITY APPLN. INFO.:			US 1997-48017P P	19970529
			GB 1997-14314 A	19970707
			US 1997-66525P P	19971125
			GB 1998-686 A	19980114
			US 1997-47856P P	19970529
			WO 1998-US10940 W	19980529
			WO 1998-US11623 A	19980611

OTHER SOURCE(S): MARPAT 130:52724
GI



I

AB Title compds., I [R1 = (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, Cy, Cy-C1-10 alkyl, Cy-C2-10 alkenyl, Cy-C2-10 alkynyl; R2, R5 = independently (un)substituted H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, aryl, aryl-C1-10 alkyl, heteroaryl, heteroaryl-C1-10 alkyl; R3 = H, (un)substituted C1-10 alkyl, Cy, Cy-C1-10 alkyl; R4 = H, any group R1; R3R4 form mono- or bicyclic ring containing 0-2 heteroatoms N, O, S; R4R5 form

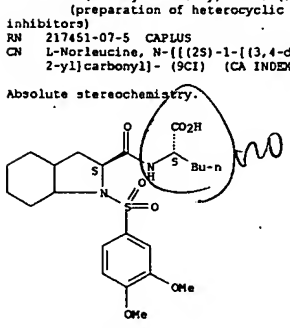
L4 ANSWER 61 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-7 membered mono- or bicyclic ring contg. 0-2 heteroatoms N, O, S; R10, R11 = independently = any group R3, (un)substituted C2-10 alkenyl, C2-10 alkynyl; R10R11 may form 5-7 membered heterocyclic ring contg. 0-2 addnl. heteroatoms N, O, S; R6-R8 = independently any group R10, OR10, NO2, halo, S(O)mR10, SR10, SO3R10, NR10R11, COR10, CO2R10, O2R10, CN, CONR10R11, CF3, oxo, NR10S(O)mR11, etc.; two of R6-R8 may form 5-7 membered (un)satd. monocyclic ring contg. 0-3 heteroatoms N, O, S; Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl; A, Z = independently C, C-C; B = bond, C, C-C, N, O, S, S(O)m; X = CO2R10, P(O)(OR10)(OR11), P(O)(R10)(OR11), S(O)mOR10, CONR10R11, 5-tetrazolyl; Y = CO, O2C, NR11CO, SO2, P(O)(OR4), COCO; m = 1-2] = are antagonists of VLA-4 and/or α4β7, and are useful for inhibition or prevention of cell adhesion and cell adhesion mediated pathologies. These compds. may be formulated into pharmaceutical compns. and are suitable for use in the treatment of asthma, allergies, inflammation, multiple sclerosis, and other inflammatory and autoimmune disorders. Thus, coupling of L-2-naphthylalanine tert-Bu ester (H-Nal-OtBu) (prepn. given) with Cbz-Pro-OH (Cbz = PhCH2O2C), followed by catalytic deprotection, sulfonylation with 3,5-Cl2C6H3SO2Cl, and acidic deesterification gave desired N-sulfonyldipeptide Cl2C6H3SO2-Nal-Pro-OH. Procedures for inhibition of VLA-4 dependent adhesion to a CS-1 conjugate and VCAM-IG fusion protein are given.

IT 217451-07-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

RN 217451-07-5 CAPLUS

CN L-Norleucine, N-[[(2S)-1-[(3,4-dimethoxyphenyl)sulfonyl]octahydro-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 61 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

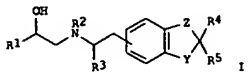
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 62 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:785674 CAPLUS
DOCUMENT NUMBER: 130:24957
TITLE: Heterocyclic β-adrenergic agonists
INVENTOR(S): Dow, Robert L.; Wright, Stephen W.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843972	A	19981201	US 1997-827289	19970328

PRIORITY APPLN. INFO.: US 1997-827289 19970328
OTHER SOURCE(S): MARPAT 130:24957
GI



I

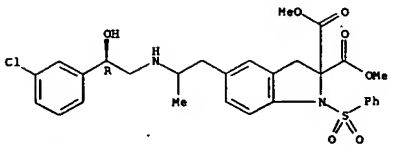
AB Heterocycles I [R1 = optionally substituted Ph, phenoxyalkyl, pyridinyl, thiazolyl, etc.; R2, R3 = H, alkyl; R4, R5 = H, CO2H, CHO, CH2OH, etc.; Y = S, S, Z = (CH2)n with n = 1, 2], β-adrenergic receptor agonists (no data), were prepared More specifically, the compds. are selective agonists of β3-adrenergic receptor (no data). The compds. of the present invention also possess utility for increasing lean meat deposition and/or improving the lean meat to fat ratio in animals (no data). E.g., di-Me 5-bromo-1,3-dihydroindole-2,2-dicarboxylate was treated with LiN(TMS)2, then with PhSO2Cl, followed by isopropenyl acetate/Bu3SnOMe/Pd(OAc)2, and the resulting product reacted with (R)-2-amino-1-(3-chlorophenyl)ethanol/NaBH(OAc)3 to give di-Me 1-benzenesulfonyl-5-(2-[2-(3-chlorophenyl)-2R-hydroxyethylamino]propyl)-1,3-dihydroindole-2,2-dicarboxylate.

IT **198276-56-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic β-adrenergic agonists)

RN 198276-56-1 CAPLUS
CN 2H-Indole-2,2-dicarboxylic acid, 5-[2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-1,3-dihydro-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)

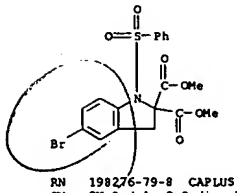
Absolute stereochemistry.

L4 ANSWER 62 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

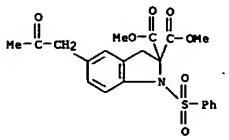


IT **183173-58-2P 198276-79-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic β-adrenergic agonists)

RN 183173-58-2 CAPLUS
CN 2H-Indole-2,2-dicarboxylic acid, 5-bromo-1,3-dihydro-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 198276-79-8 CAPLUS
CN 2H-Indole-2,2-dicarboxylic acid, 1,3-dihydro-5-(2-oxopropyl)-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 63 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:635621 CAPLUS
DOCUMENT NUMBER: 129:265475
TITLE: Indolecarboxamides, preparation thereof, pharmaceutical compositions, and methods of inhibiting calpain
INVENTOR(S): Daines, Robert A.; Sham, Kelvin Kin-Cheong
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841092	A1	19980924	WO 1998-US4873	19980313
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2284041	AA	19980924	CA 1998-2284041	19980313
EP 1018878	A1	20000719	EP 1998-909146	19980313
EP 1018878	B1	20041006		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2001515508	T2	20010918	JP 1998-540629	19980313
ES 2230676	T3	20050501	ES 1998-909146	19980313
US 6214856	B1	20010410	US 1999-380317	19990830

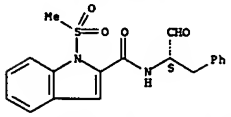
PRIORITY APPLN. INFO.: US 1997-40589P P 19970314
WO 1998-US4873 W 19980313
OTHER SOURCE(S): MARPAT 129:265475

AB Pharmaceutical compns. and methods of inhibiting calpain using indolecarboxamides are disclosed. The compns. and methods of the invention are useful in the treatment of e.g. neurodegenerative disorders, strokes, and traumatic brain injury. Preparation of e.g. (S)-N-(1-formyl-2-phenylethyl)-1-methyl-2-indolecarboxamide is described, as are capsule and other formulations.

IT **213598-93-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indolecarboxamides, preparation, pharmaceutical compns., and methods of inhibiting calpain)

RN 213598-93-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1S)-1-formyl-2-phenylethyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

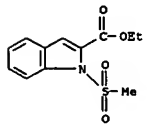
Absolute stereochemistry.



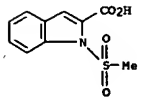
IT **213599-07-6P 213599-09-8P 213599-11-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 63 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. and reaction; indolecarboxamides, prepn., pharmaceutical compns., and methods of inhibiting calpain)

RN 213599-07-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

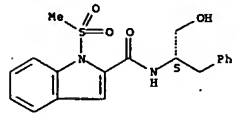


RN 213599-09-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 213599-11-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

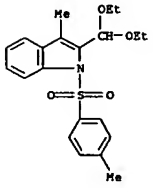
L4 ANSWER 64 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:632475 CAPLUS
DOCUMENT NUMBER: 129:343387
TITLE: Synthesis of 2,3-Disubstituted Indoles via Palladium-Catalyzed Annulation of Internal Alkynes
AUTHOR(S): Larock, R. C.; Yum, E. K.; Refvik, M. D.
CORPORATE SOURCE: Department of Chemistry, Iowa State University, Ames, IA, 50011, USA
SOURCE: Journal of Organic Chemistry (1998), 63(22), 7652-7662
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:343387

AB The palladium-catalyzed coupling of 2-iodoaniline and the corresponding N-Me, -acetyl, and -tosyl derivs. with a wide variety of internal alkynes provides 2,3-disubstituted indoles in good-to-excellent yields. The best results are obtained by employing an excess of the alkyne.and a sodium or potassium acetate or carbonate base plus 1 equiv of either LiCl or n-Bu4NCl, occasionally adding 5 mol % PPh3. The yields with LiCl appear to be higher and more reproducible than those obtained with n-Bu4NCl. The process is quite general as far as the types of substituents which can be accommodated on the nitrogen of the aniline and the two ends of the alkyne triple bond. The reaction is quite regioselective, placing the aryl group of the aniline on the less sterically hindered end of the triple bond and the nitrogen moiety on the more sterically hindered end. This methodol. readily affords 2-silylindoles, which can be easily protodesilylated, halogenated, or reacted with alkenes and Pd(OAc)2 to produce 3-substituted indoles, 2-haloindoles, or 2-(1-alkenyl)indoles, resp. The presence of alc. groups in the alkyne seems to have a particularly strong directing effect, perhaps due to coordination with palladium. This catalytic process apparently involves arylpalladium formation, regioselective addition to the C-C triple bond of the alkyne, and subsequent intramol. palladium displacement.

IT **215365-81-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of disubstituted indoles via palladium-catalyzed annulation of internal alkynes)

RN 215365-81-4 CAPLUS
CN 1H-Indole, 2-(diethoxymethyl)-3-methyl-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 64 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 65 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:568589 CAPLUS
DOCUMENT NUMBER: 129:175653
TITLE: Preparation of benzenesulfonamides as elastase inhibitors
INVENTOR(S): Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 150 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5795890	A	19980818	US 1996-718722	19960924
JP 09165365	A2	19970624	JP 1995-272058	19950927
JP 09278742	A2	19971028	JP 1996-271341	19960924
JP 2881688	B2	19990412		
JP 10251218	A2	19980922	JP 1998-111630	19960924
AU 9665837	A1	19970410	AU 1996-65837	19960925
AU 714025	B2	19991216		
ZA 9608069	A	19970520	ZA 1996-8069	19960925
NO 9604045	A	19970401	NO 1996-4045	19960926
NO 307251	B1	20000306		
CA 2186665	AA	19970328	CA 1996-2186665	19960927
AT 261960	E	20040415	AT 1996-307048	19960927
US 5998410	A	19991207	US 1998-31192	19980226

PRIORITY APPLN. INFO.: JP 1995-272058 A 19950927
JP 1996-45663 A 19960224
JP 1996-271341 A3 19960924
US 1996-718722 A3 19960924

OTHER SOURCE(S): MARPAT 129:175653
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

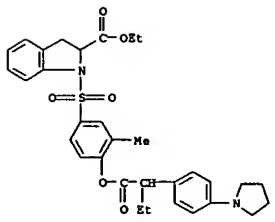
AB The title compds. [I; R1 = C1-8 alkyl, C1-8 alkoxy, OH, etc.; n = 0-5; D = carbocyclic ring; R2, R3 = H, C1-4 alkyl, C1-4 alkoxy, etc.; R2R3 = C1-4 alkylidene; CR2R3 = C3-7 cycloalkyl; R4 = C1-4 alkyl, C1-4 alkoxy; two of R4, attached to the benzene nucleus at ortho positions relative to each other, represent C3-5 alkylene; m = 0-4; R5, R6 = H, OH, C1-8 alkyl, etc.; NR5R6 = heterocyclyl] and their salts, which have an inhibitory effect on elastase and therefore are useful in the prevention and/or the treatment of emphysema, rheumatoid arthritis, atherosclerosis, adult respiratory distress syndrome (ARDS), glomerular nephritis, myocardial infarction, idiopathic ulcerative colitis, and gingivitis, were prepared and formulated. Thus, treatment of the ester II (preparation described) with CF3CO2H in CH2Cl2/MeOPh afforded the title compound III.HCl which showed IC50 of 0.055 μM against human polymorphonuclear elastase.

IT **190250-28-3P 190250-29-4P 190250-30-7P**
190251-90-2P 190252-36-9P 190252-37-0P
190252-38-1P 190252-39-2P 190252-40-5P
190252-41-6P 190252-42-7P 190252-43-8P
190252-44-9P 190252-45-0P 190252-46-1P
190252-48-3P 190252-49-4P 190252-50-7P

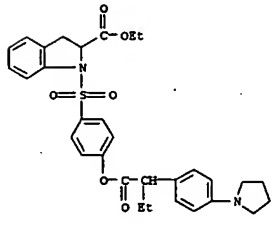
L4 ANSWER 65 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

190252-51-8P 190252-54-1P 190252-55-2P
190252-56-3P 190252-57-4P 190252-58-5P
190252-59-6P 190252-60-9P 190252-62-1P
190252-63-2P 190252-64-3P 190252-65-4P
190252-66-5P 190252-67-6P 190252-68-7P
190252-69-8P 190252-70-1P 190252-71-2P
190252-72-3P 190252-73-4P 190252-74-5P
190252-75-6P 190252-77-8P 190252-79-0P
190252-81-4P 190252-83-6P 190254-91-2P
190255-08-4P 190255-09-5P 190256-00-9P
190328-16-8P 211486-33-8P 211486-50-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzenesulfonamides as elastase inhibitors)

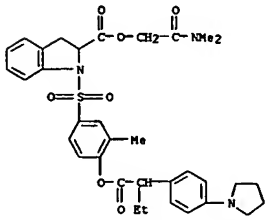
RN 190250-28-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 190250-29-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

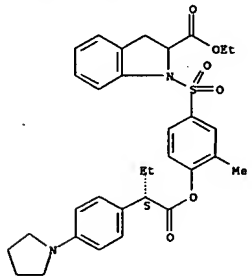


RN 190250-30-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)



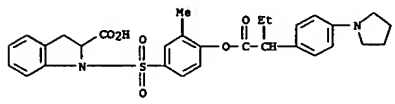
RN 190251-90-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



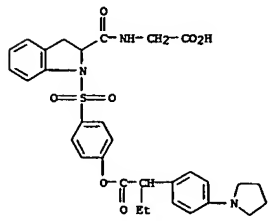
RN 190252-36-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



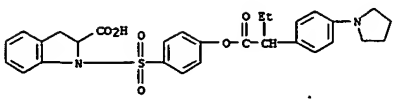
● HCl

RN 190252-40-5 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2-[[(carboxymethyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]sulfonyl]phenyl ester (9CI) (CA INDEX NAME)



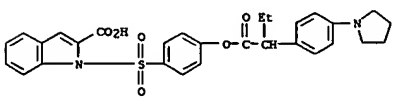
RN 190252-41-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

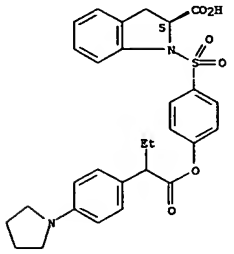
RN 190252-37-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



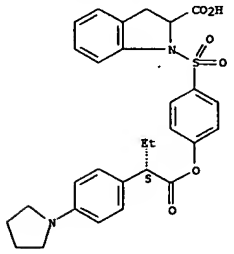
● HCl

RN 190252-38-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

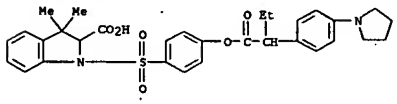
Absolute stereochemistry.



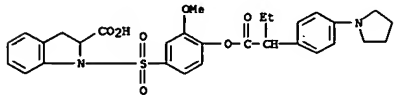
RN 190252-39-2 CAPLUS



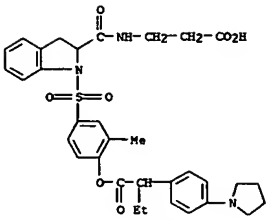
RN 190252-42-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3,3-dimethyl-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



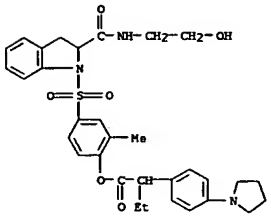
RN 190252-43-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methoxy-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



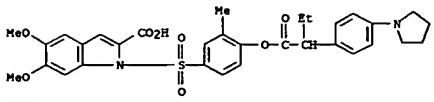
RN 190252-44-9 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2-[[(2-carboxyethyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]sulfonyl]-2-methylphenyl ester (9CI) (CA INDEX NAME)



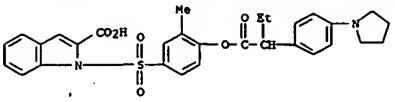
RN 190252-45-0 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2,3-dihydro-2-[[(2-hydroxyethyl)amino]carbonyl]-1H-indol-1-yl]sulfonyl]-2-methylphenyl ester (9CI) (CA INDEX NAME)



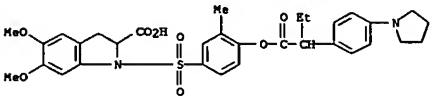
RN 190252-46-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



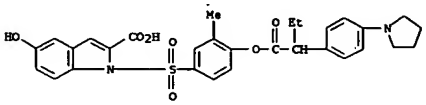
RN 190252-48-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



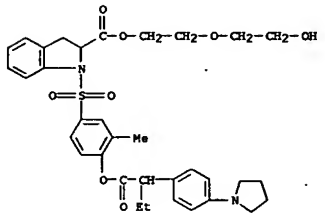
RN 190252-49-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-5,6-dimethoxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-50-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-hydroxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

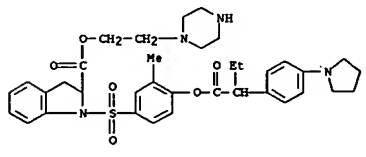


RN 190252-51-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(2-hydroxyethoxy)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



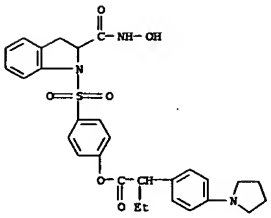
● HCl

RN 190252-54-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester, trihydrochloride (9CI) (CA INDEX NAME)



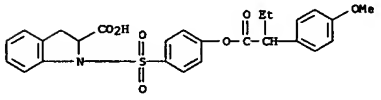
●3 HCl

RN 190252-55-2 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2,3-dihydro-2-[(hydroxyamino)carbonyl]-1H-indol-1-yl]sulfonyl]phenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

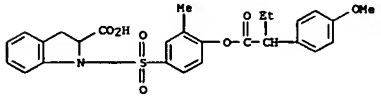


● HCl

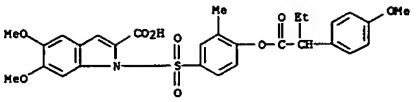
RN 190252-56-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



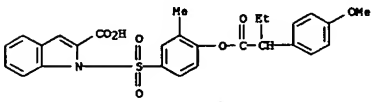
RN 190252-57-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



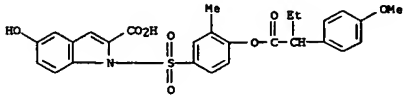
RN 190252-58-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



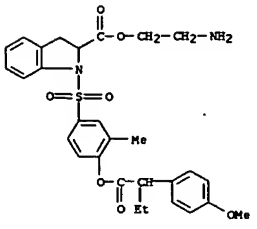
RN 190252-59-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-60-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-hydroxy-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

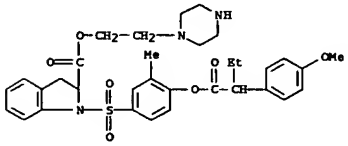


RN 190252-62-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-aminoethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



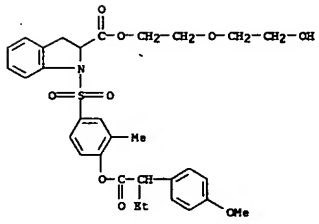
● HCl

RN 190252-63-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

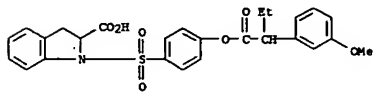


●2 HCl

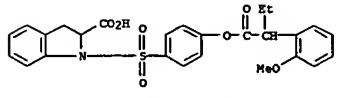
RN 190252-64-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-(2-hydroxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



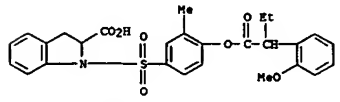
RN 190252-65-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(3-methoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-66-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(2-methoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

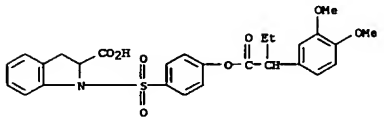


RN 190252-67-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(2-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

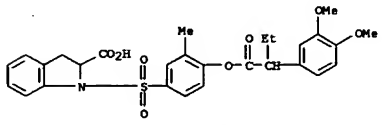


RN 190252-68-7 CAPLUS

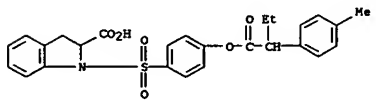
CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(3,4-dimethoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



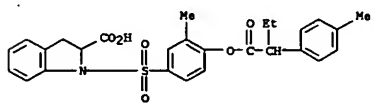
RN 190252-69-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(3,4-dimethoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



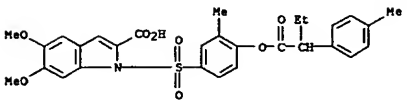
RN 190252-70-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



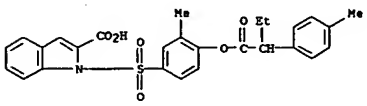
RN 190252-71-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



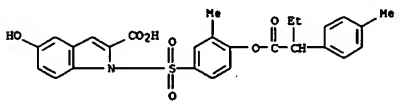
RN 190252-72-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



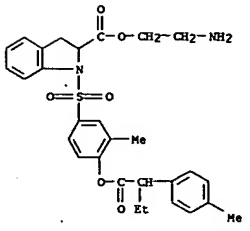
RN 190252-73-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-74-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-hydroxy-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

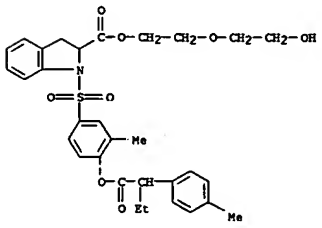


RN 190252-75-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]-, 2-aminoethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

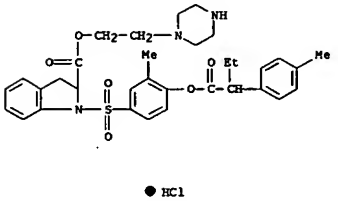


● HCl

RN 190252-77-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]-, 2-(2-hydroxyethoxy)ethyl ester (9CI) (CA INDEX NAME)

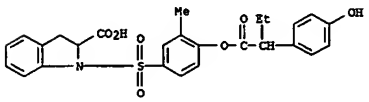


RN 190252-79-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

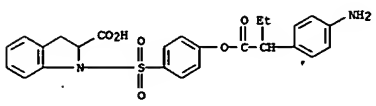


● HCl

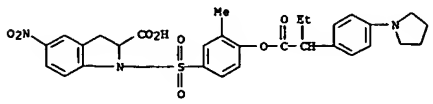
RN 190252-81-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-hydroxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-83-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(4-aminophenyl)-1-oxobutoxy]phenyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

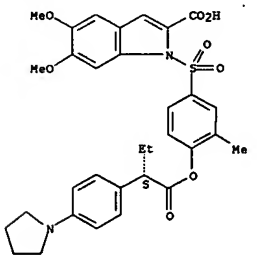


RN 190254-91-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-5-nitro- (9CI) (CA INDEX NAME)



RN 190255-08-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[3-methyl-4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

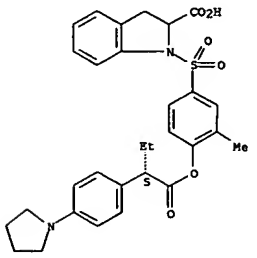
Absolute stereochemistry.



● HCl

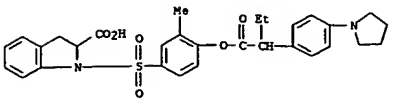
RN 190255-09-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



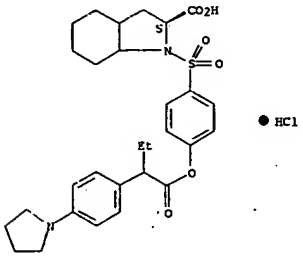
● HCl

RN 190256-00-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

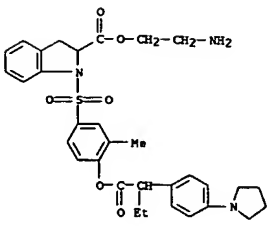


RN 190328-18-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

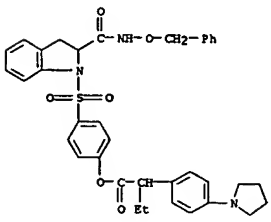


RN 211486-33-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-aminoethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

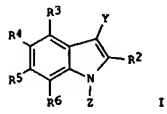
RN 211486-50-9 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2,3-dihydro-2-[[(phenylmethoxy)amino]carbonyl]-1H-indol-1-yl]sulfonyl]phenyl ester (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1998:479925 CAPLUS
DOCUMENT NUMBER: 129:161490
TITLE: Preparation of 3-(nitrobenzoyl)indoles
INVENTOR(S): Mizuno, Masahiko; Miyamoto, Yasunobu
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10195048	A2	19980728	JP 1997-6570	19970117
PRIORITY APPLN. INFO.:			JP 1997-6570	19970117

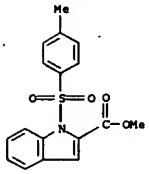
OTHER SOURCE(S): CASREACT 129:161490; MARPAT 129:161490
GI



AB Title compds. I [Y = O2NC6H4CO; Z = SO2R1; R1 = chain or cyclic alkyl, (NO2- or alkyl-substituted) aryl; R2-R6 = H, chain or cyclic alkyl, lower alkoxy, phenylalkoxy, (NO2-, halo-, alkyl- or alkoxy-substituted) aryl] are prepared by reaction of indoles I (Y = Z = H, R2-R6 = same as above) with R1SO2X (R1 = same as above; X = halo) in the presence of phase-transfer catalysts and inorg. bases, reaction of N-sulfonylindoles I (Y = H, Z = SO2R1; R1-R6 = same as above) with XCOC6H4NO2 in the presence of Lewis acids, and reaction of 3-(nitrobenzoyl)-N-sulfonylindoles I (Y = O2NC6H4CO; Z = SO2R1; R1-R6 = same as above) with inorg. bases. Indole was sulfonated with p-MeC6H4SO2Cl in the presence of Bu4NHSO4 in a PhMe-aqueous NaOH mixture at room temperature for 4 h, condensed with 3-O2NC6H4COCl in MeNO2 in the presence of AlCl3 at room temperature for 1 h, and deprotected with K2CO3 in a H2O-MeOH mixture under reflux for 2 h to give 85% 3-(3-nitrobenzoyl)indole.

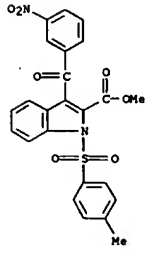
IT 36004-72-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in condensation; preparation of (nitrobenzoyl)indoles by protection of indoles, condensation with nitrobenzoyl halides, and deprotection)

RN 36004-72-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 211098-50-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in deprotection; preparation of (nitrobenzoyl)indoles by protection of indoles, condensation with nitrobenzoyl halides, and deprotection)

RN 211098-50-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-(3-nitrobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 67 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:98054 CAPLUS
DOCUMENT NUMBER: 128:180669
TITLE: Preparation of amino acids bearing sulfamoyl and amidino radicals for use as pharmaceuticals
INVENTOR(S): Christophe, Bernard; Foulon, Loic; Pellet, Alain; Serradeil-le-Gal, Claudine; Valette, Gerard
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: U.S., 27 pp., Cont.-in-part of U.S. 5,506,258. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5714497	A	19980203	US 1995-478604	19950607
FR 2701480	A1	19940819	FR 1993-1686	19930215
FR 2701480	B1	19950526		
US 5506258	A	19960409	US 1994-195281	19940214
PRIORITY APPLN. INFO.:			FR 1993-1686 A	19930215
			US 1994-195281 A2	19940214

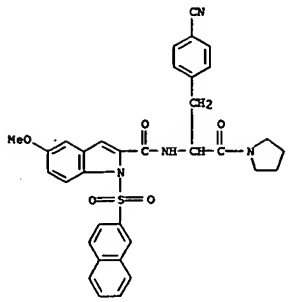
OTHER SOURCE(S): MARPAT 128:180669

AB Amino acid derivs. Ar1SO2NR1CR2(CHRAr2)CONHCH(CONR3R4)CH2C6H4C(:NR7)NR6ZR5-p [I; Ar1 = (un)substituted quinolyl or isoquinolyl; Ar2 = (un)substituted Ph or thienyl; R, R1, R2 = H, alkyl or R1 represents a bond and N is bonded to Ar2, R and R2 may form a double bond, or R1 or R2 is bonded to Ar2 and represents alkylene; R3, R4 = H, alkyl or R3R4N = heterocyclyl; R5 = Me, amino, alkoxycarbonylamino, alkylamino, pyrrolidinyl, piperidinyl, etc.; R6, R7 = H, alkyl; or R5 and R7 are alkylene] or their salts were prepared as pharmaceuticals. Thus, I.HCl (Ar1 = 2-naphthyl, Ar2 = Ph, R-R2 = H, R3R4N = piperidino, ZR5 = Pr, R6 = R7 = H) was prepared via N-acylation of 1-[2-amino-3-(4-cyanophenyl)propionyl]piperidine with N-(2-naphthylsulfonyl)phenylalanine, conversion of the cyano group to an imido ester intermediate, and reaction with propylamine.

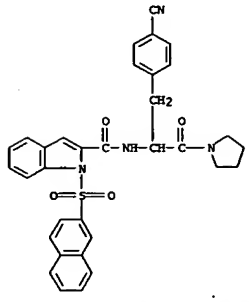
IT **203306-72-3P 203306-73-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acids bearing sulfamoyl and amidino radicals for use
as pharmaceuticals)

RN 203306-72-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(4-cyanophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-methoxy-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 67 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 203306-73-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(4-cyanophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



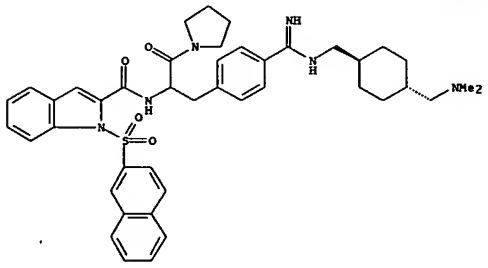
IT **203306-17-6P 203306-18-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acids bearing sulfamoyl and amidino radicals for use
as pharmaceuticals)

RN 203306-17-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[4-[[[[4-[(dimethylamino)methyl]cyclohexyl]

L4 ANSWER 67 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methyl]amino]iminomethyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-1-(2-naphthalenylsulfonyl)-, dihydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

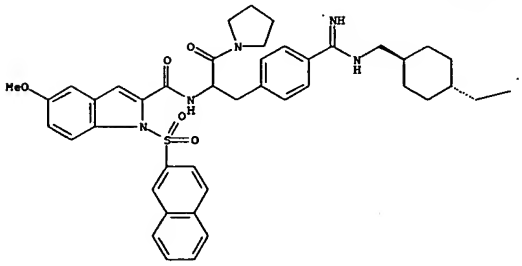
●2 HCl

RN 203306-18-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[4-[[[[4-[(dimethylamino)methyl]cyclohexyl]methyl]amino]iminomethyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-methoxy-1-(2-naphthalenylsulfonyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 67 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

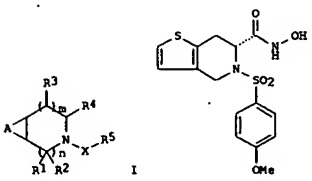
—NMe2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 68 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:720114 CAPLUS
DOCUMENT NUMBER: 128:13253
TITLE: Fused pyridine N-hydroxy carboxamide derivatives and analogs as inhibitors of metalloproteases, process for their preparation, and pharmaceutical compositions containing them
INVENTOR(S): De Nanteuil, Guillaume; Paladino, Joseph; Remond, Georges; Atassi, Ghanem; Pierre, Alain; Tucker, Gordon; Bonnet, Jacqueline; Sabatini, Massimo
PATENT ASSIGNEE(S): Adir Et Compagnie, Fr.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 803505	A1	19971029	EP 1997-400913	19970423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
FR 2748026	A1	19971031	FR 1996-5321	19960426
FR 2748026	B1	19980605		
NO 9701862	A	19971027	NO 1997-1862	19970423
CA 2203618	AA	19971026	CA 1997-2203618	19970424
CA 2203618	C	20020528		
AU 9719121	A1	19971030	AU 1997-19121	19970424
AU 713680	B2	19991209		
ZA 9703647	A	19971119	ZA 1997-3647	19970425
CN 1165817	A	19971126	CN 1997-109728	19970425
JP 10059936	A2	19980303	JP 1997-108954	19970425
US 5866587	A	19990202	US 1997-842982	19970425

PRIORITY APPLN. INFO.: FR 1996-5321 A 19960426
OTHER SOURCE(S): CASREACT 128:13253; MARPAT 128:13253
GI



I II

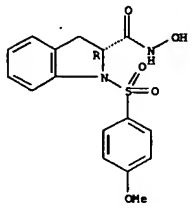
AB Title compds. I are disclosed [wherein m, n = 0, 1, 2; R1, R2 = H, alkyl, aralkyl, aryl; or R1R2 = O, alkylene; R3 = H, alkyl, OH, alkoxy, or aryl; R4 = CONR6OR6', CSNR6OR6', C(:NH)NR6OR6', CO2R7, NHCONHOH, NHCH2CO2R7, CH(NHR7')CO2R7, CH(CO2R7)2; X = SO2, CO, SO2NH; R5 = alkyl (optionally bearing halo, OH, alkoxy, aryl, or CO2R7), cycloalkyl, aryl, or heterocyclyl; R6, R6' = H or alkyl; R7, R7' = H, alkyl, aralkyl; A = fused

L4 ANSWER 68 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
arom. (with provisos) or heterocyclic ring]. I are metalloprotease inhibitors, potentially useful for treatment of cancer, rheumatoid arthritis, atherosclerosis, etc. Examples include 30 syntheses of I, 19 prophetic compds., 4 biol. screens for selected compds., and a formulation. For instance, (R)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine-6-carboxylic acid hydrochloride underwent a sequence of N-sulfonylation with 4-MeOC6H4SO2Cl, amidation with H2NOCH2CH:CH2.HCl, and Pd-mediated deallylation, to give preferred title compd. II. In tests for protection of guinea pig cartilaginous matrix against IL-1β-induced degrdn., II gave 98% protection of collagens and 45% protection of proteoglycans.

IT 198957-31-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridine N-hydroxy carboxamide derivs. and analogs as metalloprotease inhibitors)

RN 198957-31-2 CAPLUS
CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (R)- (9CI) (CA INDEX NAME)

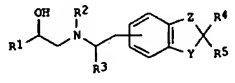
Absolute stereochemistry.



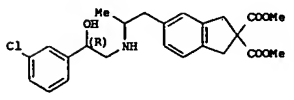
L4 ANSWER 69 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:682242 CAPLUS
DOCUMENT NUMBER: 127:346308
TITLE: Preparation of heterocyclic β3-adrenergic agonists
INVENTOR(S): Dow, Robert L.; Wright, Stephen W.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 801060	A1	19971015	EP 1997-200858	19970324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CA 2201988	AA	19971009	CA 1997-2201988	19970407
JP 10036348	A2	19980210	JP 1997-90740	19970409

PRIORITY APPLN. INFO.: US 1996-15216P P 19960409
OTHER SOURCE(S): MARPAT 127:346308
GI



I



II

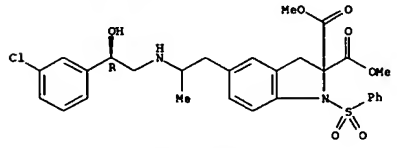
AB The title compds. [I; R1 = (un)substituted Ph, phenoxyalkyl, pyridinyl, etc.; R2, R3 = H, C1-6 alkyl; R4, R5 = H, COOH, CHO, etc.; Y = O, S, NR7 (wherein R7 = H, C1-10 alkyl, C1-10 alkenyl, etc.); Z = (CH2)n (n = 1-2)], useful in treating diabetes, hyperglycemia, obesity, prostate disease, intestinal motility disorders, depression, dyslipidemia, and airway inflammatory disorders such as asthma, and in increasing lean meat deposition and/or improving the lean meat to fat ratio in animals or poultry, were prepared Thus, treatment of isopropenyl acetate with tri-n-butyltin methoxide in PhMe followed by the addition of 5-bromo-1,3-dihydroindole-2,2-dicarboxylic acid di-Me ester, Pd(OAc)2 and tri-o-tolylphosphine, and reaction of the resulting 5-(2-oxopropyl)-1,3-dihydroindole-2,2-dicarboxylic acid di-Me ester with (R)-2-amino-1-(3-chlorophenyl)ethanol in the presence of Na triacetoxyborohydride and AcOH in 1,2-dichloroethane afforded the title compound II. Compds. I are effective at 0.1-10 mg/kg/day in mammals.

IT 198276-56-1P

L4 ANSWER 69 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic β3-adrenergic agonists)

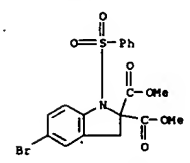
RN 198276-56-1 CAPLUS
CN 2H-Indole-2,2-dicarboxylic acid, 5-[2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-1,3-dihydro-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



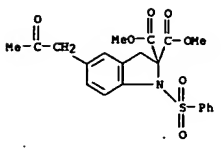
IT 183173-58-2P 198276-79-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic β3-adrenergic agonists)

RN 183173-58-2 CAPLUS
CN 2H-Indole-2,2-dicarboxylic acid, 5-bromo-1,3-dihydro-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 198276-79-8 CAPLUS
CN 2H-Indole-2,2-dicarboxylic acid, 1,3-dihydro-5-(2-oxopropyl)-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 69 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



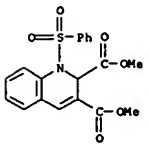
L4 ANSWER 70 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:619507 CAPLUS
DOCUMENT NUMBER: 127:331384
TITLE: A facile route to functionalized 1-arylsulfonyl-1,2-dihydroquinolines
AUTHOR(S): Yavari, I.; Esmaili, A. A.; Ramazani, A.; Bolbol-Amiri, A. R.
CORPORATE SOURCE: Chemistry Department, Tarbiat Modarres University, Teheran, Iran
SOURCE: Monatshefte fuer Chemie (1997), 128(8/9), 927-931
CODEN: MOCMB7; ISSN: 0026-9247
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:331384

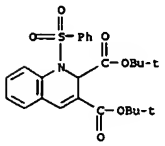
AB A 1-pot synthesis of 1-(phenylsulfonyl)-1,2-dihydroquinoline-2,3-dicarboxylates by reaction of benzenesulfonamide derivs. of 2-aminobenzaldehyde, acetylenedicarboxylates, and Ph3P in excellent yields is reported.

IT 197847-58-8P 197847-59-9P 197847-60-2P
197847-61-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (phenylsulfonyl)hydroquinolines)

RN 197847-58-8 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)

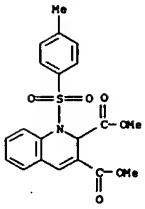


RN 197847-59-9 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-1-(phenylsulfonyl)-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

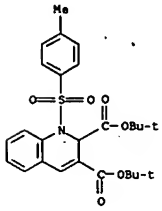


RN 197847-60-2 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-1-[(4-methylphenyl)sulfonyl]-, dimethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 70 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 197847-61-3 CAPLUS
CN 2,3-Quinolinedicarboxylic acid, 1,2-dihydro-1-[(4-methylphenyl)sulfonyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



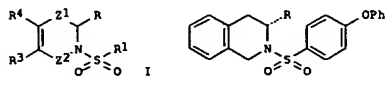
L4 ANSWER 71 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:443319 CAPLUS
DOCUMENT NUMBER: 127:65701
TITLE: Preparation of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids and analogs as matrix metalloproteinase inhibitors
INVENTOR(S): Thorwart, Werner; Schwab, Wilfried; Schudok, Manfred; Haase, Burkhard; Bartnik, Eckart; Weithmann, Klaus-ulrich
PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718194	A1	19970522	WO 1996-EP4776	19961104
W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19542189	A1	19970515	DE 1995-19542189	19951113
DE 19612298	A1	19971002	DE 1996-19612298	19960328
AU 9675624	A1	19970605	AU 1996-75624	19961104
AU 707707	B2	19990715		
EP 861236	A1	19980902	EP 1996-938052	19961104
EP 861236	B1	20020213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000500145	T2	20000111	JP 1997-518542	19961104
RU 2164914	C2	20010410	RU 1998-111153	19961104
AT 213232	E	20020215	AT 1996-938052	19961104
PL 186869	B1	20040331	PL 1996-326702	19961104
BR 9611479	A	19990713	BR 1996-11479	19970312
US 6207672	B1	20010327	US 1999-68497	19990309
US 2001011134	A1	20010802	US 2001-780514	20010212
US 6573277	B2	20030603		
US 2003176432	A1	20030918	US 2003-376287	20030303
US 6815440	B2	20041109		

PRIORITY APPLN. INFO.:
DE 1995-19542189 A 19951113
DE 1996-19612298 A 19960328
WO 1996-EP4776 W 19961104
US 1999-68497 A3 19990309
US 2001-780514 A3 20010212

OTHER SOURCE(S): MARPAT 127:65701
GI



AB Title compds. [I; R = CO2H or CONHOH; R1 = (un)substituted phenyl(alkyl), -naphthyl, etc.; R3R4 = (un)substituted CH:CHCH:CH, atoms to complete a heterocyclic ring, etc.; Z1,Z2 = (CH2)0-2] were prepared Thus, Me (R)-1,2,3,4-tetrahydroisoquinoline-3-carboxylate was N-sulfonate by

L4 ANSWER 71 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

4-(PhO)C6H4SO2Cl and the product converted in 2 steps to title compd. II (R = CONHOH). Data for biol. activity of I were given.

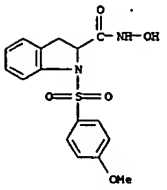
IT 190958-53-3P 191327-17-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids and analogs as matrix metalloproteinase inhibitors)

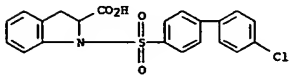
RN 190958-53-3 CAPLUS

CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 191327-17-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



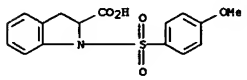
IT 190958-61-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids and analogs as matrix metalloproteinase inhibitors)

RN 190958-61-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 72 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:439320 CAPLUS

DOCUMENT NUMBER: 127:135698

TITLE: Reaction of indole-2,3-dicarboxylic anhydride with Grignard reagents: synthesis of 2-acylindoles

AUTHOR(S): Miki, Yasuyoshi; Hachiken, Hiroko; Yoshikawa, Ichigo

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Kinki University, Higashi-Osaka, 577, Japan

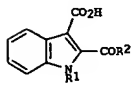
SOURCE: Heterocycles (1997), 45(6), 1143-1150
CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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AB Reaction of indole-2,3-dicarboxylic anhydride with methylmagnesium bromide and phenylmagnesium bromide gave 2-acetyl- and 2-benzoyl-indole-3-carboxylic acids, but with tert-butylmagnesium chloride, 3-pivaloylindole-2-carboxylic acids were obtained as the main products. Treatment of 2-acylindole-3-carboxylic acids I (R1 = CH2Ph, SO2Ph, R2 = Ph, Me, CMe3) with copper chromite in quinoline or potassium hydroxide gave the corresponding 2-acylindoles.

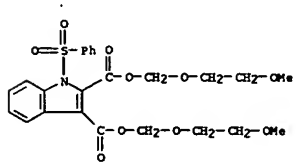
IT 192991-40-5P 192991-41-6P 192991-49-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(addition of Grignard reagents to indoledicarboxylic anhydrides)

RN 192991-40-5 CAPLUS

CN 1H-Indole-2,3-dicarboxylic acid, 1-(phenylsulfonyl)-, bis[(2-methoxyethoxy)methyl] ester (9CI) (CA INDEX NAME)

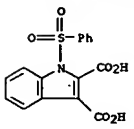


RN 192991-41-6 CAPLUS

CN 1H-Indole-2,3-dicarboxylic acid, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

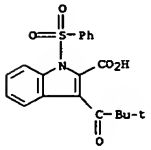
L4 ANSWER 71 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 72 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 192991-49-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(2,2-dimethyl-1-oxopropyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



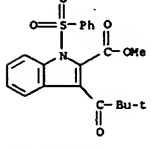
IT 192991-54-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(addition of Grignard reagents to indoledicarboxylic anhydrides)

RN 192991-54-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(2,2-dimethyl-1-oxopropyl)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

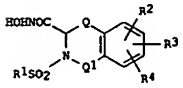
L4 ANSWER 73 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:429483 CAPLUS
DOCUMENT NUMBER: 127:50547
TITLE: Preparation of cyclic N-substituted α-iminohydroxamates as matrix metalloproteinase inhibitors.
INVENTOR(S): Thorwart, Werner; Schwab, Wilfried; Schudok, Manfred; Haase, Burkhard; Bartnik, Eckart; Weithmann, Klaus-Ulrich
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19542189	A1	19970515	DE 1995-19542189	19951113
CA 2237590	AA	19970522	CA 1996-2237590	19961104
WO 9718194	A1	19970522	WO 1996-EP4776	19961104
W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9675624	A1	19970605	AU 1996-75624	19961104
AU 707707	B2	19990715		
EP 861236	A1	19980902	EP 1996-938052	19961104
EP 861236	B1	20020213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1202156	A	19981216	CN 1996-198294	19961104
CN 1131215	B	20031217		
JP 2000500145	T2	20000111	JP 1997-518542	19961104
RU 2164914	C2	20010410	RU 1998-111153	19961104
AT 213232	E	20020215	AT 1996-938052	19961104
PT 861236	T	20020731	PT 1996-938052	19961104
ES 2170884	T3	20020816	ES 1996-938052	19961104
PL 186869	B1	20040331	PL 1996-326702	19961104
BR 9611479	A	19990713	BR 1996-11479	19970312
US 6207672	B1	20010327	US 1999-68497	19990309
US 2001011134	A1	20010802	US 2001-780514	20010212
US 6573277	B2	20030603		
US 2003176432	A1	20030918	US 2003-376287	20030303
US 6815440	B2	20041109		

PRIORITY APPLN. INFO.: DE 1995-19542189 A 19951113
DE 1996-19612298 A 19960328
WO 1996-EP4776 W 19961104
US 1999-68497 A3 19990309
US 2001-780514 A3 20010212

OTHER SOURCE(S): MARPAT 127:50547
GI



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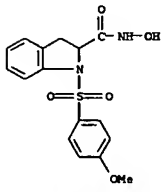
L4 ANSWER 73 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. [I; R1 = R5C6H4XC6H4A, 4-ZC6H4A, isoquinolinyl, (substituted) Ph, etc.; Q = (CH2)n; Q1 = (CH2)m; m, n = 0-2; R2-R4 = H, R1; A = alkylene, vinylene; X = bond, S, SO, SO2, CO, C(OH), O, imino; Z = pyrrolyl, triazolyl, imidazolyl, piperidinyl, tetrazolyl, thiazolidinyl, Ph, pyridinyl, oxazolyl, piperazinyl, pyrazinyl, etc.], were prepared Thus,.

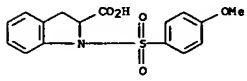
IT 190958-53-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic N-substituted α-iminohydroxamates as matrix metalloproteinase inhibitors)

RN 190958-53-3 CAPLUS
CN 1H-Indole-2-carboxamide, 2,3-dihydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 190958-61-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic N-substituted α-iminohydroxamates as matrix metalloproteinase inhibitors)

RN 190958-61-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



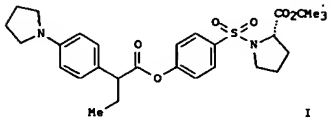
L4 ANSWER 74 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:390578 CAPLUS
DOCUMENT NUMBER: 127:5005
TITLE: Preparation of sulfamoylphenyl alkanoates as elastase inhibitors
INVENTOR(S): Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 270 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 769498	A1	19970423	EP 1996-307048	19960927
EP 769498	B1	20040317		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09165365	A2	19970624	JP 1995-272058	19950927
JP 09278742	A2	19971028	JP 1996-271341	19960924
JP 2881688	B2	19990412		
JP 10251218	A2	19980922	JP 1998-111630	19960924
AU 9665837	A1	19970410	AU 1996-65837	19960925
AU 714025	B2	19991216		
ZA 9608069	A	19970520	ZA 1996-8069	19960925
NO 9604045	A	19970401	NO 1996-4045	19960926
NO 307251	B1	20000306		
CA 2186665	AA	19970328	CA 1996-2186665	19960927
AT 261960	E	20040415	AT 1996-307048	19960927

PRIORITY APPLN. INFO.: JP 1995-272058 A 19950927
JP 1996-45663 A 19960224
JP 1996-271341 A3 19960924

OTHER SOURCE(S): MARPAT 127:5005
GI



I

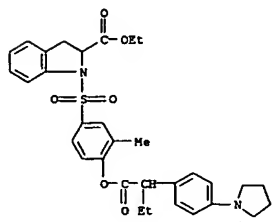
AB R1CR2R3CO2ZSO2NR5R6 [I; R1 = (un)substituted carbocyclic or heterocyclic ring; R2,R3 = H, halo, alkyl, Ph, etc.; R2R3 = alkylidene or atoms to complete a carbocyclic ring; R5,R6 = H, OH, alkyl, etc.; NR5R6 = heterocyclyl; Z = (un)substituted 1,4-phenylene] were prepared Thus, (S)-4-(tert-butoxycarbonyl-1-pyrrolidinylsulfonyl)-2-methylphenol was esterified by 2-(4-pyrrolidinophenyl]butanoic acid (preparation each given) to give title compound II. Data for biol. activity of I were given.

IT 190250-28-3P 190250-29-4P 190250-30-7P
190250-31-8P 190251-90-2P 190252-36-9P
190252-37-0P 190252-38-1P 190252-39-2P
190252-40-5P 190252-41-6P 190252-42-7P

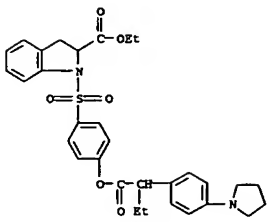
L4 ANSWER 74 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

190252-43-8P 190252-44-9P 190252-45-0P
190252-46-1P 190252-47-2P 190252-48-3P
190252-49-4P 190252-50-7P 190252-51-8P
190252-53-0P 190252-54-1P 190252-55-2P
190252-56-3P 190252-57-4P 190252-58-5P
190252-59-6P 190252-60-9P 190252-62-1P
190252-63-2P 190252-64-3P 190252-65-4P
190252-66-5P 190252-67-6P 190252-68-7P
190252-69-8P 190252-70-1P 190252-71-2P
190252-72-3P 190252-73-4P 190252-74-5P
190252-75-6P 190252-77-8P 190252-78-9P
190252-81-4P 190252-83-6P 190254-91-2P
190255-08-4P 190255-09-5P 190255-97-1P
190255-98-2P 190256-00-9P 190256-01-0P
190256-02-1P 190256-04-3P 190256-05-4P
190256-06-5P 190256-07-6P 190256-87-2P
190256-88-3P 190328-18-8P 190328-19-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfamoylphenyl alkanoates as elastase inhibitors)

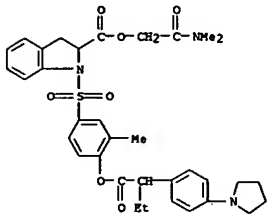
RN 190250-28-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



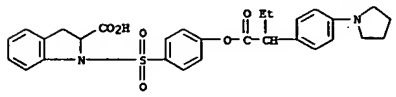
RN 190250-29-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 190250-30-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

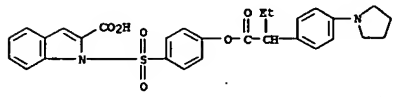


RN 190250-31-8 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2,3-dihydro-2-[[(phenylmethyl)amino]carbonyl]-1H-indol-1-yl]sulfonyl]phenyl ester (9CI) (CA INDEX NAME)



● HCl

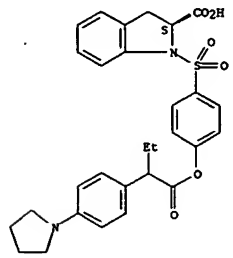
RN 190252-37-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



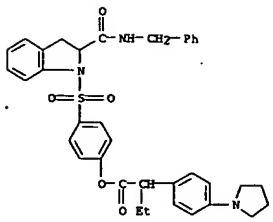
● HCl

RN 190252-38-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

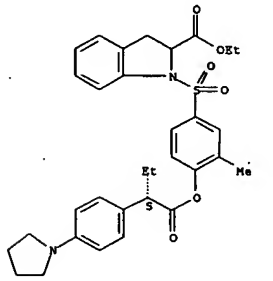


RN 190252-39-2 CAPLUS



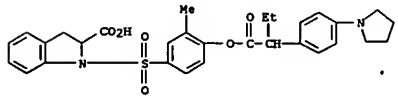
RN 190251-90-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



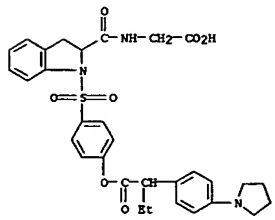
RN 190252-36-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



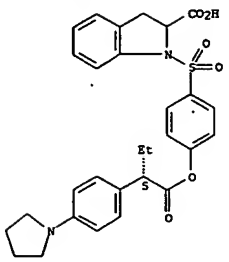
● HCl

RN 190252-40-5 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2-[[(carboxymethyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]sulfonyl]phenyl ester (9CI) (CA INDEX NAME)

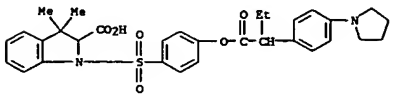


RN 190252-41-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

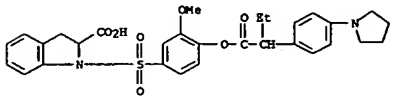
Absolute stereochemistry.



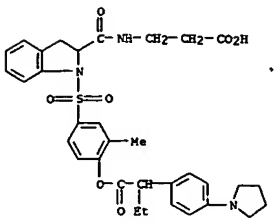
RN 190252-42-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3,3-dimethyl-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



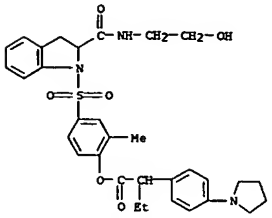
RN 190252-43-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methoxy-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



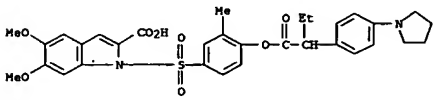
RN 190252-44-9 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2-[[(2-carboxyethyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]sulfonyl]-2-methylphenyl ester (9CI) (CA INDEX NAME)



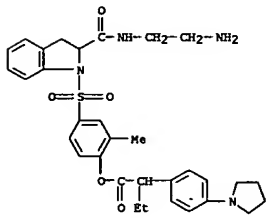
RN 190252-45-0 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2,3-dihydro-2-[[(2-hydroxyethyl)amino]carbonyl]-1H-indol-1-yl]sulfonyl]-2-methylphenyl ester (9CI) (CA INDEX NAME)



RN 190252-46-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

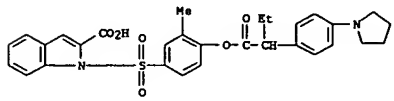


RN 190252-47-2 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2-[[(2-aminoethyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]sulfonyl]-2-methylphenyl ester, dihydrochloride (9CI) (CA INDEX NAME)

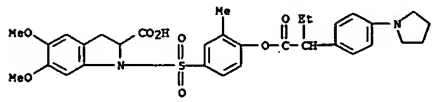


●2 HCl

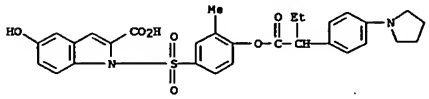
RN 190252-48-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



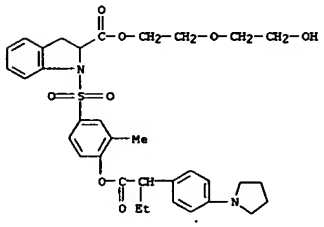
RN 190252-49-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-5,6-dimethoxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-50-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-hydroxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

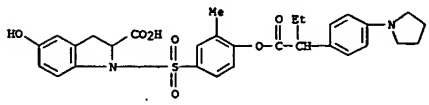


RN 190252-51-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(2-hydroxyethoxy)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

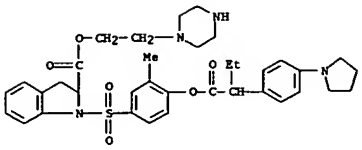


● HCl

RN 190252-53-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-5-hydroxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

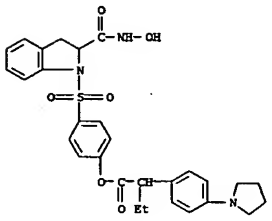


RN 190252-54-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester, trihydrochloride (9CI) (CA INDEX NAME)



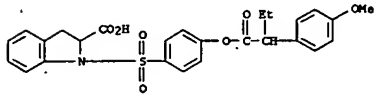
●3 HCl

RN 190252-55-2 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2,3-dihydro-2-[(hydroxyamino)carbonyl]-1H-indol-1-yl]sulfonyl]phenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

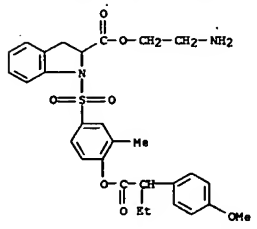


● HCl

RN 190252-56-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

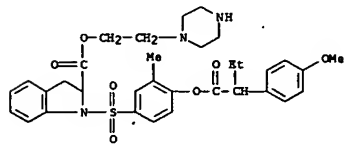


RN 190252-57-4 CAPLUS



● HCl

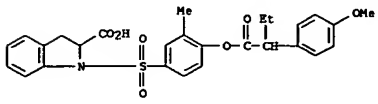
RN 190252-63-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



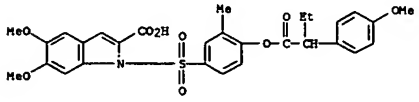
●2 HCl

RN 190252-64-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-(2-hydroxyethoxy)ethyl ester (9CI) (CA INDEX NAME)

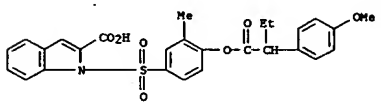
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



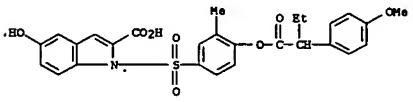
RN 190252-58-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



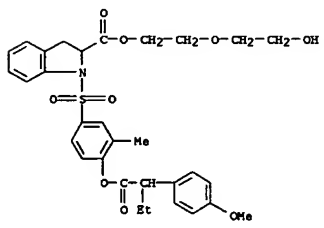
RN 190252-59-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



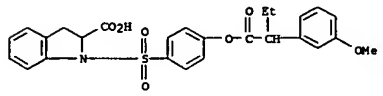
RN 190252-60-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-hydroxy-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



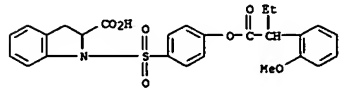
RN 190252-62-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-aminoethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



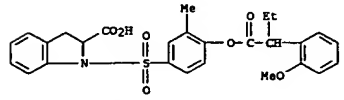
RN 190252-65-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(3-methoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-66-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(2-methoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

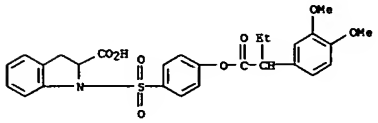


RN 190252-67-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(2-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



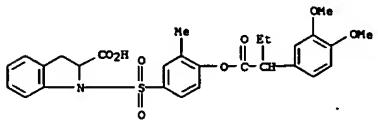
RN 190252-68-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(3,4-dimethoxyphenyl)-1-oxobutoxy]phenyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



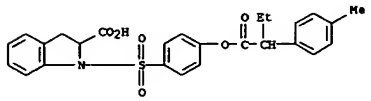
RN 190252-69-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(3,4-dimethoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



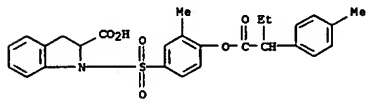
RN 190252-70-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



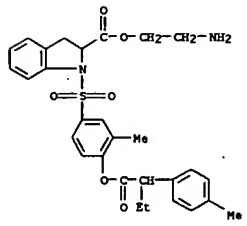
RN 190252-71-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-72-3 CAPLUS

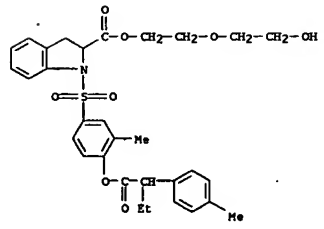
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



● HCl

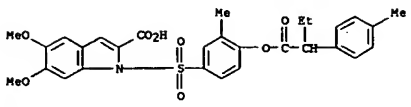
RN 190252-77-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]-, 2-(2-hydroxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



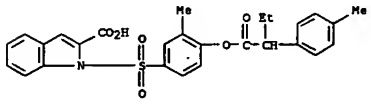
RN 190252-79-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



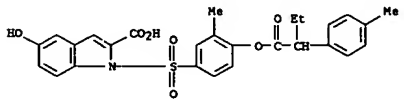
RN 190252-73-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



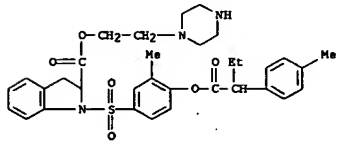
RN 190252-74-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-hydroxy-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 190252-75-6 CAPLUS

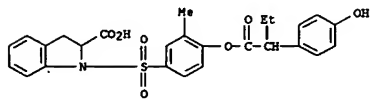
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]-, 2-aminoethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

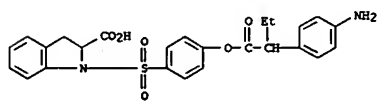
RN 190252-81-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-hydroxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



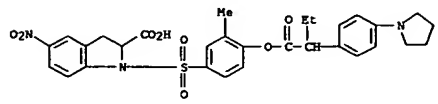
RN 190252-83-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[[4-[2-(4-aminophenyl)-1-oxobutoxy]phenyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



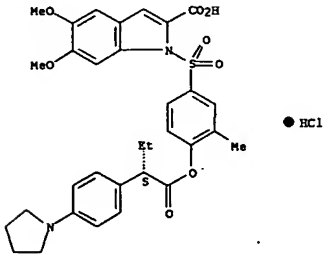
RN 190254-91-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-5-nitro- (9CI) (CA INDEX NAME)



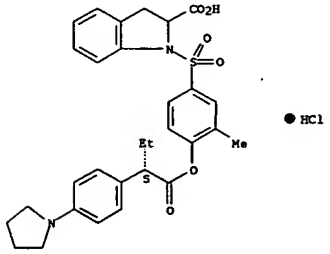
RN 190255-08-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[3-methyl-4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

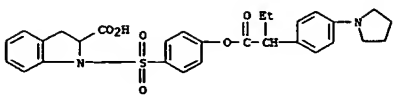


RN 190255-09-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[(2S)-1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

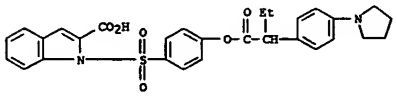
Absolute stereochemistry.



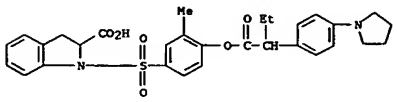
RN 190255-97-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



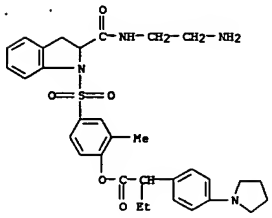
RN 190255-98-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



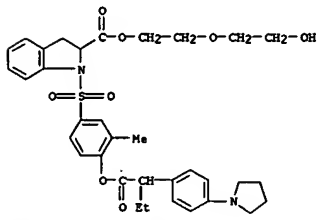
RN 190256-00-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



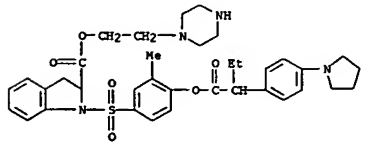
RN 190256-01-0 CAPLUS
CN Benzeneacetic acid, α-ethyl-4-(1-pyrrolidinyl)-, 4-[[2-[[(2-aminoethyl)amino]carbonyl]-2,3-dihydro-1H-indol-1-yl]sulfonyl]-2-methylphenyl ester (9CI) (CA INDEX NAME)



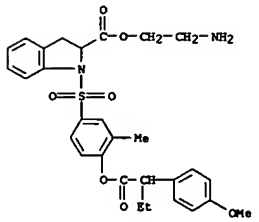
RN 190256-02-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(2-hydroxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



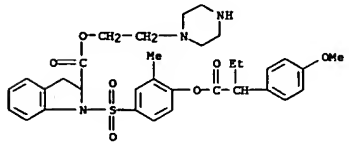
RN 190256-04-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester (9CI) (CA INDEX NAME)



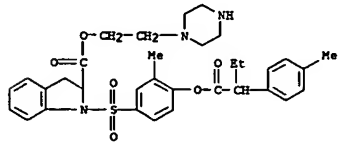
RN 190256-05-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-aminoethyl ester (9CI) (CA INDEX NAME)



RN 190256-06-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[4-[2-(4-methoxyphenyl)-1-oxobutoxy]-3-methylphenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester (9CI) (CA INDEX NAME)

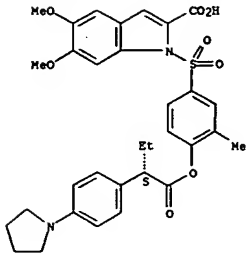


RN 190256-07-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[2-(4-methylphenyl)-1-oxobutoxy]phenyl]sulfonyl]-, 2-(1-piperazinyl)ethyl ester (9CI) (CA INDEX NAME)



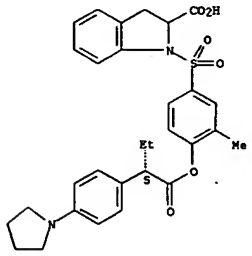
RN 190256-87-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



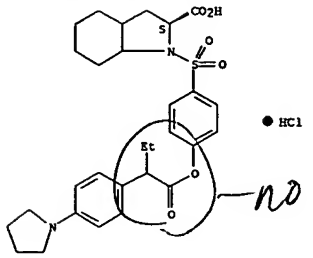
RN 190256-88-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[[3-methyl-4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, [1(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



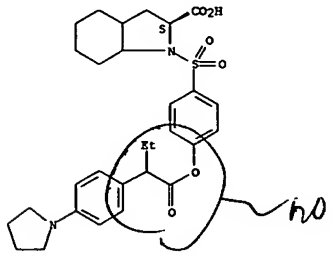
RN 190328-18-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 190328-19-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-, (2S)-[partial]- (9CI) (CA INDEX NAME)

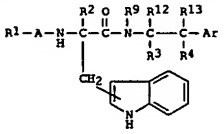
Absolute stereochemistry.



ACCESSION NUMBER: 1997:342745 CAPLUS
DOCUMENT NUMBER: 127:51005
TITLE: Preparation of N-substituted cycloalkyl and polycycloalkyl α-substituted Trp-Phe- and phenethylamine derivatives as anxiolytics and cholecystokinin activity-modifying agents
INVENTOR(S): Horwell, David C.; Pritchard, Martyn C.; Roberts, Edward; Richardson, Reginald S.; Aranda, Julian
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: U.S., 108 pp., Cont.-in-part of U.S. Ser. No. 958,196, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5631281	A	19970520	US 1994-235814	19940428
AU 9059628	A1	19910117	AU 1990-59628	19900628
AU 644088	B2	19931202		
ZA 9005057	A	19920226	ZA 1990-5057	19900628
EP 479910	A1	19920415	EP 1990-911185	19900628
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04506079	T2	19921022	JP 1990-510126	19900628
JP 2972331	B2	19991108		
CA 2060652	C	20010821	CA 1990-2060652	19900628
CA 2344707	C	20020730	CA 1990-2344707	19900628
US 5278316	A	19940111	US 1990-629809	19901219
FI 106197	B1	20001215	FI 1991-6060	19911220
NO 9105122	A	19920227	NO 1991-5122	19911227
NO 301831	B1	19971215		
US 5580896	A	19961203	US 1995-447142	19950522
US 5622983	A	19970422	US 1995-447141	19950522
PRIORITY APPLN. INFO.:			US 1989-374327 B2	19890629
			US 1989-422486 B2	19891016
			US 1990-580811 B2	19900605
			US 1990-545222 B2	19900628
			US 1990-629809 A3	19901219
			US 1992-958196 B2	19921007
			US 1990-530811 A	19900605
			NZ 1990-234264 A	19900627
			CA 1990-2060652 A3	19900628
			WO 1990-US3553 A	19900628
			US 1994-235814 B3	19940428

OTHER SOURCE(S): MARPAT 127:51005
GI



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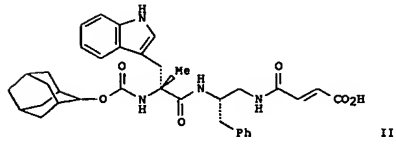


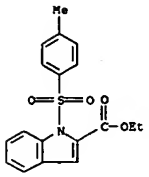
II

AB Novel unnatural dipeptoids I [R1 = C3-12 (poly)cycloalkyl containing 0-4 substituents each (un)branched C1-6 alkyl, halo, CN, OR, SR, CO2R, CF3, NR5R6, (CH2)nOR5; R = (un)branched C1-6 alkyl, R5, R6 = H, C1-6 alkyl, n = 0-6; A = (CH2)nCO, SO2, S(O), NHCO, (CH2)nO2C, SCO, O(CH2)nCO, CH:CHCO; R2 = (un)branched C1-6 alkyl, CH:CH2, C.tplbond.CH, CH2CH:CH2, CH2C.tplbond.CH, (CH2)nAr, (CH2)nOR, (CH2)nOAr, (CH2)nCO2R, (CH2)nNR5R6; R3, R4 = independently H, R2, (CH2)q-B-D; q = 0-3; B = bond, O2C(CH2)n, O(CH2)n, SO2NH(CH2)n, NHCO(CH2)n, CONH(CH2)n, NHCOCH:CH, CO2(CH2)n, CO(CH2)n, S(CH2)n, S(O)(CH2)n, SO2(CH2)n, CONHCR7:CR8, NHCOCR7:CR8, CONHCHR7CHR8, NHCOCHR7CHR8, CR7:CR8, CHR7CHR8; R7, R8 = independently H, R2; R7R8 = (CH2)m, m = 1-5; D = CO2R, CH2OR, CHR2OR, CH2SR, CHR2SR, CONR5R6, CN, NR5R6, OH, PhSO2NHCO, CF3CONHCO, CF3SO2NHCO, H2NSO2, H, acid replacement group such as tetrazole; R9 = H, (un)branched C1-6 alkyl, (CH2)nCO2R, (CH2)nOAr, (CH2)nAr, (CH2)nNR5R6; R10 = OH, NH2, Me, Cl; R11 = CN, CO2H, CF3; Ar = 2- or 3-thienyl, 2- or 3-furanyl, 2-, 3- or 4-pyridinyl, (un)substituted Ph containing H, halo, Me, OMe, CF3, NO2, OH, NH2, OCF3, NHCOCH2CH2CO2H, or CH2CH2CO2H groups; R12, R13 = H, or taken with R3 and R4 form a double bond} are disclosed. I are α-substituted Trp-Phe derivs. useful as agents in the treatment of obesity, hypersecretion of gastric acid in the gut, gastrin-dependent tumors, colorectal tumors, or as antipsychotics. Further, compds. I are antianxiety agents, antiulcer agents, antidepressant agents, and are agents useful for preventing the withdrawal response produced by chronic treatment or use followed by chronic treatment followed by withdrawal from nicotine, diazepam, alc., cocaine, caffeine, or opioids. Also disclosed are pharmaceutical compns. and methods of treatment using the dipeptoids as well as processes for preparing them and novel intermediates useful in their preparation An addnl. feature of the invention is the use of the subject compds. to prepare pharmaceutical and diagnostic compns. Thus, methyltryptophan derivative II, prepared from tert-butoxycarbonyl-L-phenylalaninol, 2-adamantyloxycarbonyl-α-methyl-D-tryptophan, and monomethyl fumarate, displayed Ki = 0.00008 μM in a central cholecystokinin binding assay.

IT 132819-92-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 75 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of [(poly)cycloalkoxycarbonyl]methyltryptophan derivs. as anxiolytics and cholecystokinin activity-modifying agents)

RN 132819-92-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

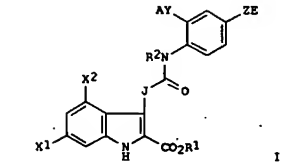


L4 ANSWER 76 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:220571 CAPLUS
DOCUMENT NUMBER: 126:212039
TITLE: Preparation of indolecarboxylic acids as NMDA/glycine antagonists
INVENTOR(S): Nagata, Tatsu; Ae, Nobuyuki
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09040645	A2	19970210	JP 1995-212422	19950728
PRIORITY APPLN. INFO.:			JP 1995-212422	19950728

OTHER SOURCE(S): MARPAT 126:212039
GI



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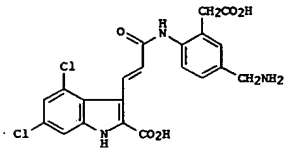


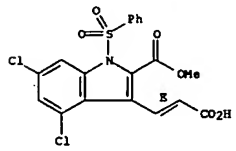
II

AB The title compds. I [X1, X2 = H, alkyl, etc.; R1 = H, etc.; R2 = H, alkyl; A = acid residue, etc.; E = basic residue, etc.; J = vinylene, etc.; Y = bond, etc.; Z = bond, etc.] are prepared In an in vitro test for NMDA/glycine antagonism, the title compound II.HCl showed IC50 of 26 nM.

IT 154353-86-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indolecarboxylic acids as NMDA/glycine antagonists)

RN 154353-86-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-carboxyethenyl)-4,6-dichloro-1-(phenylsulfonyl)-, 2-methyl ester, (E)- (9CI) (CA INDEX NAME)

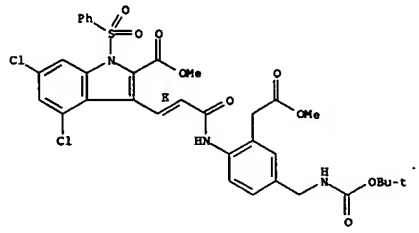
L4 ANSWER 76 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



IT 187980-25-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolecarboxylic acids as NMDA/glycine antagonists)

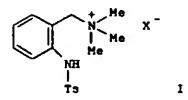
RN 187980-25-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-(2-methoxy-2-oxoethyl)phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 77 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:1517 CAPLUS
DOCUMENT NUMBER: 126:117836
TITLE: [2-(Tosylamino)benzyl]trimethylammonium halides as precursors of 2-substituted indoles
AUTHOR(S): Dalla Croce, Piero; Ferraccioli, Raffaella; La Rosa, Concetta
CORPORATE SOURCE: Cent. C.N.R. Dip. Chim. Org. Ind., Milan, 20133, Italy
SOURCE: Heterocycles (1996), 43(11), 2397-2407
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

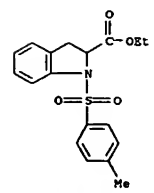


I

AB The reactions of [2-(tosylamino)benzyl]trimethylammonium halides I (X = Cl, I) with dimethylsulfonium methylide, dimethylsulfonium 2-oxo-2-phenylethylide, dimethylsulfonium 2-ethoxy-2-oxo-ethylide and dimethylsulfonium cyanomethylide were useful synthetic routes to 2-substituted indoles. The relationship between reaction conditions and selectivity is discussed. The reaction of I as electrophiles was studied.

IT 186098-25-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indoles from ylides and [(tosylamino)benzyl]methylammonium halides)

RN 186098-25-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

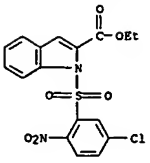
L4 ANSWER 78 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:740357 CAPLUS
DOCUMENT NUMBER: 126:18787
TITLE: Preparation of 1H-pyrrol-1-yl- and 1H-indol-1-yl aryl sulfones for treatment of HIV-1 infections.
INVENTOR(S): Artico, Marino; Massa, Silvio; Silvestri, Romano; Loi, Anna Giulia; De Montis, Antonella; La Colla, Paolo
PATENT ASSIGNEE(S): Istituto Superiore Di Sanita, Italy; Universita' Degli Studi Di Cagliari; Artico, Marino; Massa, Silvio; Silvestri, Romano; Loi, Anna, Giulia; De Montis, Antonella; La Colla, Paolo
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

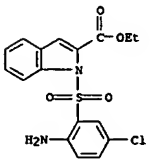
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633171	A1	19961024	WO 1996-EP1642	19960419
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9656901	A1	19961107	AU 1996-56901	19960419
PRIORITY APPLN. INFO.:			IT 1995-MI812 A	19950421
			WO 1996-EP1642 W	19960419

OTHER SOURCE(S): MARPAT 126:18787
GI For diagram(s), see printed CA Issue.
AB Title compds. (I; R1 = NO2, NH2, halo, NHCH2Z, NHCOW; W, Z = H, alkyl, aryl, heteroaryl; R2 = H, halo; R3 = R4 = H, NO2, NH2, Me, halo; R5 = H, COX, CONHY; X = OR, alkyl, aryl, CCl3, dialkylamino; R = alkyl, cycloalkyl, aryl arylmethyl; Y = H, alkyl, acyl; R6 = H, halo, NO2, NH2, OMe; A = H, phenyl; K = H, CHO, CH2NC5H11, CH2NC4H8NMe), were prepared Thus, 2-nitrobenzenesulfonyl chloride was added to a mixture of 2-methoxycarbonyl-1H-pyrrole, KOCMe3, and 18-crown-6 in THF with ice cooling followed by stirring for 3.5 h to give 58% Me 1-(2-nitrobenzenesulfonyl)-1H-pyrrole-2-carboxylate. The latter showed an IC50 = 7.5 μM against HIV-1 in MT-4 cells.
IT **173908-27-5P 173908-47-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-pyrrol-1-yl- and 1H-indol-1-yl aryl sulfones for treatment of HIV-1 infections)
RN 173908-27-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(5-chloro-2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 78 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

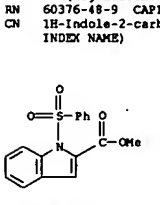


RN 173908-47-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-amino-5-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

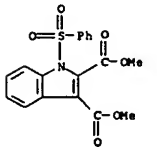


L4 ANSWER 79 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:635686 CAPLUS
DOCUMENT NUMBER: 125:328439
TITLE: Magnesiation of indoles with magnesium amide bases
AUTHOR(S): Kondo, Yoshinori; Yoshida, Akihiro; Sakamoto, Takao
CORPORATE SOURCE: Fac. Pharmaceutical Sciences, Tohoku Univ., Sendai, 980-77, Japan
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (19), 2331-2332
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:328439
AB The deprotonation of 1-substituted indole derivs. was achieved with Hauser bases or magnesium diamides to give magnesioindoles which were subsequently treated with electrophiles. For example, 1-(phenylsulfonyl)-1H-indole was treated with magnesium diisopropylamide and then with benzaldehyde to give α-phenyl-1-(phenylsulfonyl)-1H-Indole-2-methanol in 93% yield.
IT **60376-48-9P**, 1H-Indole-2-carboxylic acid 1-(phenylsulfonyl) methyl ester **183581-92-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(magnesiation of indoles with magnesium amide bases)
RN 60376-48-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 183581-92-2 CAPLUS
CN 1H-Indole-2,3-dicarboxylic acid, 1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)

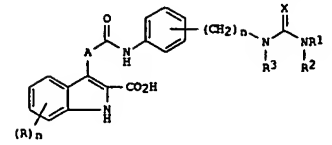


L4 ANSWER 80 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

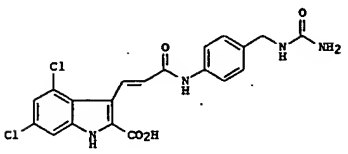
ACCESSION NUMBER: 1996:632264 CAPLUS
DOCUMENT NUMBER: 125:275646
TITLE: Indole derivatives as excitatory amino acid (EAA) antagonists.
INVENTOR(S): Conti, Nadia; Di Fabio, Romano; De Magistris, Elisabetta; Feriani, Aldo
PATENT ASSIGNEE(S): Glaxo Wellcome S.P.A., Italy
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9627588	A1	19960912	WO 1996-EP840	19960301
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2214583	AA	19960912	CA 1996-2214583	19960301
AU 9649438	A1	19960923	AU 1996-49438	19960301
AU 708291	B2	19990729		
ZA 9601697	A	19971001	ZA 1996-1697	19960301
EP 813524	A1	19971229	EP 1996-905833	19960301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
BR 9607346	A	19971230	BR 1996-7346	19960301
CN 1182417	A	19980520	CN 1996-193467	19960301
JP 11501041	T2	19990126	JP 1996-526590	19960301
IL 117331	A1	20000629	IL 1996-117331	19960301
TW 438773	B	20010607	TW 1996-85103238	19960319
NO 9704043	A	19971103	NO 1997-4043	19970903
NO 309323	B1	20010115		
US 5919811	A	19990706	US 1997-894702	19970909
PRIORITY APPLN. INFO.:			GB 1995-4361 A	19950304
			WO 1996-EP840 W	19960301

OTHER SOURCE(S): MARPAT 125:275646
GI



I



II

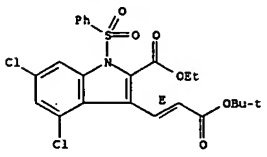
AB Title compds. I [R = halo, alkyl, alkoxy, (di)(alkyl)amino, OH, CF3, CF3O, NO2, cyano, SO2R4, COR4; R4 = OH, OMe, (di)(alkyl)amino; m = 0, 1, 2; A = C,tplbond.C, (un)substituted CH:CH; R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl; R2 = H, alkyl; or NR1R2 = 5- to 7-membered heterocycle with optional addnl. O, S, or N atom; R3 = H, alkyl; n = 0-4; X = O, S] are disclosed, as well as their salts, metabolically labile esters, preparation processes, use in medicine, and preparatory intermediates.

I have specific antagonist activity at the strychnine-insensitive glycine binding site located upon the NMDA receptor complex, coupled with an advantageous pharmacol. activity profile. For example, 4,6-dichloro-2-(ethoxycarbonyl)-3-(E)-[2-(tert-butoxycarbonyl)ethenyl]-1H-indole underwent a sequence of: sulfonamidation in the 1-position by PhSO2Cl; removal of the tert-Bu ester with formic acid; amidation of the acid function with 4-HZNC6H4CH2NHCO2Bu-tert; removal of the BOC group with CF3CO2H; carbamoylation of the resultant amine with Me3SiNCO; hydrolysis of the 1-phenylsulfonyl group with NaOH in EtOH; and hydrolysis of the Et ester with LiOH in aqueous EtOH, to give title compound II. In a test for affinity to the above-mentioned NMDA receptor site, II had pKi of 8.6. Selected I also gave 30-60% inhibition of NMDA-induced convulsions in mice at 0.1 mg/kg i.v.

IT 182315-13-5P 182315-14-6P 182315-15-7P
182315-17-9P 182315-18-0P 182315-19-1P
182315-20-4P 182315-24-8P 182315-25-9P
182315-26-0P 182315-27-1P 182315-29-3P
182315-30-6P 182315-34-0P 182315-35-1P
182315-36-2P 182315-37-3P 182315-38-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. as excitatory amino acid antagonists)

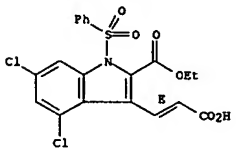
RN 182315-13-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



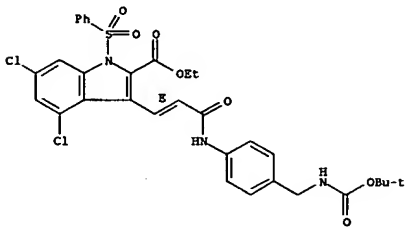
RN 182315-14-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-carboxyethenyl)-4,6-dichloro-1-(phenylsulfonyl)-, 2-ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 182315-15-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

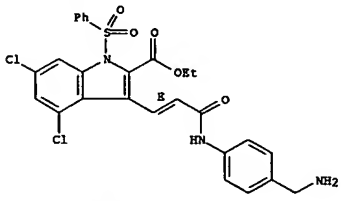
Double bond geometry as shown.



RN 182315-17-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-[[4-(aminomethyl)phenyl]amino]-3-oxo-1-propenyl]-4,6-dichloro-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA

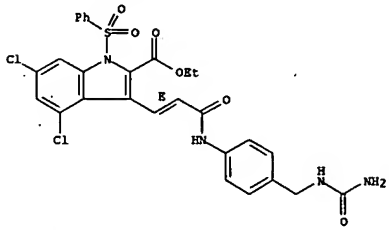
INDEX NAME)

Double bond geometry as shown.



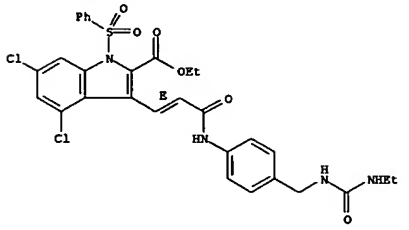
RN 182315-18-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-[[4-[[(aminocarbonyl)amino]methyl]phenyl]amino]-3-oxo-1-propenyl]-4,6-dichloro-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



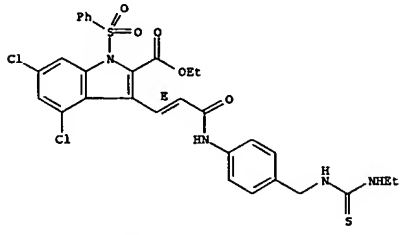
RN 182315-19-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[[(ethylamino)carbonyl]amino]methyl]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



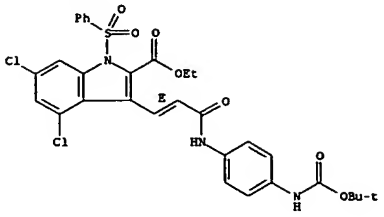
RN 182315-20-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[[(ethylamino)thioxomethyl]amino]methyl]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



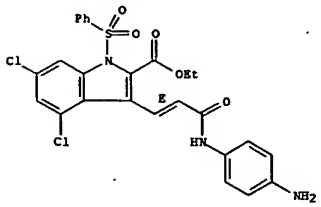
RN 182315-24-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 182315-25-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-[[4-aminophenyl]amino]-3-oxo-1-propenyl]-4,6-dichloro-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

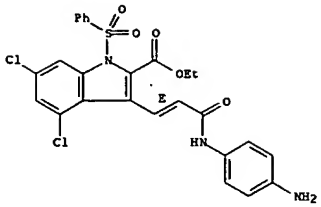


RN 182315-26-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-[[4-aminophenyl]amino]-3-oxo-1-propenyl]-4,6-dichloro-1-(phenylsulfonyl)-, ethyl ester, (E)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 182315-25-9
CMF C26 H21 Cl2 N3 O5 S

Double bond geometry as shown.

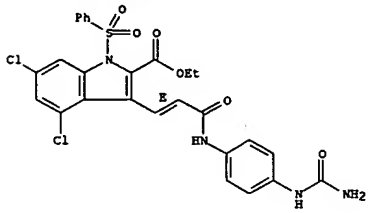


CM 2

CRN 76-05-1
CMF C2 H F3 O2

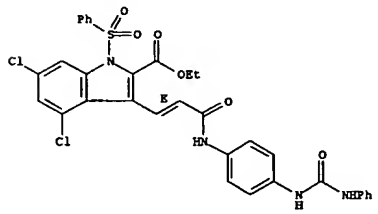
RN 182315-27-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-[[4-[(aminocarbonyl)amino]phenyl]amino]-3-oxo-1-propenyl]-4,6-dichloro-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



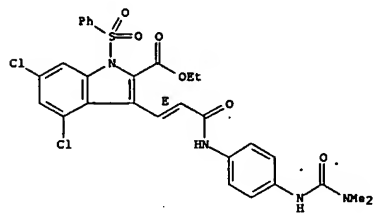
RN 182315-29-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[[4-[[(phenylamino)carbonyl]amino]phenyl]amino]-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



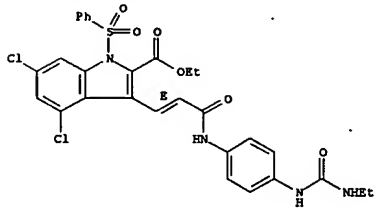
RN 182315-30-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[(dimethylamino)carbonyl]amino]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



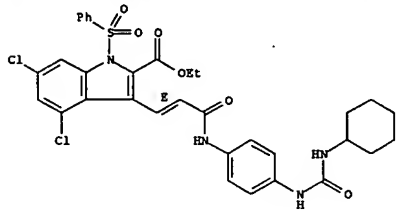
RN 182315-34-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[(ethylamino)carbonyl]amino]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



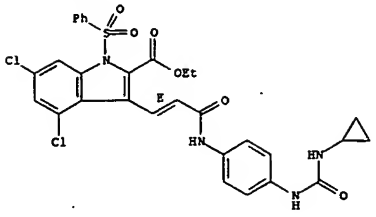
RN 182315-35-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[(cyclohexylamino)carbonyl]amino]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



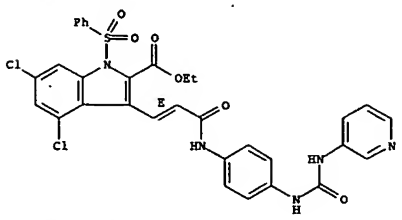
RN 182315-36-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-[[4-[[(cyclopropylamino)carbonyl]amino]phenyl]amino]-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



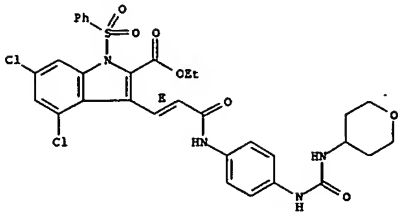
RN 182315-37-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[[4-[[(3-pyridinylamino)carbonyl]amino]phenyl]amino]-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



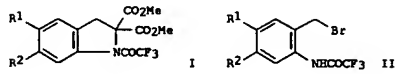
RN 182315-38-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[[4-[[[(tetrahydro-2H-pyran-4-yl)amino]carbonyl]amino]phenyl]amino]-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 81 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:605667 CAPLUS
DOCUMENT NUMBER: 125:328435
TITLE: A synthesis of functionalized indoline 2,2-biscarboxylates
AUTHOR(S): Wright, Stephen W.; Dow, Robert L.; McClure, Lester D.; Hageman, David L.
CORPORATE SOURCE: Pfizer Central Research, Groton, CT, 06340, USA
SOURCE: Tetrahedron Letters (1996), 37(39), 6965-6968
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



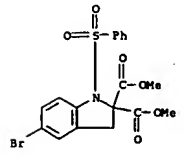
I

II

AB A synthetic approach to a structurally novel series of indoline 2,2-biscarboxylates I (R1 = R2 = H; R1 = Br, Me, Cl, R2 = H; R1 = H, R2 = Cl) is described that employs a tandem bis-alkylation strategy to cyclize the indoline heteroring from the bromide II and di-Et bromomalonate. The indolines thus prepared may be N-deprotected and further functionalized on the indoline nitrogen.

IT 183173-58-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and functionalization of indoline biscarboxylates)

RN 183173-58-2 CAPLUS
CN 2H-Indole-2,2-dicarboxylic acid, 5-bromo-1,3-dihydro-1-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)



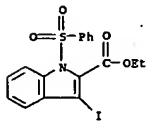
L4 ANSWER 82 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:539384 CAPLUS
DOCUMENT NUMBER: 125:328433
TITLE: Preparation and palladium-catalyzed arylation of indolylzinc halides
AUTHOR(S): Sakamoto, Takao; Kondo, Yoshinori; Takazawa, Nobuo; Yamanaka, Hiroshi
CORPORATE SOURCE: Fac. Pharm. Sci., Tohoku Univ. Aobayama, Sendai, 980-77, Japan
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (16), 1927-1934
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Indolylzinc halides were prepared by two methods: transmetalation of indolyllithiums with zinc chloride and oxidative addition of active zinc to iodoindoles. For example, the iodination of 1-(phenylsulfonyl)-1H-indole-3-carboxylic acid Me ester gave 4-iodo-1-(phenylsulfonyl)-1H-indole-3-carboxylic acid Me ester. The treatment of the iodo compound with active zinc and iodobenzene in the presence of tetrakis(triphenylphosphine)palladium gave 4-phenyl-1-(phenylsulfonyl)-1H-indole-3-carboxylic acid Me ester.

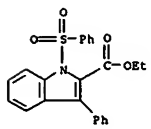
IT 153827-71-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and palladium-catalyzed arylation of indolylzinc halides)

RN 153827-71-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



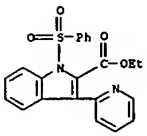
IT 153827-75-9P 153827-76-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and palladium-catalyzed arylation of indolylzinc halides)

RN 153827-75-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-phenyl-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 82 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 153827-76-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-3-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 83 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:466921 CAPLUS
DOCUMENT NUMBER: 125:114496
TITLE: Heterocycle-substituted propenoic acid derivatives as NMDA antagonists
INVENTOR(S): Harrison, Boyd L.; Nyce, Philip L.; Farr, Robert A.
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

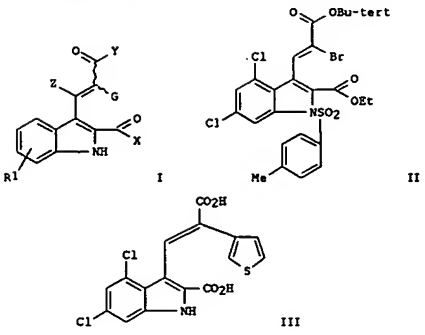
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613501	A1	19960509	WO 1995-US12085	19950921
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5563157	A	19961008	US 1994-332016	19941031
US 5563157	B1	19990202		
CA 2202992	AA	19960509	CA 1995-2202992	19950921
CA 2202992	C	20030513		
AU 9536387	A1	19960523	AU 1995-36387	19950921
AU 696423	B2	19980910		
EP 790994	A1	19970827	EP 1995-933902	19950921
EP 790994	B1	20020508		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1161696	A	19971008	CN 1995-195799	19950921
CN 1068001	B	20010704		
HU 77174	A2	19980302	HU 1997-1941	19950921
JP 10508019	T2	19980804	JP 1996-514563	19950921
AT 217307	E	20020515	AT 1995-933902	19950921
PT 790994	T	20020830	PT 1995-933902	19950921
ES 2173198	T3	20021016	ES 1995-933902	19950921
ZA 9509046	A	19960517	ZA 1995-9046	19951025
IL 115791	A1	20000928	IL 1995-115791	19951027
FI 9701831	A	19970429	FI 1997-1831	19970429
NO 9701991	A	19970429	NO 1997-1991	19970429
NO 313197	B1	20020826		
US 5981553	A	19991109	US 1997-990673	19971215
US 6180786	B1	20010130	US 1999-363305	19990728

PRIORITY APPLN. INFO.:

US 1994-332016	A1	19941031
WO 1995-US12085	W	19950921
US 1997-809442	B1	19970716
US 1997-990673	A3	19971215

OTHER SOURCE(S): MARPAT 125:114496
GI

L4 ANSWER 83 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



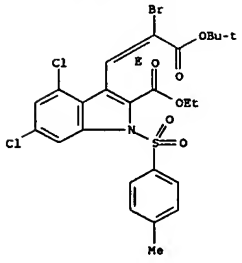
AB 3-(Heterocyclic)-propenoic acid derivs. I are claimed [wherein Z = H, Me; X, Y = OH, physiol. acceptable ester or amide; R1 = 1-3 of H, alkyl, alkoxy, halo, CF3, OCF3; G = thienyl or furyl, optionally substituted by 1-2 alkyl; or pyridyl, optionally substituted by 1-2 alkyl, alkoxy, or halo], as well as their pharmaceutically acceptable salts. The compds. are useful as NMDA antagonists, for treating a variety of medical conditions. For example, the protected 2-bromo-3-indolylpropenoate derivative (Z)-II (preparation given) underwent a sequence of Pd-catalyzed heteroarylation with thiophene-3-boronic acid, followed by deprotection of the tert-Bu ester, and then the Et ester and N-tosyl group, to give title compound (E)-III. Results of a test for binding of selected I to the strychnine-insensitive binding site on the NMDA receptor complex are described.

IT **179106-69-5P 179106-70-8P 179106-92-4P**
179328-03-1P 179328-04-2P 179328-05-3P
179328-06-4P 179328-07-5P 179328-08-6P
179328-09-7P 179328-10-0P 179328-11-1P
179328-19-9P 179328-20-2P 179328-21-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroarylindolylpropenoic acid derivs. as NMDA receptor antagonists)

RN 179106-69-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[2-bromo-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

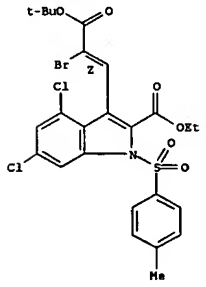
Double bond geometry as shown.

L4 ANSWER 83 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

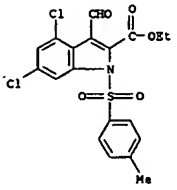


RN 179106-70-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-bromo-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

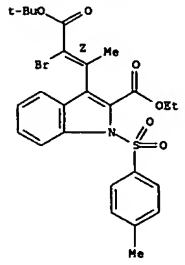


RN 179106-92-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-formyl-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



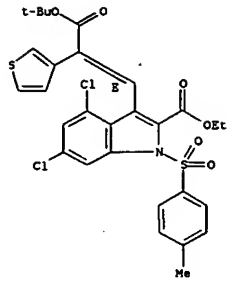
RN 179328-03-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[2-bromo-3-(1,1-dimethylethoxy)-1-methyl-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



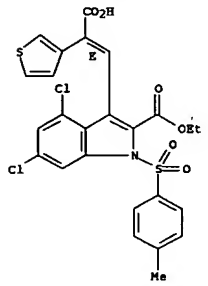
RN 179328-04-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-3-oxo-2-(3-thienyl)-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



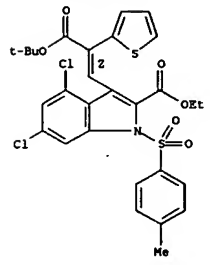
RN 179328-05-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(3-thienyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



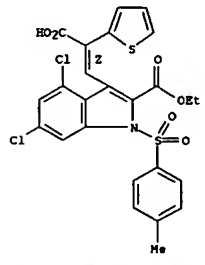
RN 179328-06-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1Z)-3-(1,1-dimethylethoxy)-3-oxo-2-(2-thienyl)-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



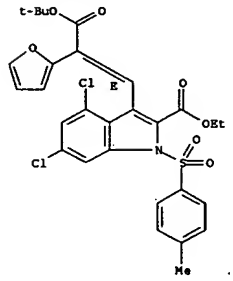
RN 179328-07-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-carboxy-2-(2-thienyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



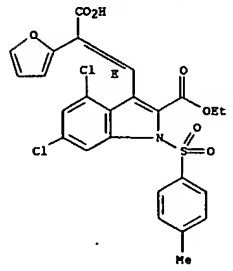
RN 179328-08-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-2-(2-furanyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



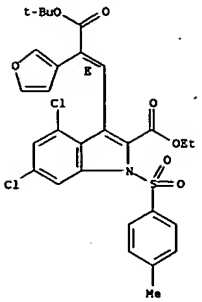
RN 179328-09-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(2-furanyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



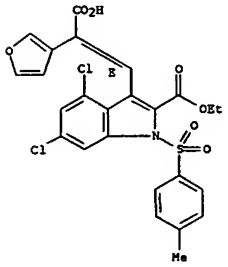
RN 179328-10-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-2-(3-furanyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



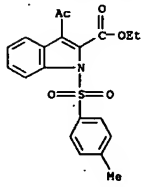
RN 179328-11-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[2-carboxy-2-(3-furanyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester, (E)- (9CI) (CA INDEX NAME)

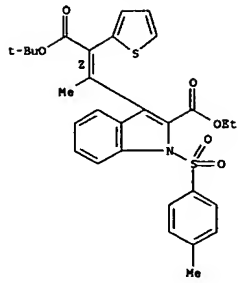
Double bond geometry as shown.



RN 179328-19-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[3-(1,1-dimethylethoxy)-1-methyl-3-oxo-2-(2-thienyl)-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

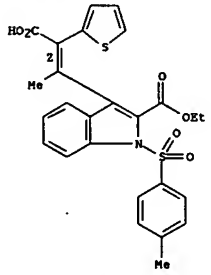
Double bond geometry as shown.





RN 179328-20-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[2-carboxy-1-methyl-2-(2-thienyl)ethenyl]-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



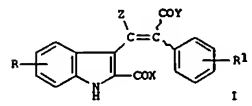
RN 179328-21-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-acetyl-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 84 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1996:366111 CAPLUS
DOCUMENT NUMBER:	125:114479
TITLE:	Preparation of 3-(indol-3-yl)propenoic acid derivatives and their pharmaceutical compositions
INVENTOR(S):	Salituro, Francesco G.; Baron, Bruce M.; Harrison, Boyd L.; Nyce, Philip L.
PATENT ASSIGNEE(S):	Merrell Pharmaceuticals Inc., USA
SOURCE:	U.S., 35 pp., Cont.-in-part of U.S. Ser. No. 331,419, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5519048	A	19960521	US 1995-441911	19950516
CN 1124484	A	19960612	CN 1994-192246	19940502
CN 1051302	B	20000412		
ZA 9403552	A	19950126	ZA 1994-3552	19940523
PRIORITY APPLN. INFO.:			US 1993-68367	B1 19930527
			US 1993-139323	B2 19931019
			US 1994-190814	B2 19940202
			US 1994-331419	B2 19941031

OTHER SOURCE(S): MARPAT 125:114479
GI



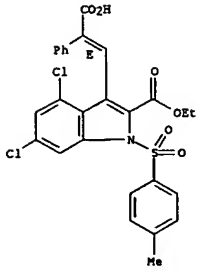
I

AB 3-(Indol-3-yl)propenoic acid derivs. I [Z = H, Me, Et; X, Y = OH, amide or ester function; R = 1-3 substituents chosen from H, C1-4 alkyl or alkoxy, halo, CF3, OCF3; R1 = 1-3 substituents chosen from H, NO2, NH2, C1-4 alkyl or alkoxy, halo, CF3, OCF3] were prepared by several methods. E.g., reaction of Et 4,6-dichloro-3-iodoindole-2-carboxylate and Et 2-phenyl-3-(tributylstannyl)propenoate in 1-methyl-2-pyrrolidinone in presence of bis(acetonitrile)palladium(II) dichloride give Et (E)- and (Z)-2-phenyl-3-(2-carboethoxy-4,6-dichloroindol-3-yl)propenoate. I are useful as NMDA antagonists (no data).

IT 179105-90-9 179105-91-0 179105-98-7
179105-99-8 179106-00-4 179106-01-5
179106-02-6 179106-03-7 179106-16-2
179106-17-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (indolyl)propenoic acid derivs.)

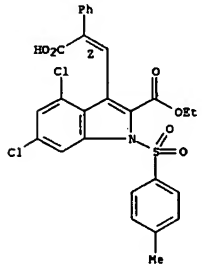
RN 179105-90-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-phenylethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



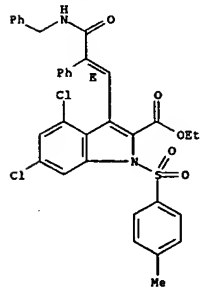
RN 179105-91-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-carboxy-2-phenylethenyl)-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



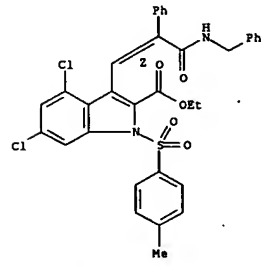
RN 179105-98-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-oxo-2-phenyl-3-(phenylamino)-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



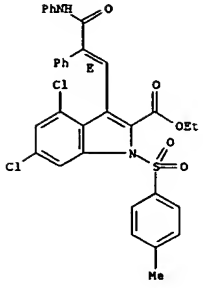
RN 179106-01-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[3-oxo-2-phenyl-3-[(phenylmethyl)amino]-1-propenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



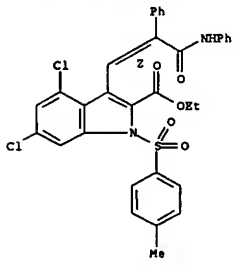
RN 179106-02-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-(4-morpholinyl)-3-oxo-2-phenyl-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 179105-99-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[3-oxo-2-phenyl-3-(phenylamino)-1-propenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

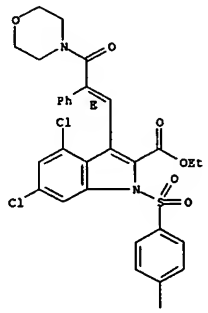
Double bond geometry as shown.



RN 179106-00-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-oxo-2-phenyl-3-[(phenylmethyl)amino]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

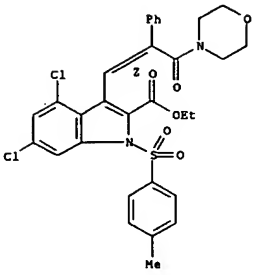
PAGE 1-A



PAGE 2-A

RN 179106-03-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[3-(4-morpholinyl)-3-oxo-2-phenyl-1-propenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

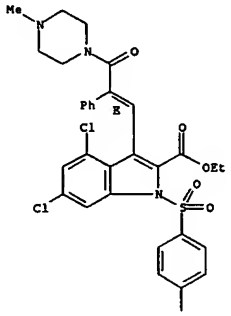
Double bond geometry as shown.



RN 179106-16-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[3-(4-methyl-1-piperazinyl)-3-oxo-2-phenyl-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

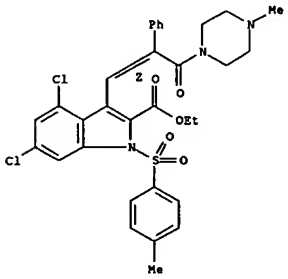
PAGE 1-A



PAGE 2-A

RN 179106-17-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[3-(4-methyl-1-piperazinyl)-3-oxo-2-phenyl-1-propenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

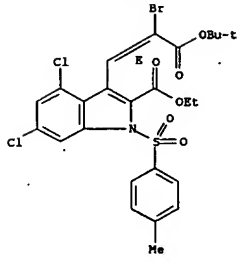
Double bond geometry as shown.



IT 179106-69-5P 179106-70-8P 179106-71-9P
179106-72-0P 179106-75-3P 179106-76-4P
179106-77-5P 179106-78-6P 179106-92-4P
179107-00-7P 179107-01-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (indolyl)propenoic acid derivs.)

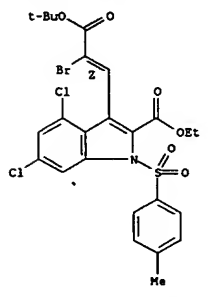
RN 179106-69-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[2-bromo-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



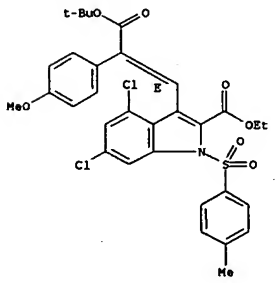
RN 179106-70-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-bromo-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



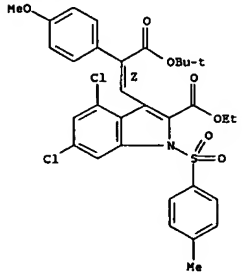
RN 179106-71-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-2-(4-methoxyphenyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



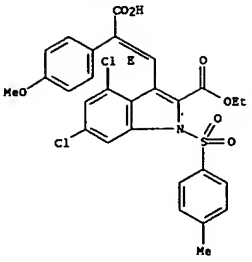
RN 179106-72-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(1,1-dimethylethoxy)-2-(4-methoxyphenyl)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



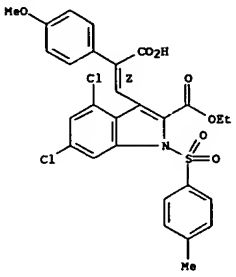
RN 179106-75-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-(4-methoxyphenyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



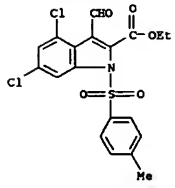
RN 179106-76-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[2-carboxy-2-(4-methoxyphenyl)ethenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, 2-ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



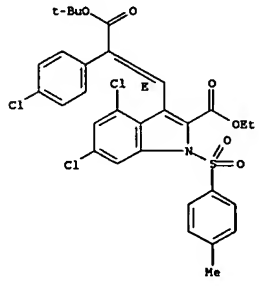
RN 179106-77-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-2-(2,4-dichlorophenyl)-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



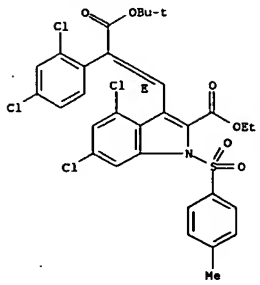
RN 179107-00-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-2-(4-chlorophenyl)-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



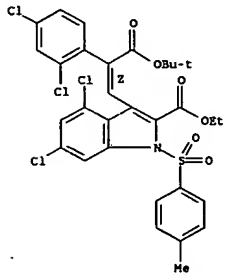
RN 179107-01-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-(4-chlorophenyl)-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

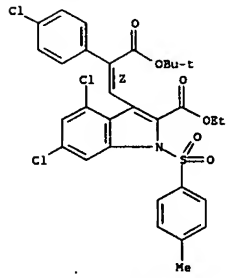


RN 179106-78-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-(2,4-dichlorophenyl)-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



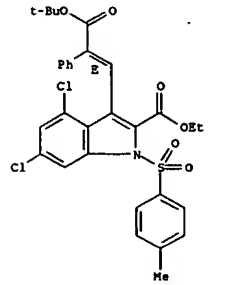
RN 179106-92-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-formyl-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 179105-88-5P 179105-89-6P 179105-92-1P
179105-93-2P 179105-94-3P 179105-95-4P
179105-96-5P 179105-97-6P 179106-57-1P
179106-58-2P 179106-61-7P 179106-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (indolyl)propenoic acid derivs.)

RN 179105-88-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(1,1-dimethylethoxy)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

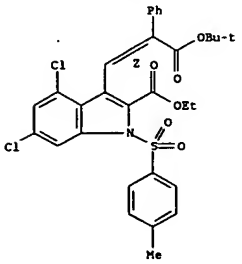
Double bond geometry as shown.



RN 179105-89-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(1,1-dimethylethoxy)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)-

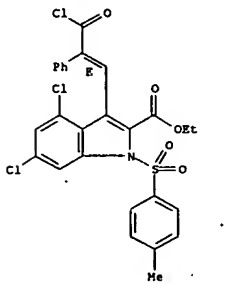
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



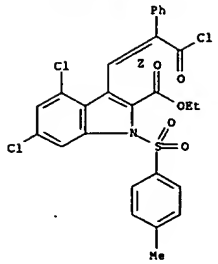
RN 179105-92-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-(3-chloro-3-oxo-2-phenyl-1-propenyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



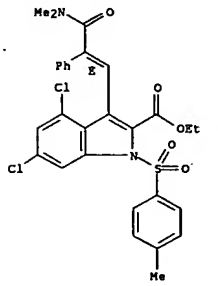
RN 179105-93-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-(3-chloro-3-oxo-2-phenyl-1-propenyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



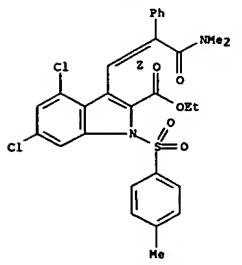
RN 179105-94-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(dimethylamino)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



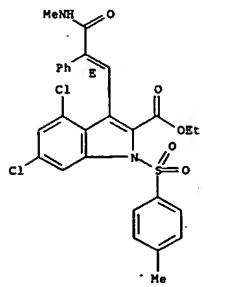
RN 179105-95-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(dimethylamino)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



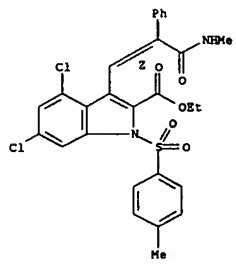
RN 179105-96-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-3-(methylamino)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



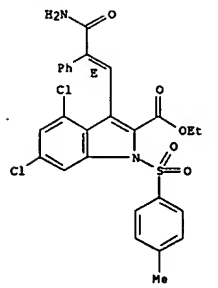
RN 179105-97-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(methylamino)-3-oxo-2-phenyl-1-propenyl]-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



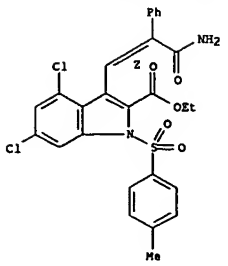
RN 179106-57-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-3-amino-3-oxo-2-phenyl-1-propenyl]-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



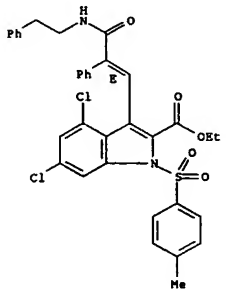
RN 179106-58-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(3-amino-3-oxo-2-phenyl-1-propenyl)-4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



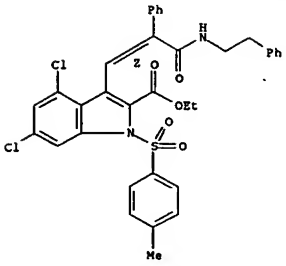
RN 179106-61-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[(1E)-3-oxo-2-phenyl-3-[(2-phenylethyl)amino]-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 179106-62-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-1-[(4-methylphenyl)sulfonyl]-3-[3-oxo-2-phenyl-3-[(2-phenylethyl)amino]-1-propenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



ACCESSION NUMBER: 1996:356594 CAPLUS
DOCUMENT NUMBER: 125:33682
TITLE: Preparation of 4-heterocyclylindole derivatives as serotonin agonists and antagonists
INVENTOR(S): Macor, John Eugene
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603400	A1	19960208	WO 1995-IB335	19950508
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2194984	AA	19960208	CA 1995-2194984	19950508
CA 2194984	C	20020702		
EP 773942	A1	19970521	EP 1995-915986	19950508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09508137	T2	19970819	JP 1995-524232	19950508
JP 3155008	B2	20010409		
FI 9700310	A	19970124	FI 1997-310	19970124
US 6255306	B1	20010703	US 1998-132170	19980811
PRIORITY APPLN. INFO.:			US 1994-281192 A	19940726
			WO 1995-IB335 W	19950508
			US 1997-776480 B1	19970123

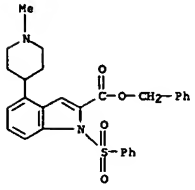
OTHER SOURCE(S): MARPAT 125:33682
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

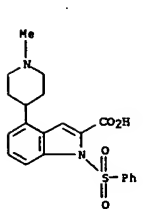
AB The title compds. [I; X = O, NR4; R1 = a group of formula Q - Q3; R2, R3 = H, alkyl, alkylaryl, alkylheteroaryl, NHCOR6, CONHR6, O2CR6, CO2R6, COR6, OR6, SOnR6, NHSOnR6, SOnNHR6, aryl, heteroaryl; provided that both R2 and R3 ≠ H; or R2R3 = CONHCHR6CH2; wherein R4 = H, alkyl, CHO, Ac, alkylaryl; R5 = H, alkyl, alkylaryl; R6 = H, alkyl, alkylaryl, alkylheteroaryl, aryl, heteroaryl, CH2YR7; wherein R7 = H, alkyl, alkylaryl, alkylheteroaryl, CONHR8, O2CR8, CO2R8, COR8, OR8, SOnR8, SOnNHR8, aryl, heteroaryl; wherein R8 = H, alkyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl; Y = O, SOn, NH; n = 0, 1,2,] and pharmaceutically acceptable salts thereof, which are useful psychotherapeutics and are potent serotonin (5-HT1) agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, chronic paroxysmal hemicrania, and headache associated with vascular disorders, pain, and other disorders arising from insufficient or deficient serotonergic neurotransmission, are prepared These compds. can also be used as centrally acting antihypertensives and vasodilators. Thus, a solution of 5.86 mmol carbonyl diimidazole and 2.74 mmol 4-(4-methylpiperazin-1-yl)indole-2-carboxylic acid in anhydrous THF was heated at 50° under N for 5 h, cooled to room temperature, rapidly treated with a preformed solution of 25.9 mmol 4-fluorophenol and 29.2 mmol 60% NaH (in oil) in anhydrous THF, and stirred at room temp. for 12 h under N to give 25% crude 4-methyl-1-[2-(4-fluorophenoxycarbonyl)-1H-indol-4-yl]piperazine. The latter compd. (0.68 mmol) was added to a stirred soln. of 10 mmol (4-chlorophenyl)acetamidoxime (prepn. given) and 11.5 mmol 60% NaH (in oil) in anhyd. THF and heated at reflux under N for 6 h to give 4% the title compd. (II). These title compds. I showed IC50 of <0.60 μM for inhibiting the binding of [H3]5-hydroxytryptamine (5-HT) to 5-HT1A receptor prepn. from rat brain cortex tissue and 5-HT1D receptor prepn. from bovine caudate tissue.

IT 177585-24-9P 177585-25-0P 177585-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclylindole derivs. as serotonin agonists and antagonists for treating diseases)

RN 177585-24-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

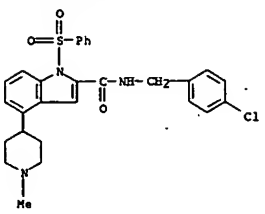


RN 177585-25-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



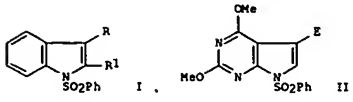
RN 177585-26-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(4-chlorophenyl)methyl]-4-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 85 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 86 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

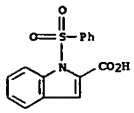
ACCESSION NUMBER: 1996:94606 CAPLUS
DOCUMENT NUMBER: 124:260961
TITLE: Halogen-magnesium exchange reaction of iodoindole derivatives
AUTHOR(S): Kondo, Yoshinori; Yoshida, Akihiro; Sato, Shuichiroh; Sakamoto, Takao
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Tohoku University, Sendai, 980-77, Japan
SOURCE: Heterocycles (1996), 42(1), 205-8
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:260961
GI



AB Halogen-magnesium exchange reaction of iodoindoles I (R = iodo, R1 = H; R = H, R1 = iodo) with ethylmagnesium bromide in THF smoothly undergoes reaction to give indolylmagnesium bromides which react with various electrophiles. Thus, I [R = CH(OH)Ph, CH(OH)Et, CH2OH, CO2Me, Ph, R1 = H; R = H, R1 = CH(OH)Ph, CH(OH)Et, CH2OH, CO2H, Ph] were prepared by this method. Pyrrolopyrimidines II [E = CH(OH)Ph, CO2Me] were also prepared

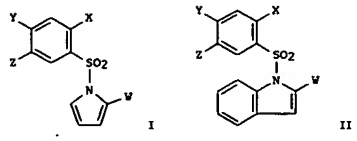
IT 40899-93-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of substituted indoles and pyrrolopyrimidines by halogen-magnesium exchange of iodo compds.)

RN 40899-93-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 87 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:6880 CAPLUS
DOCUMENT NUMBER: 124:175739
TITLE: 2-Sulfonyl-4-chloroanilino moiety: A potent pharmacophore for the anti-human immunodeficiency virus Type 1 activity of pyrrolyl aryl sulfones.
AUTHOR(S): Artico, Marino; Silvestri, Romano; Massa, Silvio; Loi, Anna G.; Corrias, Simona; Piras, Giovanna; La Colla, Paolo
CORPORATE SOURCE: Dipartimento di Studi Farmaceutici, Universita di Roma La Sapienza, Rome, 00185, Italy
SOURCE: Journal of Medicinal Chemistry (1996), 39(2), 522-30
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

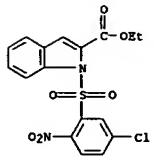


AB The synthesis and the evaluation of cytotoxicity and anti-HIV-1 activity of new aryl pyrrolyl (I) and aryl indolyl (II) sulfones are reported. I and II were prepared by reacting arylsulfonyl chlorides with substituted pyrroles and indoles or by condensing sulfonamides with 2,5-dimethoxytetrahydrofuran in glacial HOAc according to the Clauson-Kaas method. The anti-HIV-1 activity of these compds. requires both a 2-sulfonyl-4-chloroanilino moiety and an alkoxycarbonyl group at position 2 of the pyrrole ring. The best activity and selectivity were obtained with ethoxycarbonyl and isopropoxycarbonyl substituents. Substitutions at the amino group of the pharmacophore moiety led to inactive products (alkylation) or weakened (acylation) anti-HIV-1 activity. Among test derivs., 16 compds. showed EC50 values of 1-10 μM, and 5 showed EC50 values in the sub-micromolar range. I and II were active against both wild type and AZT-resistant HIV-1, but not against HIV-2. Moreover, in enzyme assays they potently inhibited the HIV-1 recombinant reverse transcriptase, were 10 times less active against enzymes from nevirapine- and TIBO-resistant strains, and were totally inactive against the HIV-2 recombinant enzyme. Interestingly, some compds. were inactive against the recombinant reverse transcriptase while being active in tissue culture.

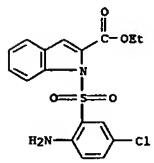
IT 173908-27-5P 173908-47-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyrrolyl aryl sulfones with activity against HIV-1)

RN 173908-27-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(5-chloro-2-nitrophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 87 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 173908-47-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2-amino-5-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

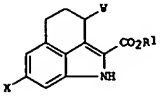


L4 ANSWER 88 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:963504 CAPLUS
DOCUMENT NUMBER: 124:8615
TITLE: Preparation of 1,3,4,5-tetrahydrobenz[cd]indole-2-carboxylate antagonists of glycine binding in NMDA receptors
INVENTOR(S): Nagata, Ryu; Tanno, Norihiko; Ae, Nobuyuki
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Can. Pat. Appl., 57 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2135811	AA	19950518	CA 1994-2135811	19941115
PRIORITY APPLN. INFO.:			JP 1993-274219 A	19931117
OTHER SOURCE(S):	MARPAT 124:8615			

GI



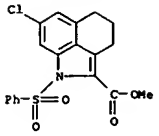
I

AB The title compds. (I; R1 = H, protecting group of carboxyl groups; W = H, CO2R3, CON(R3)R4, ACO2R3, ACON(R3)R4; A = alkylene; R3, R4 = H, alkyl, (un)substituted aryl; X = alkyl, halogen, CN], which are selective antagonists of the glycine-binding site of NMDA receptors, are prepared Thus, 7-chloro-3-[4-tert-butyloxycarbonylaminomethyl-2-(carboxymethyl)phenylcarbamoylmethyl]-1,3,4,5-tetrahydrobenz[cd]indole-2-carboxylic acid was reacted with HCl in 1,4-dioxane, producing 7-chloro-3-[4-aminomethyl-2-(carboxymethyl)phenylcarbamoylmethyl]-1,3,4,5-tetrahydrobenz[cd]indole-2-carboxylic acid hydrochloride (II). At 10 ng/mL, II demonstrated a 69% inhibition of [3H]-glycine binding to rat-brain synaptic membrane-derived receptors, vs. no [3H]-glycine binding inhibition for strychnine at 0.1 mM.

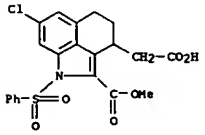
IT **168968-17-0P 168968-19-2P 168968-20-5P 168968-21-6P 171053-60-4P 171053-61-5P 171053-62-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,3,4,5-tetrahydrobenz[cd]indole-2-carboxylate antagonists of glycine binding in NMDA receptors)

RN 168968-17-0 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-1,3,4,5-tetrahydro-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

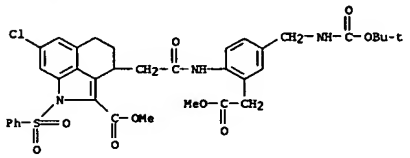
L4 ANSWER 88 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 168968-19-2 CAPLUS
CN Benz[cd]indole-3-acetic acid, 7-chloro-1,3,4,5-tetrahydro-2-(methoxycarbonyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

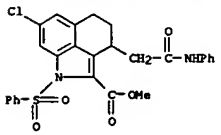


RN 168968-20-5 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-3-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-(2-methoxy-2-oxoethyl)phenyl]amino]-2-oxoethyl]-1,3,4,5-tetrahydro-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

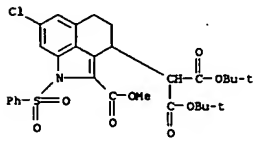


RN 168968-21-6 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-1,3,4,5-tetrahydro-3-[2-oxo-2-(phenylamino)ethyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

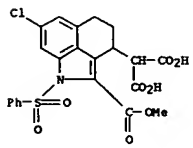
L4 ANSWER 88 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 171053-60-4 CAPLUS
CN Propanedioic acid, [7-chloro-1,3,4,5-tetrahydro-2-(methoxycarbonyl)-1-(phenylsulfonyl)benz[cd]indol-3-yl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

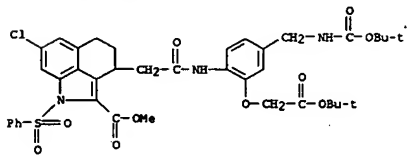


RN 171053-61-5 CAPLUS
CN Propanedioic acid, [7-chloro-1,3,4,5-tetrahydro-2-(methoxycarbonyl)-1-(phenylsulfonyl)benz[cd]indol-3-yl]- (9CI) (CA INDEX NAME)



RN 171053-62-6 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-3-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-[2-(1,1-dimethylethoxy)-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-1,3,4,5-tetrahydro-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 88 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

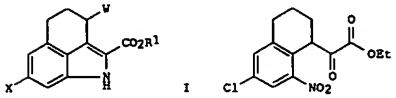


L4 ANSWER 89 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:855998 CAPLUS
DOCUMENT NUMBER: 123:256515
TITLE: Tricyclic indole-2-carboxylic acid derivatives being selective antagonists of the NMDA receptor.
INVENTOR(S): Nagata, Ryu; Tanno, Norihiko; Ae, Nobuyuki
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

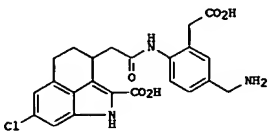
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 657427	A1	19950614	EP 1994-117956	19941114
EP 657427	B1	20020619		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
JP 07188166	A2	19950725	JP 1994-276369	19941110
US 5496843	A	19960305	US 1994-339687	19941114
AT 219486	E	20020715	AT 1994-117956	19941114
CN 1107838	A	19950906	CN 1994-118948	19941117
CN 1061034	B	20010124		

PRIORITY APPLN. INFO.: JP 1993-312742 A 19931117
OTHER SOURCE(S): CASREACT 123:256515; MARPAT 123:256515
GI



I

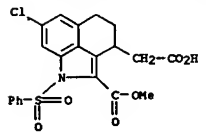
II



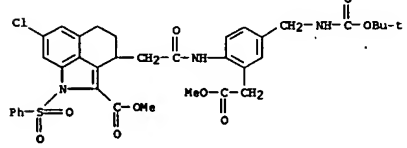
III

AB Tricyclic indole-2-carboxylic acid derivs. I [X = alkyl, halo, cyano; R1 = H, protecting group for carboxyl; W = H, CO2R3, CONR3R4, ACO2R3 or ACONR3R4; A = alkylene; R3, R4 = H, alkyl, (un)substituted aryl] and pharmaceutically acceptable salts are claimed, and 10 examples were prepared I are selective antagonists of the glycine binding site of the NMDA receptor, and are useful as CNS agents, especially for treating and preventing damage by ischemic or hypoxic conditions. For example, tetralin underwent nitration in the 6-position, Fe reduction of the nitro group to amino, diazotization and chlorination of the latter, nitration, and condensation

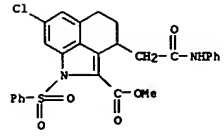
L4 ANSWER 89 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 168968-20-5 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-3-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-(2-methoxy-2-oxoethyl)phenyl]amino]-2-oxoethyl]-1,3,4,5-tetrahydro-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 168968-21-6 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-1,3,4,5-tetrahydro-3-[2-oxo-2-(phenylamino)ethyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



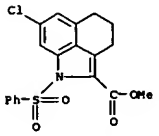
RN 168968-22-7 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-3-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-(2-methoxy-2-oxoethoxy)phenyl]amino]-2-oxoethyl]-1,3,4,5-tetrahydro-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 89 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

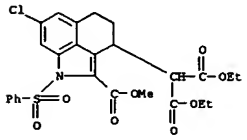
with di-Et oxalate, to give ethoxalyltetralin deriv. II. Cyclization of II using TiCl3 in aq. acetone gave title compd. I [X = Cl, R1 = W = H], which was esterified, N-benzenesulfonylated, and brominated, followed by carboxymethylation of the bromide via a malonic ester sequence. The product was amidated, partially sapond., and salified, to give title compd. III.HCl. The latter at 10 ng/mL in a rat brain synaptic membrane receptor assay gave 69% inhibition of [3H]-glycine binding and 94% inhibition of [3H]-DCKA binding. It also gave 90% protection of mice from NMDA-induced tonic seizures at 30 mg/kg i.p.

IT 168968-17-0P 168968-18-1P 168968-19-2P
168968-20-5P 168968-21-6P 168968-22-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tricyclic indole-2-carboxylic acid derivs. as NMDA receptor antagonists)

RN 168968-17-0 CAPLUS
CN Benz[cd]indole-2-carboxylic acid, 7-chloro-1,3,4,5-tetrahydro-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

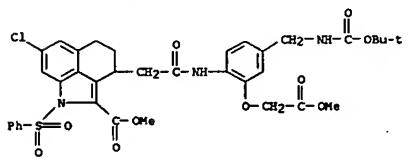


RN 168968-18-1 CAPLUS
CN Propanedioic acid, [7-chloro-1,3,4,5-tetrahydro-2-(methoxycarbonyl)-1-(phenylsulfonyl)benz[cd]indol-3-yl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 168968-19-2 CAPLUS
CN Benz[cd]indole-3-acetic acid, 7-chloro-1,3,4,5-tetrahydro-2-(methoxycarbonyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 89 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 90 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:777639 CAPLUS
DOCUMENT NUMBER: 123:198616
TITLE: Preparation of N-sulfonylindoline derivatives with affinity for vasopressin and oxytocin receptors
INVENTOR(S): Wagnon, Jean; de Cointet, Paul; Nisato, Dino; Plouzane, Claude; Sereadeil-Legal, Claudine; Tonnerre, Bernard
PATENT ASSIGNEE(S): Elf Sanofi SA, Fr.
SOURCE: U.S., 50 pp. Cont.-in-part of U.S. Ser. No.737,655, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

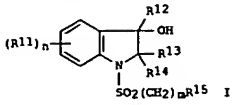
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5338755	A	19940816	US 1992-923839	19920803
FR 2665441	A1	19920207	FR 1990-9778	19900731
FR 2665441	B1	19921204		
IL 114934	A1	19960804	IL 1991-114934	19910730
HU 219351	B	20010328	HU 1971-99045	19910731
FR 2679903	A1	19930205	FR 1991-9908	19910802
FR 2679903	B1	19931203		
AU 9224758	A1	19930302	AU 1992-24758	19920731
AU 658664	B2	19950427		
BR 9205336	A	19931116	BR 1992-5336	19920731
JP 06501960	T2	19940303	JP 1993-503337	19920731
RU 2104268	C1	19980210	RU 1993-5168	19920731
IL 117592	A1	19990411	IL 1992-117592	19920731
CZ 288173	B6	20010516	CZ 1993-682	19920731
CA 2206776	C	20020226	CA 1992-2206776	19920731
SK 283463	B6	20030805	SK 1993-426	19920731
NO 9301262	A	19930526	NO 1993-1262	19930401
NO 180047	B	19961028		
NO 180047	C	19970205		
FI 104069	B1	19991115	FI 1993-1476	19930401
US 5397801	A	19950314	US 1994-240360	19940510
US 5481005	A	19960102	US 1994-348150	19941128
US 5578633	A	19961126	US 1995-458614	19950602
FI 9800175	A	19980127	FI 1998-175	19980127
FI 107048	B1	20010531		

PRIORITY APPLN. INFO.:

FR 1990-9778	A	19900731
US 1991-737655	B2	19910730
FR 1991-9908	A	19910802
IL 1991-99012	A3	19910730
HU 1991-2552	A	19910731
CA 1992-2093221	A3	19920731
CS 1993-682	A	19920731
IL 1992-102703	A3	19920731
WO 1992-FR758	A	19920731
US 1992-923839	A3	19920803
FI 1993-1476	A	19930401
US 1993-923839	A3	19930803
US 1994-240360	A3	19940510
US 1994-348150	A3	19941128

OTHER SOURCE(S): MARPAT 123:198616
GI

L4 ANSWER 90 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

AB Title compds. I (R'1 = halo, C1-4 alkyl, HO, C1-4 alkoxy, PhCH2O, NC, F3C, O2N, H2N; R'2 = C1-6 alkyl, C3-7 cycloalkyl, C5-7 cycloalkylene, (substituted) Ph, etc.; R'3 = H; R'4 = H2NCO, R'6R'7NCO wherein R'6R'7N = saturated 5-membered substituted N-heterocyclyl; R'5 = C1-4 alkyl, 1-, 2-naphthyl, (substituted) Ph, etc.; n = m = 0-2) or a salt thereof, are prepared CH2BrCONMe2 (preparation given) and 5-chloro-2-(tosylamino)phenyl cyclohexyl ketone were reacted to give 2-[N-tosyl-N-(dimethylcarbamoylmethyl)amino]-5-(chlorophenyl) cyclohexyl ketone which in THF was treated with Li diisopropylamide to give after workup trans-I (R'1n = 5-Cl, R'2 = cyclohexyl, R'3 = H, R'4 = Me2NCO, R'5 = 4-MeC6H4, m = 0). The IC50 of I affinity for oxytocin receptors was 10-5-10-8M.

IT 140916-03-6P 140916-04-7P 140916-05-8P
140916-06-9P 140916-07-0P 140916-08-1P
140916-11-6P 140916-12-7P 140916-13-8P
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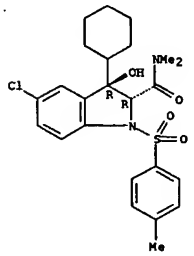
149151-74-6P 149151-75-7P 149151-76-8P
149151-77-9P 149152-73-8P 149152-74-9P
149180-32-5P 167400-72-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfonylindoline derivs. with affinity for vasopressin and oxytocin receptors)

L4 ANSWER 90 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

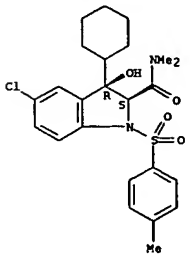
RN 140916-03-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 140916-04-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

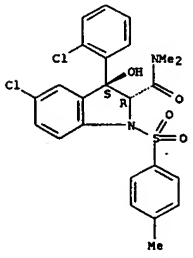
Relative stereochemistry.



RN 140916-05-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

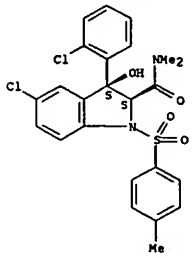
Relative stereochemistry.

L4 ANSWER 90 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



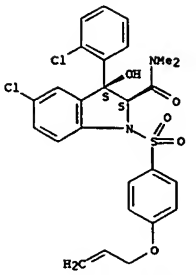
RN 140916-06-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



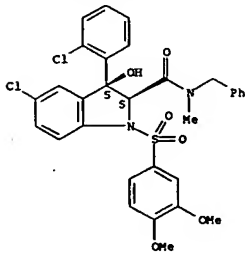
RN 140916-07-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[[4-(2-propenyloxy)phenyl]sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



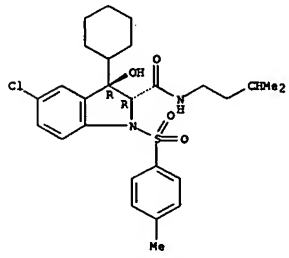
RN 140916-08-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



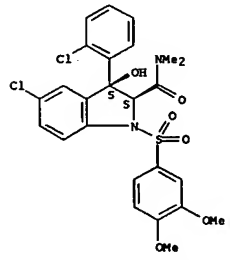
RN 140916-11-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



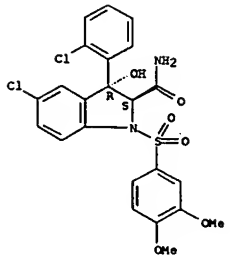
RN 140916-14-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



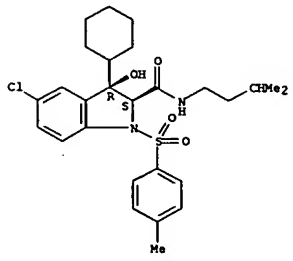
RN 140916-15-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



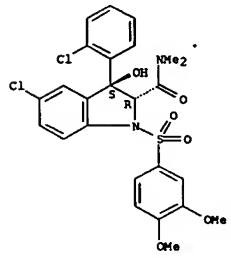
RN 140916-12-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



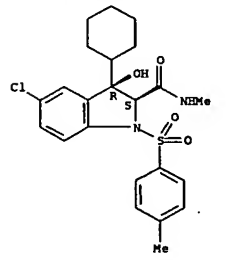
RN 140916-13-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



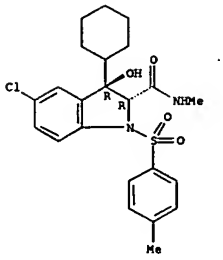
RN 140916-16-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-methyl-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



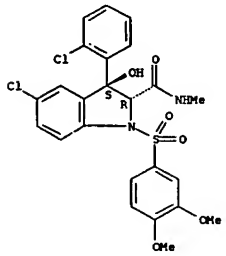
RN 140916-17-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-methyl-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



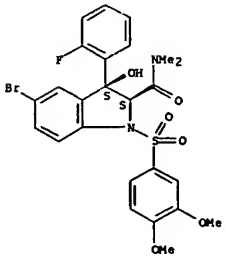
RN 140916-18-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



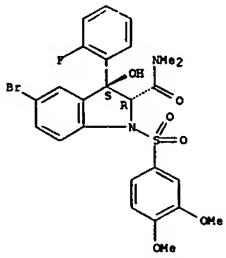
RN 140916-19-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



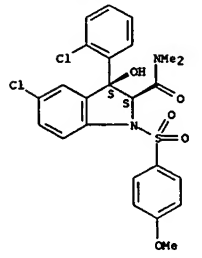
RN 140916-20-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



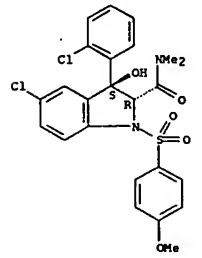
RN 140916-21-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



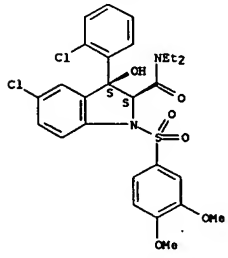
RN 140916-22-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



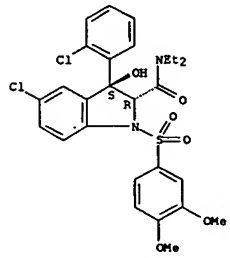
RN 140916-23-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



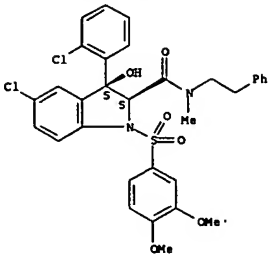
RN 140916-24-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



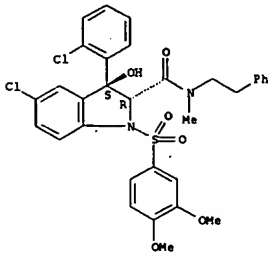
RN 140916-25-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(2-phenylethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



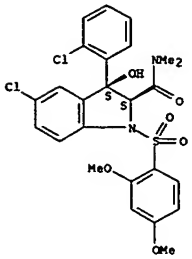
RN 140916-26-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(2-phenylethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



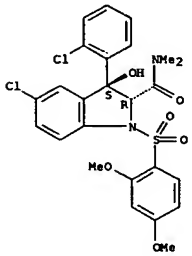
RN 140916-27-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



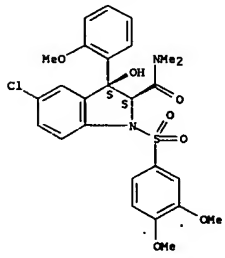
RN 140916-28-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



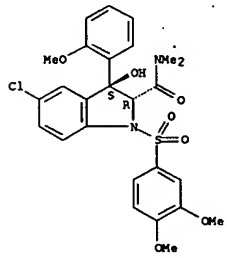
RN 140916-29-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



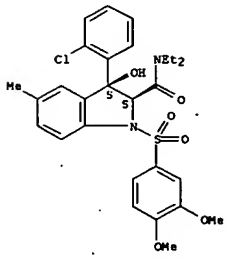
RN 140916-30-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



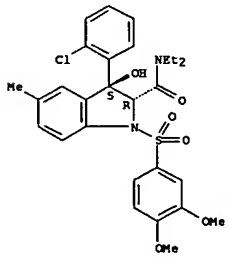
RN 140916-31-0 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



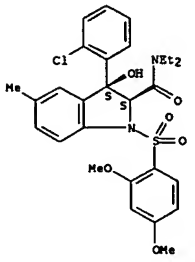
RN 140916-32-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



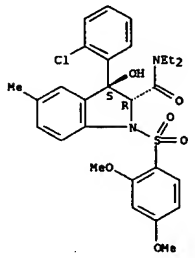
RN 140916-33-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



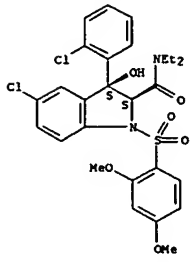
RN 140916-34-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



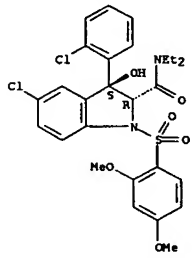
RN 140916-35-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



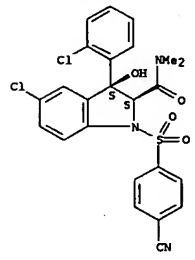
RN 140916-36-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



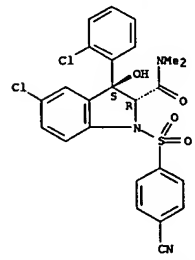
RN 140916-37-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(4-cyanophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



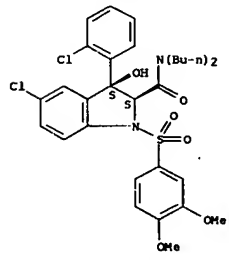
RN 140916-38-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(4-cyanophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



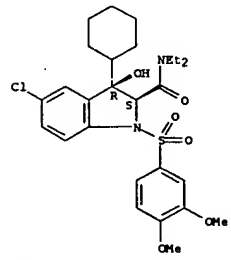
RN 140916-39-8 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-dibutyl-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



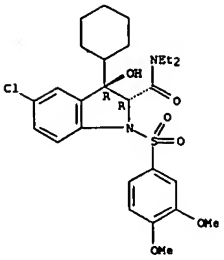
RN 140916-40-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



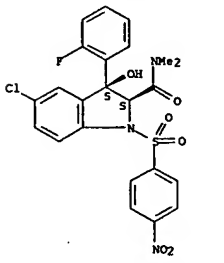
RN 140916-41-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



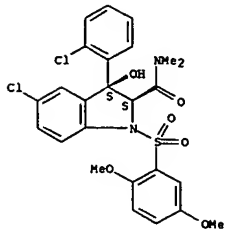
RN 140916-42-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-nitrophenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



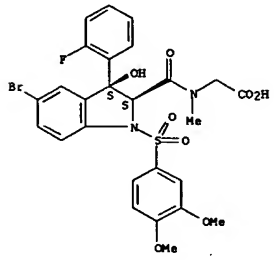
RN 140916-73-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,5-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



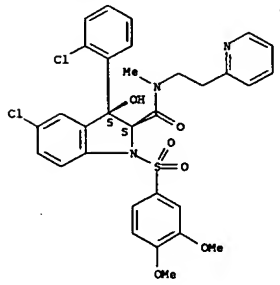
RN 149129-32-8 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



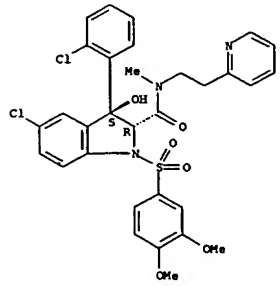
RN 149129-35-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-[2-(2-pyridinyl)ethyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



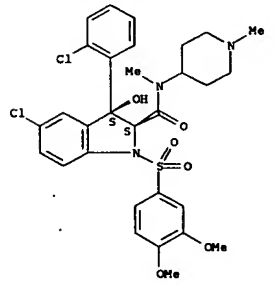
RN 149129-36-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-[2-(2-pyridinyl)ethyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



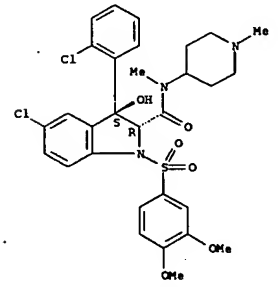
RN 149129-38-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(1-methyl-4-piperidinyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



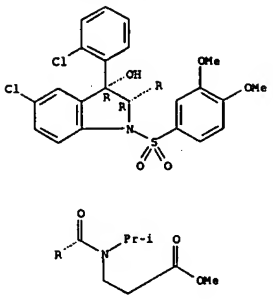
RN 149129-39-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(1-methyl-4-piperidinyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



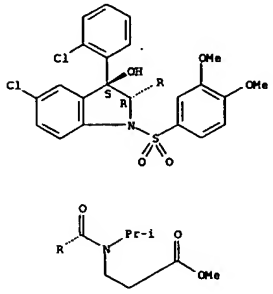
RN 149129-42-0 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(1-methylethyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



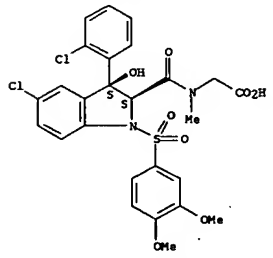
RN 149129-43-1 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(1-methylethyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



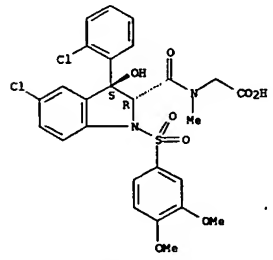
RN 149129-44-2 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



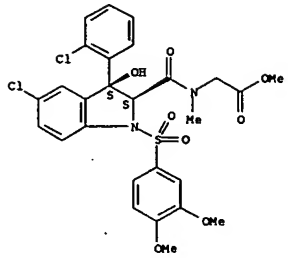
RN 149129-47-5 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



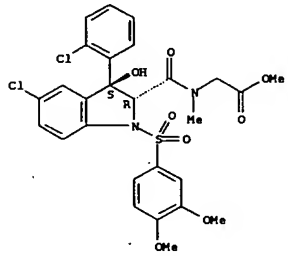
RN 149129-48-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-amino-2-oxoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



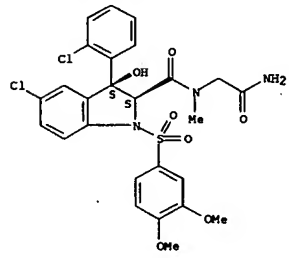
RN 149129-45-3 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



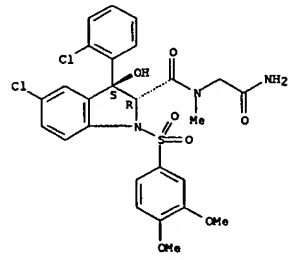
RN 149129-46-4 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



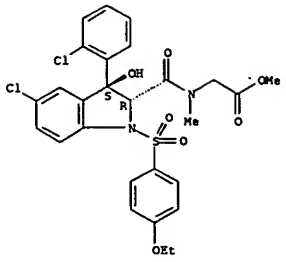
RN 149129-49-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-amino-2-oxoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, (2R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



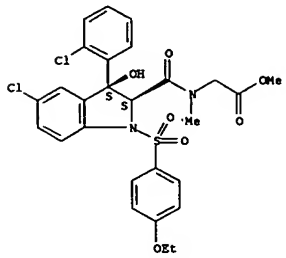
RN 149129-57-7 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



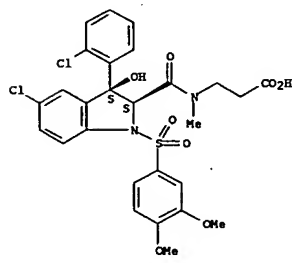
RN 149129-58-8 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



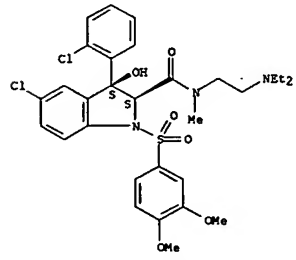
RN 149129-59-9 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



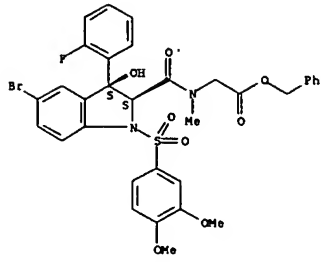
RN 149129-63-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-N-[2-(diethylamino)ethyl]-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



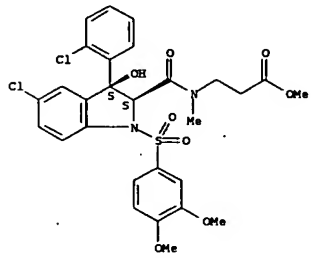
RN 149129-64-6 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



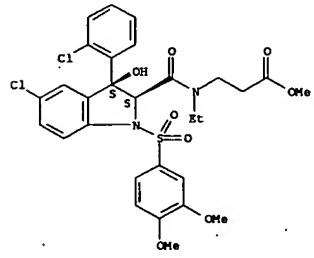
RN 149129-60-2 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



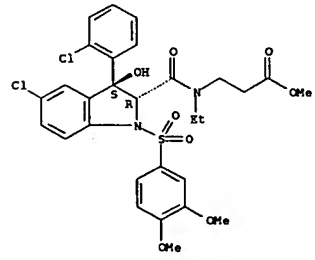
RN 149129-62-4 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



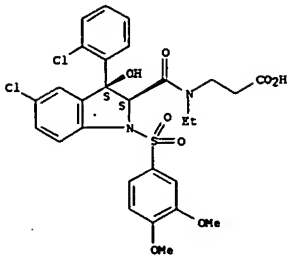
RN 149129-65-7 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



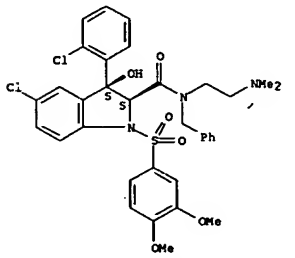
RN 149129-66-8 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



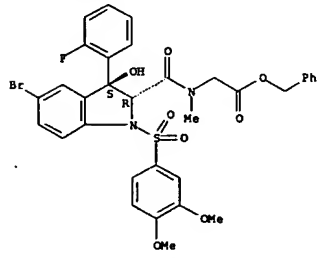
RN 149129-69-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-[2-(dimethylamino)ethyl]-2,3-dihydro-3-hydroxy-N-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



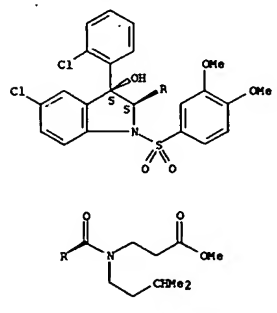
RN 149129-72-6 CAPLUS
CN β-Alanine, N-[[3-(2-chlorophenyl)-1-[(3,4-dimethylphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-5-methyl-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



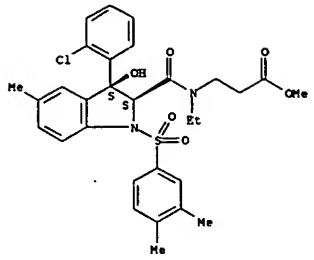
RN 149151-47-3 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(3-methylbutyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



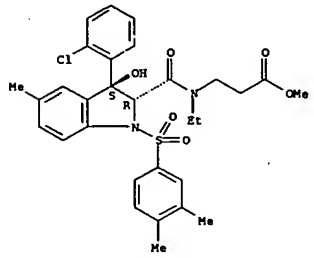
RN 149151-48-4 CAPLUS
CN β-Alanine, N-[[5-bromo-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



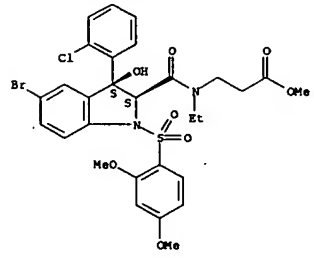
RN 149129-73-7 CAPLUS
CN β-Alanine, N-[[3-(2-chlorophenyl)-1-[(3,4-dimethylphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-5-methyl-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



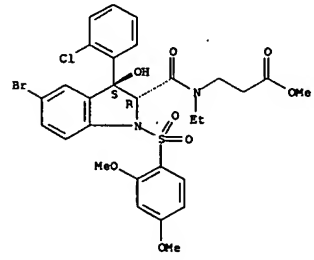
RN 149151-46-2 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



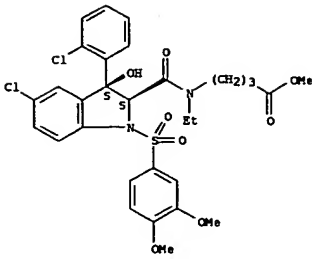
RN 149151-49-5 CAPLUS
CN β-Alanine, N-[[5-bromo-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



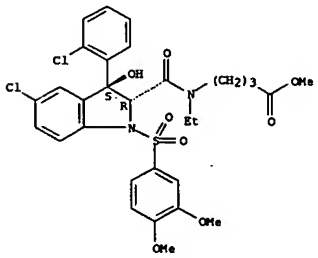
RN 149151-54-2 CAPLUS
CN Butanoic acid, 4-[[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]ethylamino]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



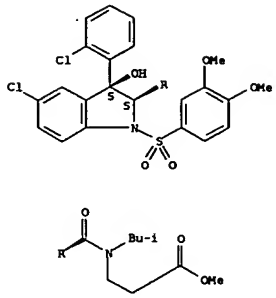
RN 149151-55-3 CAPLUS
CN Butanoic acid, 4-[[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]ethylamino]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



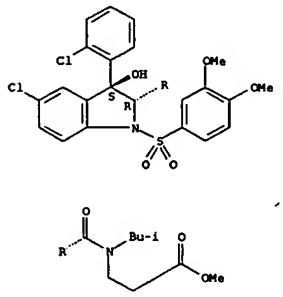
RN 149151-56-4 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(2-methylpropyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



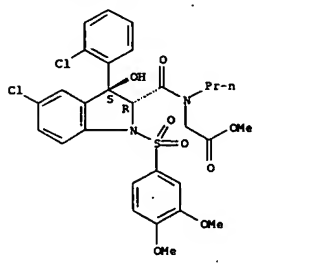
RN 149151-57-5 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(2-methylpropyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



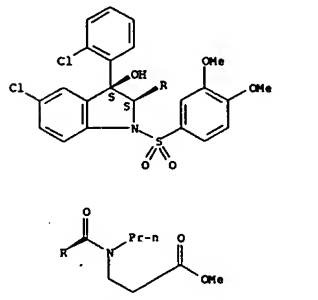
RN 149151-58-6 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



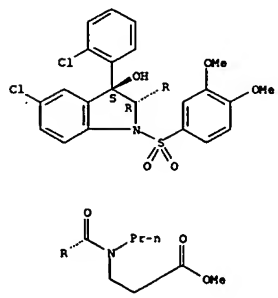
RN 149151-59-7 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



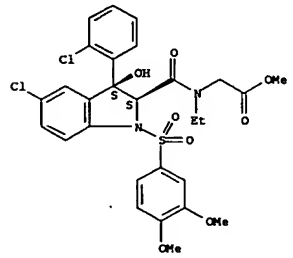
RN 149151-60-0 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



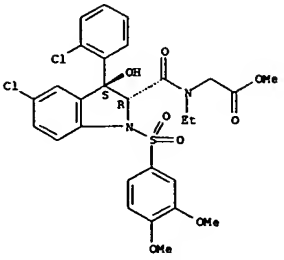
RN 149151-61-1 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



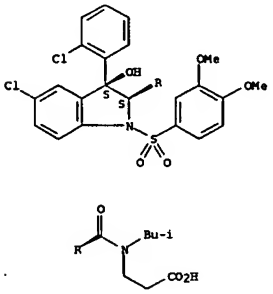
RN 149151-62-2 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



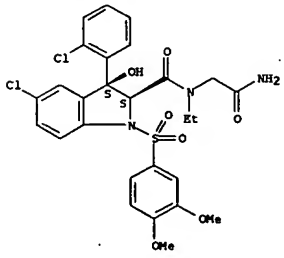
RN 149151-63-3 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(2-methylpropyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



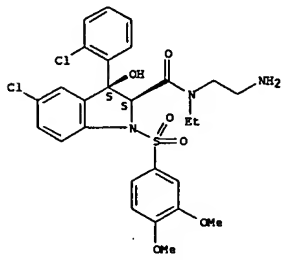
RN 149151-64-4 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



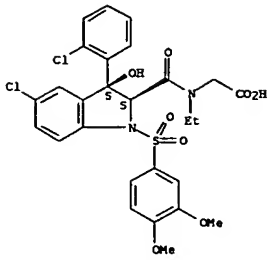
RN 149151-74-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-aminoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-ethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



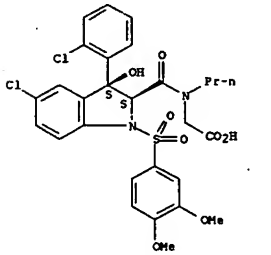
RN 149151-75-7 CAPLUS
CN L-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



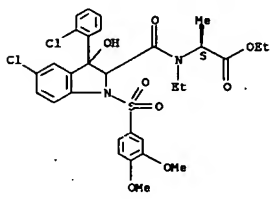
RN 149151-65-5 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 149151-67-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-amino-2-oxoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-ethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 149151-76-8 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(3-methoxy-3-oxopropyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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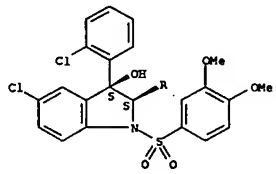

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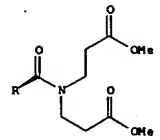

RN 149151-77-9 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(3-methoxy-3-oxopropyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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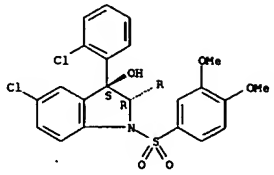

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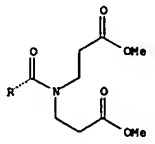
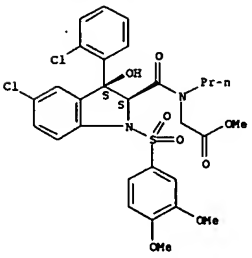


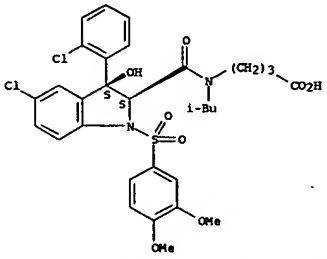
RN 149152-73-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-N-[2-(diethylamino)ethyl]-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

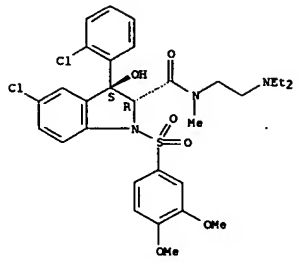


RN 167400-72-8 CAPLUS
CN Butanoic acid, 4-[[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl](2-methylpropyl)amino]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

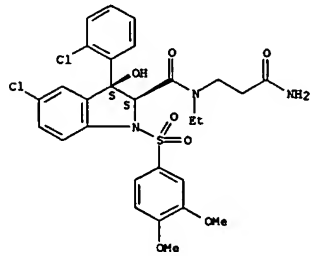


IT 140915-01-1P 140915-02-2P 140915-03-3P
140915-04-4P 140915-05-5P 140915-06-6P
140915-07-7P 140915-08-8P 140915-09-9P
140915-10-2P 140915-11-3P 140915-12-4P
140915-13-5P 140915-14-6P 140915-15-7P
140915-16-8P 140915-17-9P 140915-18-0P
140915-19-1P 140915-20-4P 140915-21-5P
140915-22-6P 140915-23-7P 140915-24-8P
140915-25-9P 140915-26-0P 140915-27-1P
140915-28-2P 140915-29-3P 140915-30-6P
140915-31-7P 140915-32-8P 140915-33-9P
140915-34-0P 140915-35-1P 140915-36-2P



RN 149152-74-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-(3-amino-3-oxopropyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-ethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 149180-32-5 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

140915-37-3P 140915-38-4P 140915-39-5P
140915-40-8P 140915-41-9P 140915-42-0P
140915-43-1P 140915-45-3P 140915-46-4P
140915-47-5P 140915-48-6P 140915-49-7P
140915-50-0P 140915-51-1P 140915-52-2P
140915-53-3P 140915-54-4P 140915-55-5P
140915-56-6P 140915-57-7P 140915-58-8P
140915-59-9P 140915-60-2P 140915-61-3P
140915-62-4P 140915-63-5P 140915-64-6P
140915-65-7P 140915-66-8P 140915-67-9P
140915-68-0P 140915-69-1P 140915-70-4P
140915-71-5P 140915-72-6P 140915-73-7P
140915-74-8P 140915-75-9P 140915-76-0P
140915-77-1P 140915-78-2P 140915-79-3P
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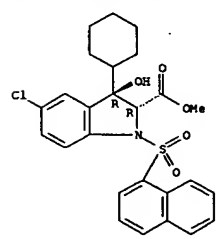
140915-86-2P 140915-87-3P 140915-88-4P
140915-89-5P 140915-90-8P 140915-91-9P
140915-92-0P 140915-93-1P 140915-94-2P
140915-95-3P 140915-96-4P 140915-97-5P
140915-98-6P 140915-99-7P 140916-00-3P
140916-01-4P 140916-02-5P 140916-70-7P
140916-71-8P 140937-03-7P 149129-26-0P
167399-64-6P 167400-65-9P 167400-66-0P
167400-94-4P 167401-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-sulfonylindoline derivs. with affinity for vasopressin and oxytocin receptors)

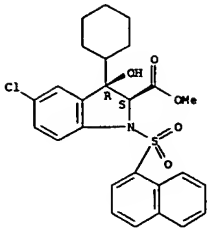
RN 140915-01-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(1-naphthalenylsulfonyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



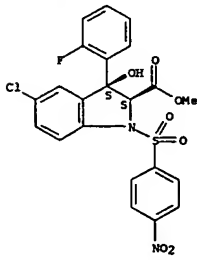
RN 140915-02-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(1-naphthalenylsulfonyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



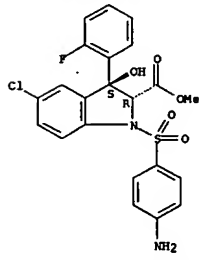
RN 140915-03-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-nitrophenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



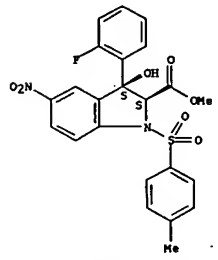
RN 140915-04-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-nitrophenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



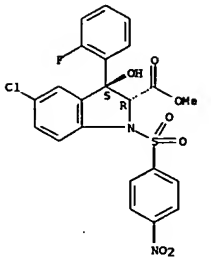
RN 140915-07-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-nitro-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



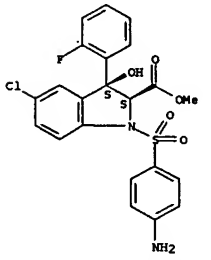
RN 140915-08-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-nitro-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



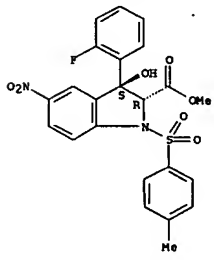
RN 140915-05-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-aminophenyl)sulfonyl]-5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



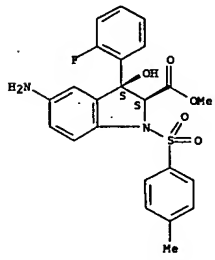
RN 140915-06-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-aminophenyl)sulfonyl]-5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



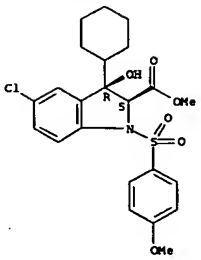
RN 140915-09-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-amino-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



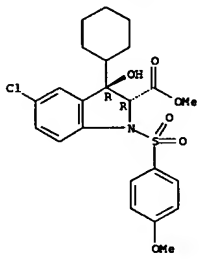
RN 140915-10-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



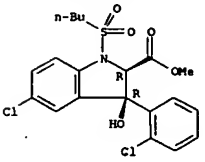
RN 140915-11-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



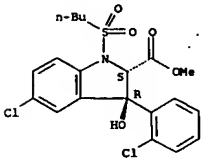
RN 140915-12-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-pentyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



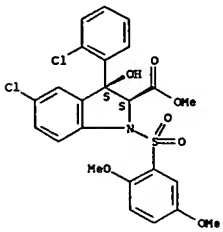
RN 140915-15-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(butylsulfonyl)-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

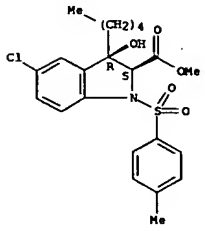


RN 140915-16-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(2,5-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

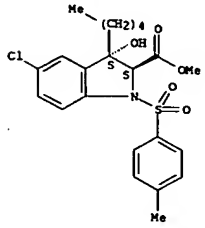


RN 140915-17-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(2,5-



RN 140915-13-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-pentyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

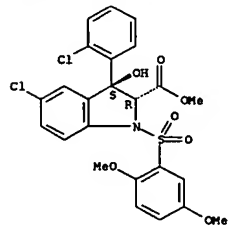


RN 140915-14-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(butylsulfonyl)-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

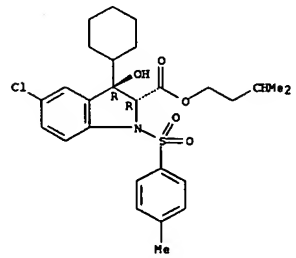
dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



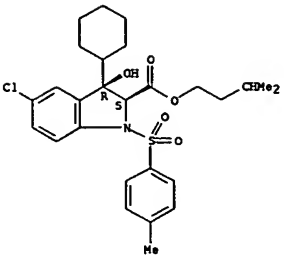
RN 140915-18-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, 3-methylbutyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



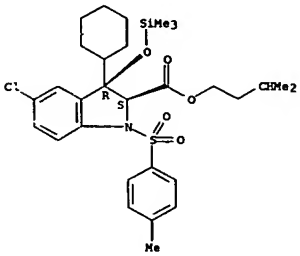
RN 140915-19-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, 3-methylbutyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



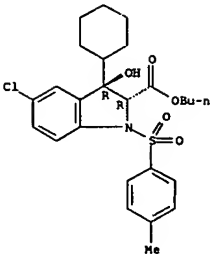
RN 140915-20-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-3-[(trimethylsilyl)oxy]-, 3-methylbutyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



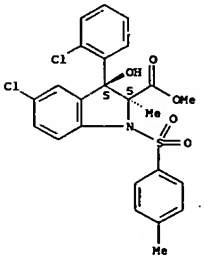
RN 140915-21-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, butyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



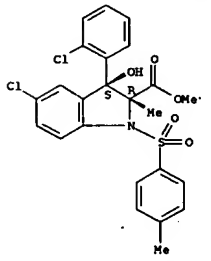
RN 140915-22-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-2-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



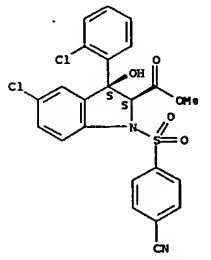
RN 140915-23-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-2-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



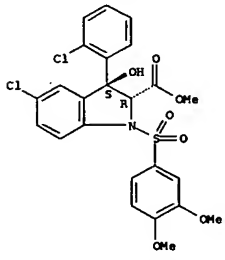
RN 140915-24-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-cyanophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



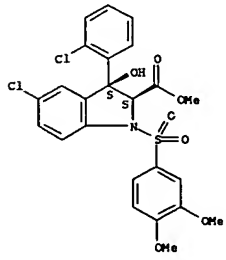
RN 140915-25-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



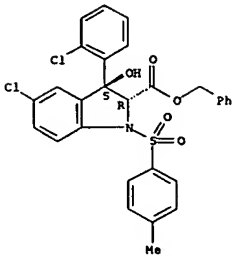
RN 140915-26-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



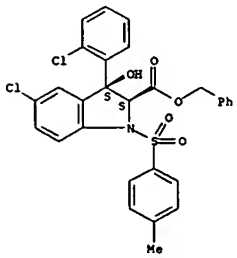
RN 140915-27-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



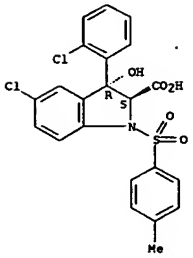
RN 140915-28-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



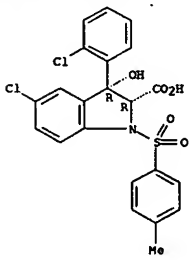
RN 140915-29-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



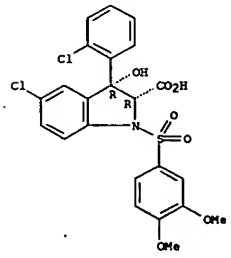
RN 140915-30-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



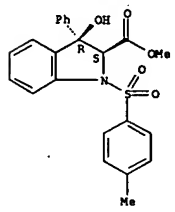
RN 140915-31-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



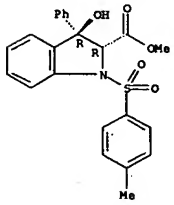
RN 140915-32-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



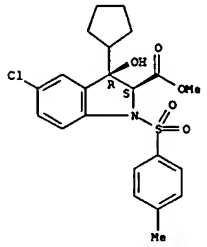
RN 140915-33-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



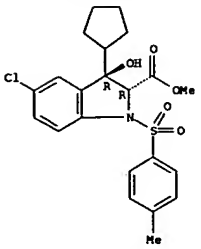
RN 140915-34-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclopentyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



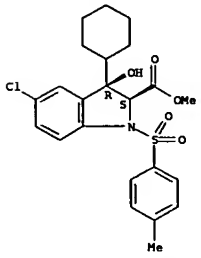
RN 140915-35-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclopentyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



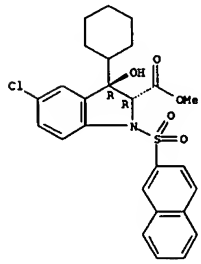
RN 140915-36-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



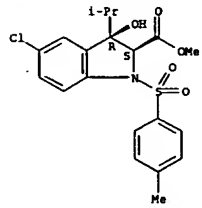
RN 140915-37-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



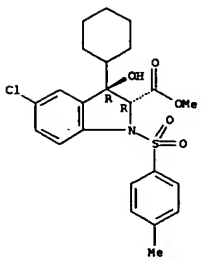
RN 140915-40-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(1-methylethyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



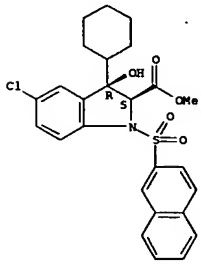
RN 140915-41-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(1-methylethyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



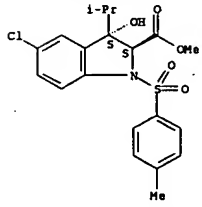
RN 140915-38-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(2-naphthalenylsulfonyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



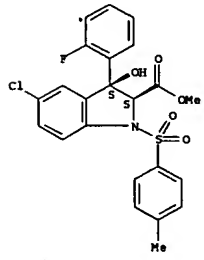
RN 140915-39-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(2-naphthalenylsulfonyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



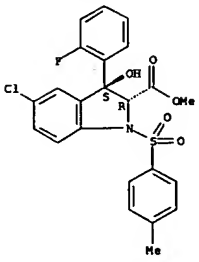
RN 140915-42-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



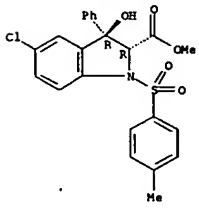
RN 140915-43-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



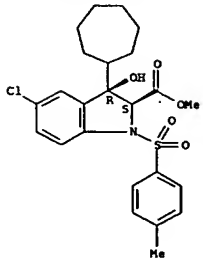
RN 140915-45-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



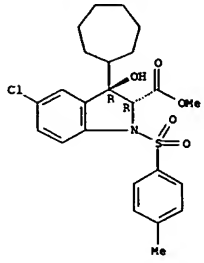
RN 140915-46-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cycloheptyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



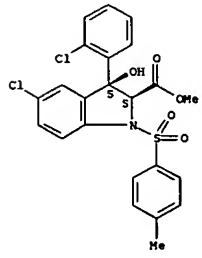
RN 140915-47-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cycloheptyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



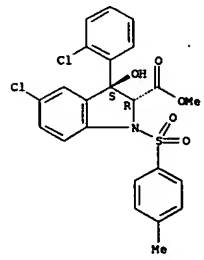
RN 140915-48-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



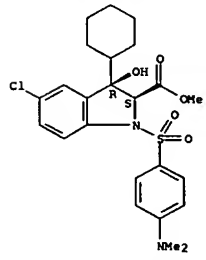
RN 140915-49-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



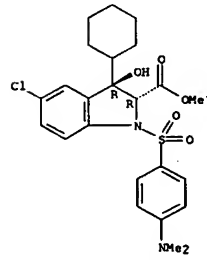
RN 140915-50-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-1-[[4-(dimethylamino)phenyl]sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



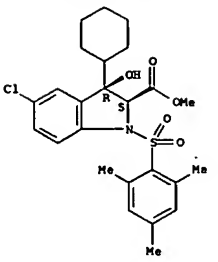
RN 140915-51-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-1-[[4-(dimethylamino)phenyl]sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



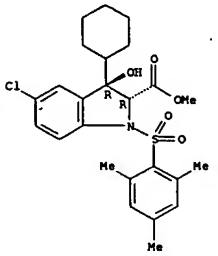
RN 140915-52-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(2,4,6-trimethylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



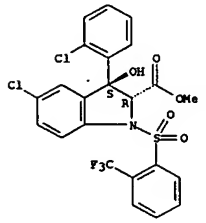
RN 140915-53-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(2,4,6-trimethylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



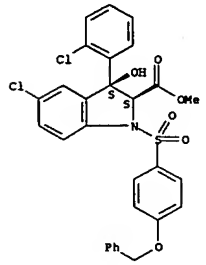
RN 140915-54-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(butylsulfonyl)-5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



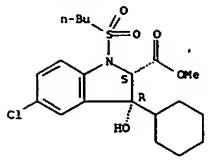
RN 140915-57-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(phenylmethoxy)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



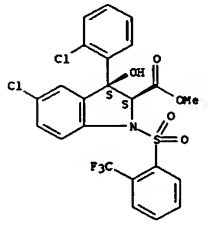
RN 140915-58-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



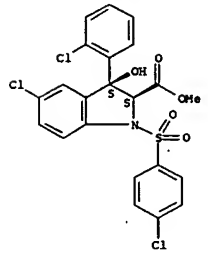
RN 140915-55-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[2-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



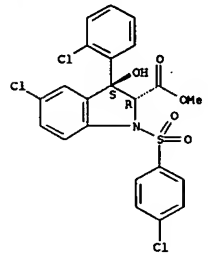
RN 140915-56-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[2-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



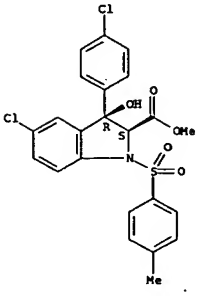
RN 140915-59-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



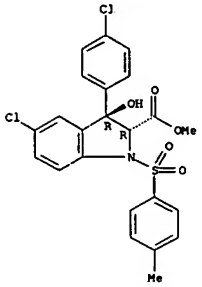
RN 140915-60-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(4-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



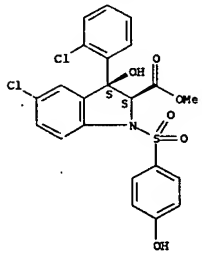
RN 140915-61-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(4-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



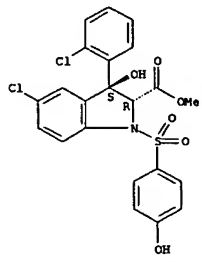
RN 140915-62-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



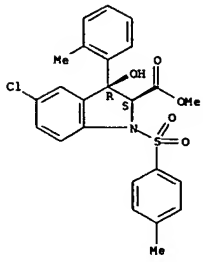
RN 140915-65-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-hydroxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



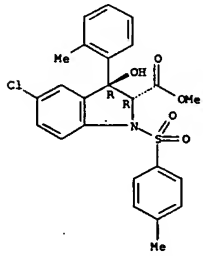
RN 140915-66-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



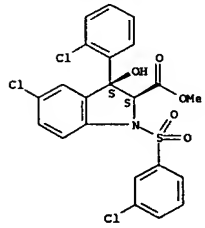
RN 140915-63-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



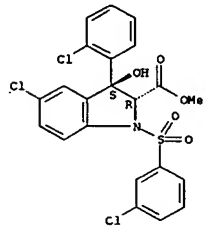
RN 140915-64-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-hydroxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



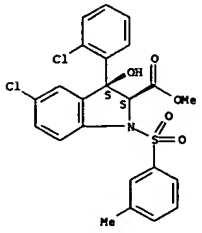
RN 140915-67-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



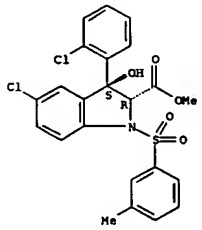
RN 140915-68-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



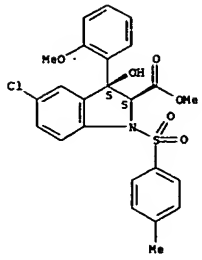
RN 140915-69-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



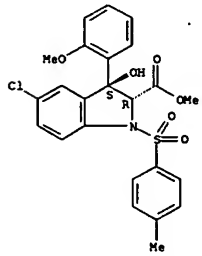
RN 140915-70-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



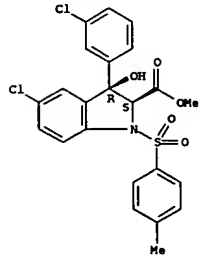
RN 140915-71-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



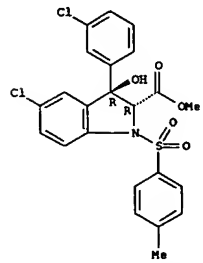
RN 140915-72-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(3-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



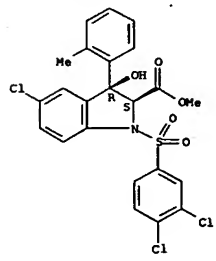
RN 140915-73-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(3-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



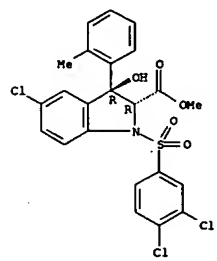
RN 140915-74-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3,4-dichlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



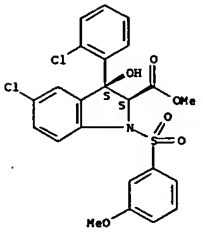
RN 140915-75-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3,4-dichlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



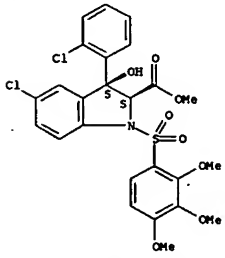
RN 140915-76-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



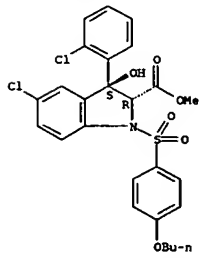
RN 140915-77-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(2,3,4-trimethoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



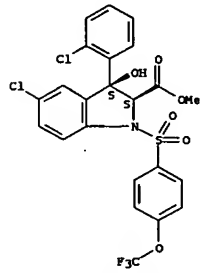
RN 140915-78-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(2,3,4-trimethoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



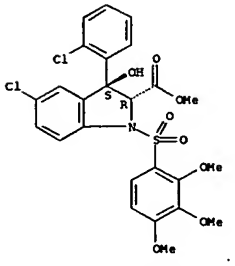
RN 140915-81-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



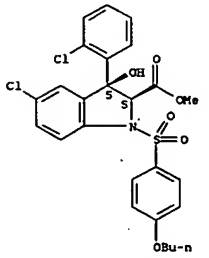
RN 140915-82-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



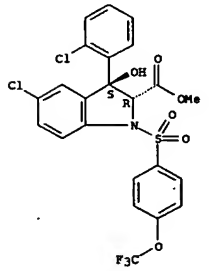
RN 140915-79-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-butoxyphenyl)sulfonyl]-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



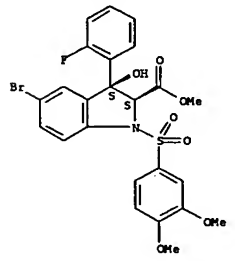
RN 140915-80-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-butoxyphenyl)sulfonyl]-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



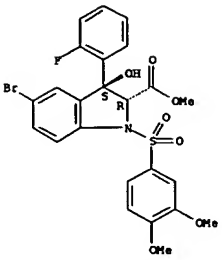
RN 140915-83-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



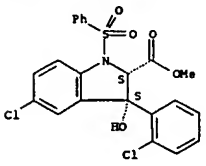
RN 140915-84-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



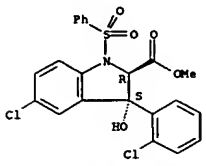
RN 140915-85-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-(phenylsulfonyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

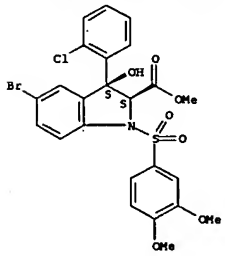


RN 140915-86-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-(phenylsulfonyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

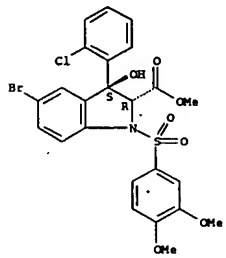


RN 140915-87-3 CAPLUS



RN 140915-90-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

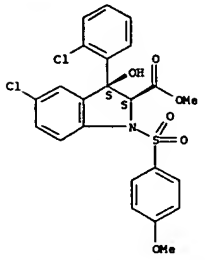


RN 140915-91-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

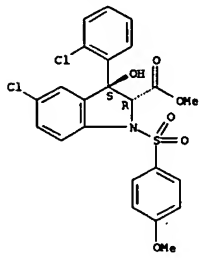
RN 140915-88-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



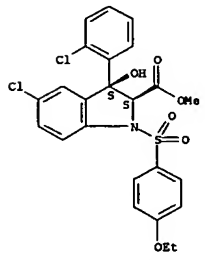
RN 140915-88-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



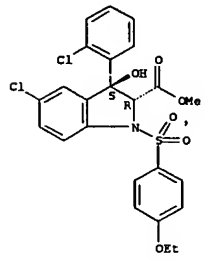
RN 140915-89-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



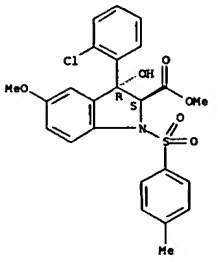
RN 140915-92-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



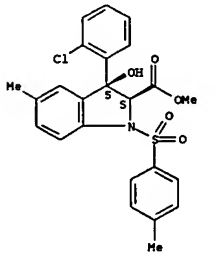
RN 140915-93-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-5-methoxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



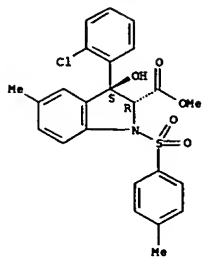
RN 140915-94-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-5-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



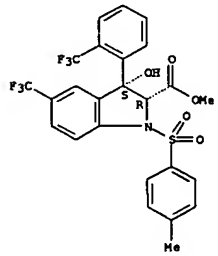
RN 140915-95-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-5-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



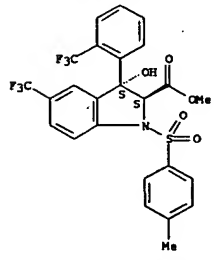
RN 140915-96-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-(trifluoromethyl)-3-[2-(trifluoromethyl)phenyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



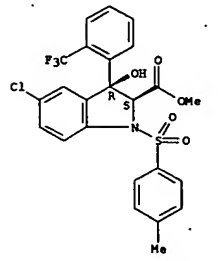
RN 140915-97-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-(trifluoromethyl)-3-[2-(trifluoromethyl)phenyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



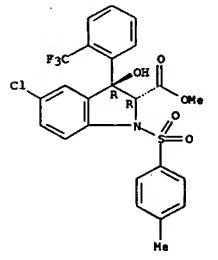
RN 140915-98-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-[2-(trifluoromethyl)phenyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



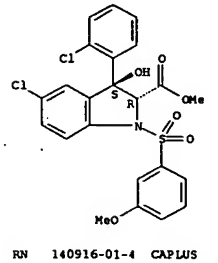
RN 140915-99-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-[2-(trifluoromethyl)phenyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



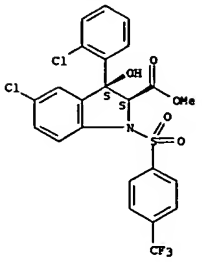
RN 140916-00-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



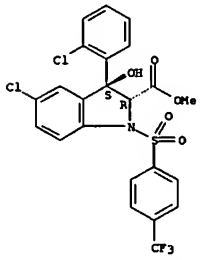
RN 140916-01-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



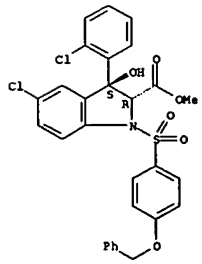
RN 140916-02-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



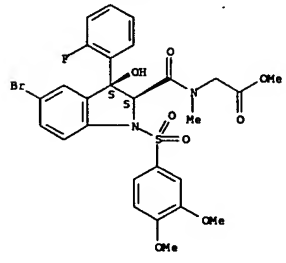
RN 140916-70-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



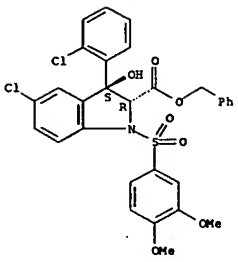
RN 149129-26-0 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



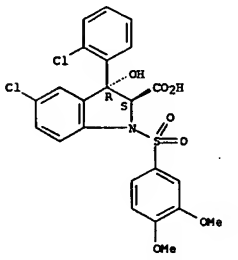
RN 167399-64-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-3-phenyl-3-[(trimethylsilyl)oxy]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



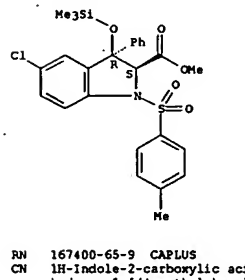
RN 140916-71-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



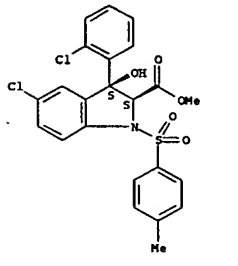
RN 140937-03-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(phenylmethoxy)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



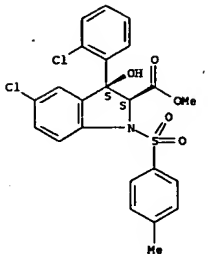
RN 167400-65-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



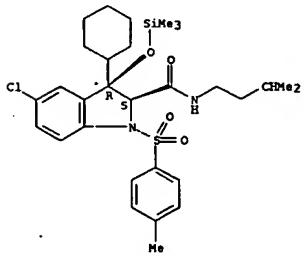
RN 167400-66-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



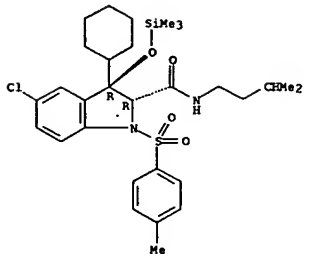
RN 167400-94-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]-3-[(trimethylsilyl)oxy]-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.



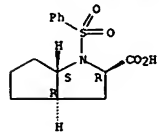
RN 167401-00-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]-3-[(trimethylsilyl)oxy]-, trans-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 91 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:628699 CAPLUS
DOCUMENT NUMBER: 123:198533
TITLE: Chemoselectivity and stereoselectivity of cyclization of α-diazocarbonyls leading to oxygen and sulfur heterocycles catalyzed by chiral rhodium and copper catalysts
AUTHOR(S): Ye, Tao; Fernandez Garcia, Concepcion; McKervey, M. Anthony
CORPORATE SOURCE: Sch. Chem., The Queen's Univ., Belfast, BT9 5AG, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1995), (11), 1373-9
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:198533
AB Good levels of enantioselectivity were achieved in intramol. C-H insertion reactions of α-diazocarbonyl compds. leading to six-membered O heterocycles (chromanones) through the use of chiral Rh(II) carboxylates as catalysts. Competition between C-H insertion and sigmatropic rearrangement, the latter leading to five-membered O heterocycles (furanones), was observed with precursors containing a proximal O-allyl side chain. Whereas Rh carboxylates produced C-H insertion products predominantly, a Cu catalyst produced sigmatropic rearrangement products exclusively. A precursor with an S-allyl side chain exhibited cyclization via sigmatropic rearrangement with both Cu and Rh catalysts.
IT 810685-46-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of)
RN 810685-46-2 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-(phenylsulfonyl)-, (2R,3aR,6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



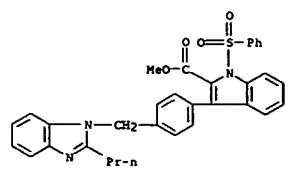
L4 ANSWER 92 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:487796 CAPLUS
DOCUMENT NUMBER: 122:239700
TITLE: Preparation of imidazopyridines and analogs as angiotensin II antagonists
INVENTOR(S): Machii, Daisuke; Fujiwara, Shigeki; Onoda, Yasuo; Takai, Haruki; Sano, Tomoyuki; Ishikawa, Tomoko; Takahara, Shiho; Yamada, Koji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06145150	A2	19940524	JP 1992-298664	19921109
PRIORITY APPLN. INFO.:			JP 1992-298664	19921109

OTHER SOURCE(S): MARPAT 122:239700
GI

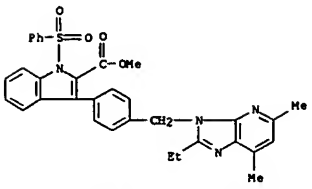
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1, R2 = H, halo, alkyl, etc.; X = (CH2)nCO2R3, etc.; n = 0 or 1; R3 = H, alkyl; Y = O, NR6, etc.; R6 = H, alkyl, etc.; R7 = alkyl, cycloalkyl; R8, R9 = H, halo, etc.] are prepared Imidazopyridine II was prepared in a multiple step process starting with 2-amino-4'-methylbenzophenone. In an in vitro test for angiotensin II antagonist activity, II showed IC50 of 0.013 μM.
IT 162153-56-2P 162194-23-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridines and analogs as angiotensin II antagonists)
RN 162153-56-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-3-[4-[(2-propyl-1H-benzimidazol-1-yl)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

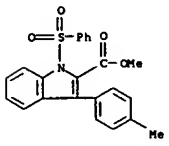


RN 162194-23-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA

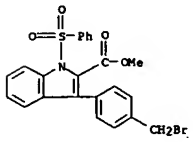
L4 ANSWER 92 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
INDEX NAME)



IT **162153-99-3P 162154-00-9P 162154-24-7P**
162154-25-8P 162154-26-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazopyridines and analogs as angiotensin II antagonists)
RN 162153-99-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(4-methylphenyl)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

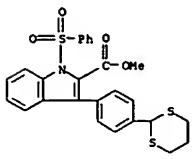


RN 162154-00-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[4-(bromomethyl)phenyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

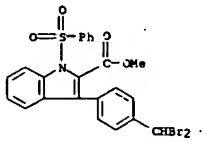


RN 162154-24-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[4-(1,3-dithian-2-yl)phenyl]-1-

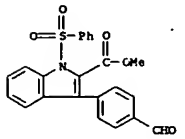
L4 ANSWER 92 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 162154-25-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[4-(dibromomethyl)phenyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 162154-26-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(4-formylphenyl)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



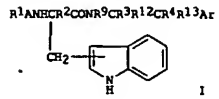
L4 ANSWER 93 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1995:246509 CAPLUS
DOCUMENT NUMBER:	122:32016
TITLE:	Preparation of N-substituted cycloalkyl and polycycloalkyl α-substituted tryptophanylphenylalanine derivatives as drugs.
INVENTOR(S):	Horwell, David C.; Pritchard, Martyn C.; Richardson, Reginald S.; Roberts, Edward; Aranda, Julian
PATENT ASSIGNEE(S):	Warner-Lambert Co., USA
SOURCE:	U.S., 105 pp. Cont.-in-part of U.S. Ser. No. 542,222, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	3
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5278316	A	19940111	US 1990-629809	19901219
AU 9059628	A1	19910117	AU 1990-59628	19900628
AU 644088	B2	19931202		
ZA 9005057	A	19920226	ZA 1990-5057	19900628
EP 479910	A1	19920415	EP 1990-911185	19900628
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04506079	T2	19921022	JP 1990-510126	19900628
JP 2972331	B2	19991108		
CA 2060652	C	20010821	CA 1990-2060652	19900628
CA 2344707	C	20020730	CA 1990-2344707	19900628
CN 1049165	A	19910213	CN 1990-106804	19900629
FI 106197	B1	20001215	FI 1991-6060	19911220
NO 9105122	A	19920227	NO 1991-5122	19911227
NO 301831	B1	19971215		
US 5631281	A	19970520	US 1994-235814	19940428
US 5580896	A	19961203	US 1995-447142	19950522
US 5622983	A	19970422	US 1995-447141	19950522

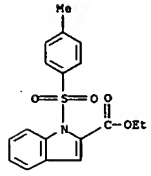
PRIORITY APPLN. INFO.:	US 1989-374327	B2 19890629
	US 1989-422486	B2 19891016
	US 1990-530811	B2 19900605
	NZ 1990-234264	A 19900627
	US 1990-545222	B2 19900628
	US 1990-580811	B2 19900605
	CA 1990-2060652	A3 19900628
	WO 1990-US3553	A 19900628
	US 1990-629809	A3 19901219
	US 1992-958196	B2 19921007
	US 1994-235814	B3 19940428

OTHER SOURCE(S): MARPAT 122:32016
GI



I

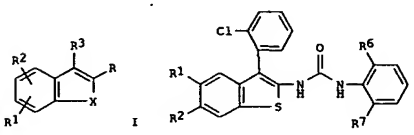
L4 ANSWER 93 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
AB Title compds. [I; R1 = (substituted) C3-12 (poly)cycloalkyl; A = (CH2)nCO, SO2, SO, NHCO, (CH2)nO2C, SCO, O(CH2)nCO, HC:CHCO; n = 0-6; R2 = alkyl, HC:CH2, C.tplbond.CH, (CH2)nAr, (CH2)nOAr, etc.; R3, R4 = H, R2, etc.; R9 = H, alkyl, (CH2)nAr, (CH2)nOAr, etc.; R12, R13 = H, or each can be taken with R3 and R4 resp. to form a moiety doubly bonded to the C atom; Ar = (substituted) mono- or polycyclic carbo- or heterocyclic ring; the indole ring may be further substituted], were prepared I are cholecystokinin or gastrin agonists/antagonists with antianxiety, antiulcer, and antidepressant activity and are useful for preventing the withdrawal response produced by nicotine, diazepam, alc., cocaine, caffeine, or opiates. Thus, [R-(R*,R*)]-4-[[2-[[3-(1H-indol-3-yl)-2-methyl-1-oxo-2-[[(tricyclo[3.3.1.13,7]dec-2-yloxy)carbonyl]amino]propyl]amino]-1-phenylethyl]amino]-4-oxobutanoic acid (II)(prepared in 7 steps starting from BOC-D-2-phenylglycinol) bound to central CCK receptors with Ki = 0.0085 μM, and inhibited feeding in rats with MPE50 = 17.4 mg/kg i.p. (MPE = maximum possible effect, i.e., zero food intake). II showed activity identical to that of diazepam in a light/dark anxiety test using mice.
IT **132819-92-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cholecystokinin analog)
RN 132819-92-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 94 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:220395 CAPLUS
DOCUMENT NUMBER: 122:9862
TITLE: Preparation of N-heteroaryl-N'-phenylureas as cholesterol acyltransferase inhibitors
INVENTOR(S): Nagamine, Masashi; Yamamoto, Kenji; Matsui, Yoshimitsu; Horiuchi, Kenji; Yoshida, Masanori
PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

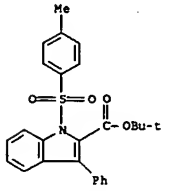
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 613894	A1	19940907	EP 1994-102905	19940225
EP 613894	B1	19990506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT, SE				
CA 2116286	AA	19940828	CA 1994-2116286	19940223
AU 9456364	A1	19940901	AU 1994-56364	19940224
AU 679021	B2	19970619		
AT 179706	E	19990515	AT 1994-102905	19940225
ES 2133430	T3	19990916	ES 1994-102905	19940225
CN 1100417	A	19950322	CN 1994-101930	19940226
CN 1051311	B	20000412		
JP 06340647	A2	19941213	JP 1994-52797	19940227
JP 3143766	B2	20010307		
US 5464863	A	19951107	US 1994-201378	19940924
US 36832	E	20000822	US 1998-100241	19980619

PRIORITY APPLN. INFO.: JP 1993-63460 A 19930227
US 1994-201378 A5 19940924
OTHER SOURCE(S): MARPAT 122:9862
GI

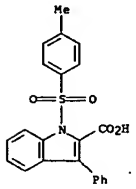


AB Title compds. [I; R = NHCONHR5; R1,R2 = H, halo, alkyl, alkoxy, etc.; R3,R5 = (un)substituted Ph; X = O, S, alkylimino, NSO2Ph, etc.] were prepared Thus, 3-(2-chlorophenyl)-5,6-dimethoxy-1-benzothiophene-2-carboxylic acid was treated with (PhO)2P(O)N3 and the product condensed with 2,6-Et2C6H3NH2 to give title compound II (R1 = R2 = OMe, R6 = R7 = Et). II (R1 = R2 = R6 = R7 = Me) gave 85.9% reduction in serum cholesterol in hamsters at 30mg/kg/day for 4 days.
IT **159387-87-8P 159387-88-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

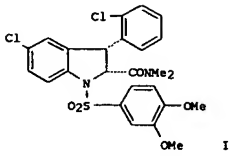
L4 ANSWER 94 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of N-heteroaryl-N'-phenylureas as cholesterol acyltransferase inhibitors)
RN 159387-87-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 159387-88-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-phenyl- (9CI) (CA INDEX NAME)



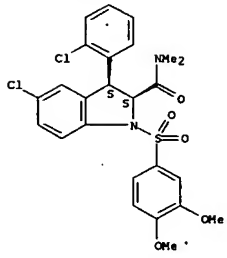
L4 ANSWER 95 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:118236 CAPLUS
DOCUMENT NUMBER: 122:150750
TITLE: Oxidation of SR 48117, an antagonist of vasopressin V1a receptors, by biomimetic catalysts based on metalloporphyrin or Schiff-base complexes
AUTHOR(S): Gaggero, Nicoletta; Robert, Anne; Bernadou, Jean; Meunier, Bernard
CORPORATE SOURCE: Laboratoire Chimie Coordination CNRS, Toulouse, 31077, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1994), 131(6), 706-12
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Different metalloporphyrin and Schiff-base complexes, associated with single oxygen atom donors, have been used in one-phase or two-phase solns. to attempt to mimic the oxidative metabolism of SR 48117 (I), an antagonist of vasopressin V1a receptors. Three oxidation products have been obtained in good yields and their distribution depends on catalytic conditions. For example, N-demethylation (mono- and didemethylation) and dihydroindole dehydrogenation were selectively observed in a monophasic medium, Mn(TDCPPS)/MMPP/acetonitrile buffer, and in a biphasic medium, Mn-Br2Salen/CH3CO3H/dichloromethane buffer, resp. Horseradish peroxidase could not oxidize SR 48117, and the electrochem. oxidation of this drug afforded only the dehydrogenation product.
IT **159565-65-8**, SR 48117
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(oxidation of SR 48117 which is antagonist of vasopressin V1a receptors by biomimetic catalysts based on metalloporphyrin or Schiff-base complexes)
RN 159565-65-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

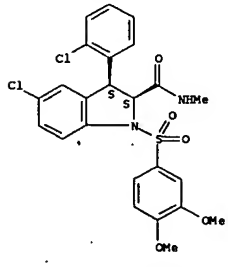
Relative stereochemistry.

L4 ANSWER 95 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



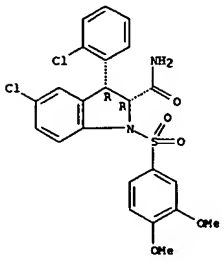
IT **159461-94-6 159461-95-7 159461-96-8**
RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(oxidation of SR 48117 which is antagonist of vasopressin V1a receptors by biomimetic catalysts based on metalloporphyrin or Schiff-base complexes)
RN 159461-94-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

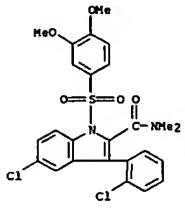


RN 159461-95-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-, cis- (9CI) (CA INDEX NAME)

L4 ANSWER 95 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Relative stereochemistry.



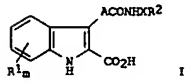
RN 159461-96-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 96 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:700763 CAPLUS
DOCUMENT NUMBER: 121:300763
TITLE: Preparation of indolecarboxylate derivatives as antagonists of excitatory amino acids
INVENTOR(S): Cugola, Alfredo; Gaviraghi, Giovanni; Micheli, Fabrizio
PATENT ASSIGNEE(S): Glaxo S.p.A., Italy
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420465	A1	19940915	WO 1994-EP614	19940303
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9462575	A1	19940926	AU 1994-62575	19940303
ZA 9401483	A	19941111	ZA 1994-1483	19940303
EP 699186	A1	19960306	EP 1994-909910	19940303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08507300	T2	19960806	JP 1994-519562	19940303
US 5686461	A	19971111	US 1995-507384	19950918
PRIORITY APPLN. INFO.:			GB 1993-4500 A	19930305
			WO 1994-EP614 W	19940303

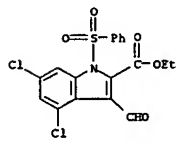
OTHER SOURCE(S): MARPAT 121:300763
GI



I

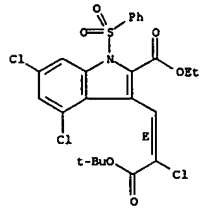
AB Title compds. I (R1 = halo, alkyl, alkoxy, (substituted)amino, HO, F3C, F3CO, O2N, NC, R3O2S, R3CO wherein R3 = HO, MeO, H2N; m = 0-2; A = HC.tplbond.C, (substituted)H2C:C; X = bond, C1-4 alkylene; R2 = bridged cycloalkyl, bridged heterocyclyl) a salt or metabolically labile ester thereof, useful as excitatory amino acid antagonists (no data) are prepared To Et (E)-3-[2-(2-thiopyridylcarbonyl)ethyl]-4,6-dichloroindole-2-carboxylate (preparation given) was added 1-adamantanamine to give Et (E)-3-[2-(1-adamantylcarbamoyl)ethenyl]-4,6-dichloroindole-2-carboxylate to which in EtOH, was added LiOH to give I (R1m = 4,6-Cl2, A = H2C:C, X = bond, R2 = 1-adamantyl). Pharmaceutical formulations comprising I are given.
IT 159054-16-7P 159054-19-0P 159054-20-3P
159054-21-4P 159054-22-5P 159054-23-6P
159054-24-7P 159054-25-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolecarboxylate derivs. as antagonists of excitatory amino

L4 ANSWER 96 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
acids)
RN 159054-16-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-formyl-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 159054-19-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1E)-2-chloro-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

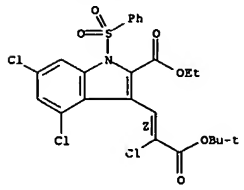
Double bond geometry as shown.



RN 159054-20-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(1Z)-2-chloro-3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

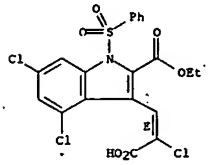
Double bond geometry as shown.

L4 ANSWER 96 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



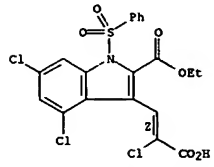
RN 159054-21-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1E)-2-carboxy-2-chloroethenyl]-4,6-dichloro-1-(phenylsulfonyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 159054-22-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(1Z)-2-carboxy-2-chloroethenyl]-4,6-dichloro-1-(phenylsulfonyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

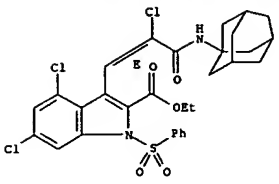
Double bond geometry as shown.



RN 159054-23-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-oxo-3-(tricyclo[3.3.1.13,7]dec-1-ylamino)-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

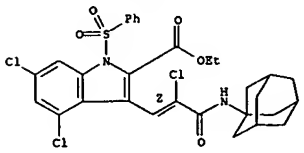
Double bond geometry as shown.

L4 ANSWER 96 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

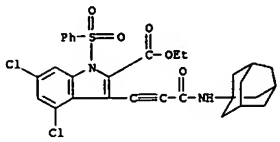


RN 159054-24-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[2-chloro-3-oxo-3-(tricyclo[3.3.1.13,7]dec-1-ylamino)-1-propenyl]-1-(phenylsulfonyl)-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 159054-25-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-(tricyclo[3.3.1.13,7]dec-1-ylamino)-1-propynyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

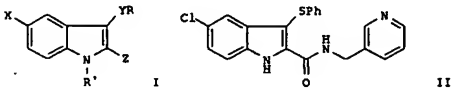


L4 ANSWER 97 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:655644 CAPLUS
DOCUMENT NUMBER: 121:255644
TITLE: Indole derivatives as inhibitors of HIV reverse transcriptase
INVENTOR(S): Williams, Theresa M.; Ciccarone, Terrence M.; Saari, Walfred S.; Wai, John S.; Greenlee, William J.; Balani, Suresh K.; Goldman, Mark E.; Hoffman, Jacob M., Jr.; Lumma, William C., Jr.; et al.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Theoharides, Sharon, A.
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9419321	A1	19940901	WO 1994-US1694	19940215
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2156420	AA	19940901	CA 1994-2156420	19940215
AU 9462542	A1	19940914	AU 1994-62542	19940215
BR 9405737	A	19951205	BR 1994-5737	19940215
EP 686148	A1	19951213	EP 1994-909663	19940215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1119856	A	19960403	CN 1994-191586	19940215
JP 08507067	T2	19960730	JP 1994-519119	19940215
HU 74614	A2	19970128	HU 1995-2468	19940215
PL 175788	B1	19990226	PL 1994-310410	19940215
US 5527819	A	19960618	US 1995-488957	19950607
FI 9503954	A	19950823	FI 1995-3954	19950823
NO 9503308	A	19951024	NO 1995-3308	19950823
PRIORITY APPLN. INFO.:			US 1993-21925	A 19930224
			US 1991-756013	B2 19910906
			US 1992-832260	B2 19920207
			US 1992-866765	B2 19920409
			WO 1994-US1694	W 19940215
			US 1994-274101	B1 19940711

OTHER SOURCE(S): MARPAT 121:255644
GI



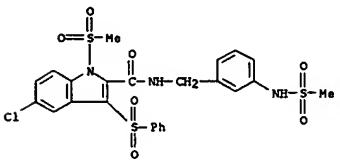
AB Novel indole compds. inhibit HIV reverse transcriptase (HIV RTR), and are useful in the prevention or treatment of infection by HIV and in the treatment of AIDS. The described compds. include I [X = H, Cl, F, Br, NO2, cyano, OH, alkoxy, (di)(alkyl)amino, alkylamido, alkylsulfonamido; Y = S, SO, SO2, O; R = (un)substituted alkyl, acyl, heterocyclyl, dialkylamino (except when Y = O); Z = (un)substituted CONH2, CSNH2,

L4 ANSWER 97 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

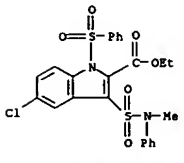
alkanoyl, alkoxycarbonyl, aminomethyl, cyano, etc.; R' = H, CHO, acyl, (un)substituted CONH2] and their salts and esters. Approx. 180 I are prepd., listed, and/or claimed. For example, 5-chloroindole-2-carboxylic acid was treated with excess NaH in DMF and then with PhSSPh to give its 3-(phenylthio) deriv., which was amidated with 3-(aminomethyl)pyridine using BOP reagent and Et3N in DMF to give title compd. II, a preferred compd. I inhibited HIV RTR in vitro with IC50 of 3-35 nM for the most preferred compds. I also inhibited viral spread of HIV in cell cultures, with 95% inhibitory concns. (CIC95) of 3-400 nM for preferred compds.

IT 158561-64-9P 158561-65-0P 158561-82-1P
158561-83-2P 158561-84-3P 158561-86-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. as inhibitors of HIV reverse transcriptase)

RN 158561-64-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-1-(methylsulfonyl)-N-[[3-[(methylsulfonyl)amino]phenyl]methyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

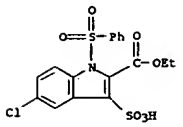


RN 158561-65-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(methylphenylamino)sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

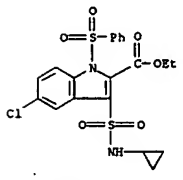


RN 158561-82-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-3-sulfo-, 2-ethyl ester (9CI) (CA INDEX NAME)

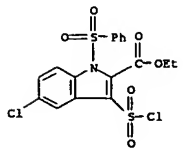
L4 ANSWER 97 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



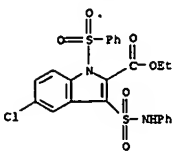
RN 158561-83-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(cyclopropylamino)sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



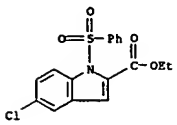
RN 158561-84-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(chlorosulfonyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 158561-86-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(phenylamino)sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



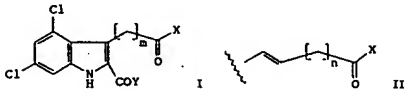
IT 158561-88-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of indole derivs. as inhibitors of HIV reverse transcriptase)
RN 158561-88-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1994:244670 CAPLUS
DOCUMENT NUMBER: 120:244670
TITLE: Preparation of derivatives of 2-carboxyindoles having pharmaceutical activity
INVENTOR(S): Bigge, Christopher F.; Johnson, Graham; Yuen, Po Wai
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 17 pp. Cont.-in-part of U.S. Ser. No. 670,860, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

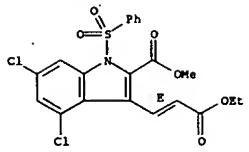
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5284862	A	19940208	US 1992-839109	19920227
WO 9216205	A2	19921001	WO 1992-US1699	19920304
WO 9216205	A3	19921126		

W: CA, JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
PRIORITY APPLN. INFO.: US 1991-670860 B2 19910318
US 1992-839109 A 19920227
OTHER SOURCE(S): MARPAT 120:244670
GI



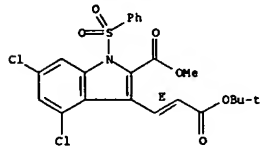
AB Title compds. I and II (Y = HO, R30O wherein R30 = alkyl, (substituted) Ph, (substituted)phenyl-C1-4 alkenyl, R50R40N wherein R40, R50 = H, alkyl, R30OCH2O; X' = HO, X; X = R3SO2NH, R3NH wherein R3 = H, C1-12 alkyl, cycloalkyl, C2-12 alkenyl or alkynyl, (substituted) Ph, heterocyclyl, etc.) or a salt thereof, useful for treatment of neurodegenerative disorders including cerebrovascular disorders such as stroke, are prepared N-methylformanilide and POC13 were stirred at room temperature and ClCH2CH2Cl and Me 4-6-dichloro-2-indolecarboxylate were added to give Me 4,6-dichloro-3-formyl-2-indolecarboxylate which in 3 steps was converted to the appropriate N-phenylsulfonyl diester to which in THF was added aq LiOH to give II (Y = X = HO, n = 0). The in vivo dosage of I and II is 0.1-10 mg/kg.
IT **154353-82-9P 154353-85-2P 154353-86-3P 154353-87-4P 154353-88-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, for treatment of neurodegenerative disorder)
RN 154353-82-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-(3-ethoxy-3-oxo-1-propenyl)-1-(phenylsulfonyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



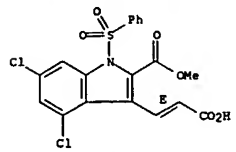
RN 154353-85-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



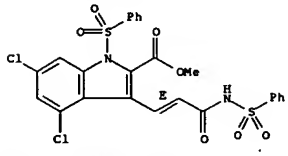
RN 154353-86-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-carboxyethenyl)-4,6-dichloro-1-(phenylsulfonyl)-, 2-methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



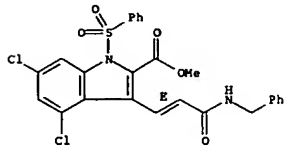
RN 154353-87-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[(phenylsulfonyl)amino]-1-propenyl]-1-(phenylsulfonyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



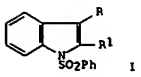
RN 154353-88-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[(phenylmethyl)amino]-1-propenyl]-1-(phenylsulfonyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 99 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

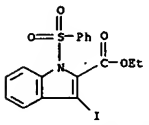
ACCESSION NUMBER: 1994:217167 CAPLUS
DOCUMENT NUMBER: 120:217167
TITLE: Indolylzinc iodides by oxidative addition of active zinc to iodoindoles
AUTHOR(S): Sakamoto, Takao; Kondo, Yoshinori; Takazawa, Nobuo; Yamanaka, Hiroshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SOURCE: Tetrahedron Letters (1993), 34(37), 5955-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:217167
GI



AB Indolylzinc derivs. were prepared by the oxidative addition of active zinc to iodoindoles, e.g. I (R = iodo, R1 = H, CO2Et; R = H, R1 = iodo), which coupled with aromatic halides in the presence of palladium catalyst to give arylated indoles, e.g. I (R = Ph, 2-pyridinyl).

IT 153827-71-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(palladium-catalyzed C-arylation of)

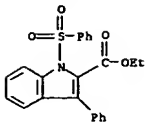
RN 153827-71-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-iodo-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



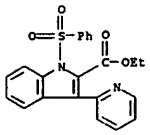
IT 153827-75-9P 153827-76-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 153827-75-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-phenyl-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 99 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 153827-76-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-3-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

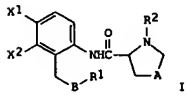


L4 ANSWER 100 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:106753 CAPLUS
DOCUMENT NUMBER: 120:106753
TITLE: Preparation of (pyrrolidinylcarboxamido)benzene derivatives as intermediates for antibacterial pyrroloquinolines.
INVENTOR(S): Ishikawa, Hiroshi; Jitsukawa, Koichiro; Toyama, Yukio; Tsuji, Koichi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04210675	A2	19920731	JP 1990-410753	19901213

PRIORITY APPLN. INFO.: JP 1990-410753 19901213
OTHER SOURCE(S): MARPAT 120:106753
GI



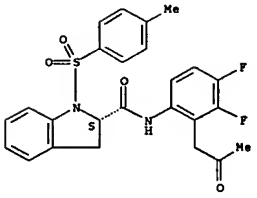
AB Title compds. [I; R1 = alkyl; R2 = protecting group; A = lower alkylene; B = CH(OR3); R3 = H, (alkyl)phenyl, alkylsulfonyl; X1, X2 = halo], useful as intermediates for antibacterial pyrroloquinolines, are prepared E.g., 3,4-difluoro-2-(2-oxopropyl)-1-nitrobenzene was reduced with NaBH4 to give 3,4-difluoro-2-(2-hydroxypropyl)-1-aminobenzene, which was condensed with N-tosylprolinyl chloride to give I [X1 = X2 = F, R1 = Me, R2 = tosyl, A = CH2, B = CH(OH)].

IT 146617-70-1P 146617-71-2P 146617-72-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antibacterials)

RN 146617-70-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3,4-difluoro-2-(2-oxopropyl)phenyl]-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

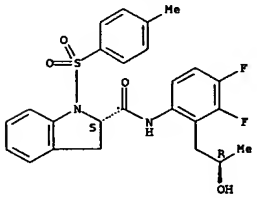
Absolute stereochemistry.

L4 ANSWER 100 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



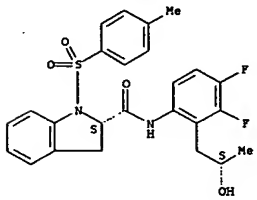
RN 146617-71-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3,4-difluoro-2-(2-hydroxypropyl)phenyl]-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146617-72-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3,4-difluoro-2-(2-hydroxypropyl)phenyl]-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

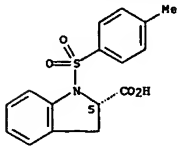
Absolute stereochemistry.



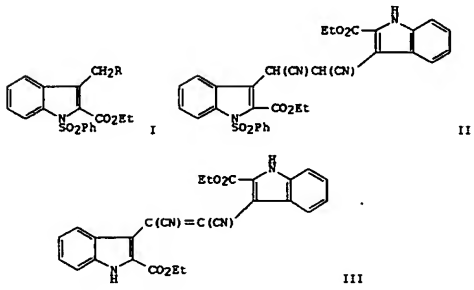
L4 ANSWER 100 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 146617-83-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of intermediate for antibacterials)
RN 146617-83-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

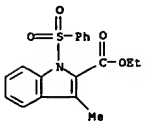


L4 ANSWER 101 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:580620 CAPLUS
DOCUMENT NUMBER: 119:180620
TITLE: A novel dimerization of ethyl 3-cyanomethyl-2-indolecarboxylate
AUTHOR(S): Nagarathnam, Dhanapalan; Johnson, Michael E.
CORPORATE SOURCE: Cent. Pharm. Biotechnol., Univ. Illinois, Chicago, IL, 60680, USA
SOURCE: Tetrahedron Letters (1993), 34(20), 3215-18
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:180620
GI

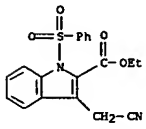


AB Reaction of Et 1-benzenesulfonyl-3-bromomethyl-2-indolecarboxylate (I, R = Br) with KCN in THF resulted in the formation of Et (benzenesulfonyl)(cyanomethyl)indolecarboxylate I (R = cyano) and two other dimeric indole derivs. II and III. The mechanism of formation of products II and III is explained, via the elimination of benzenesulfinate.
IT 150194-05-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)
RN 150194-05-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-methyl-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

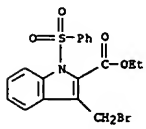
L4 ANSWER 101 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 150194-07-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dimerization of)
RN 150194-07-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(cyanomethyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

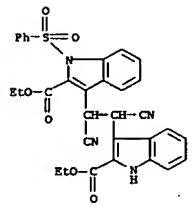


IT 150194-06-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential cyanation and dimerization of)
RN 150194-06-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(bromomethyl)-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT 150194-08-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 150194-08-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[1,2-dicyano-2-[2-(ethoxycarbonyl)-1H-indol-3-yl]ethyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 101 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 102 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:552120 CAPLUS
DOCUMENT NUMBER: 119:152120
TITLE: Tetrahydroisoquinoline-type renin inhibiting peptides
INVENTOR(S): Hamilton, Harriet W.; Patt, William C.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

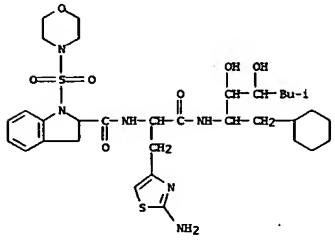
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5219851	A	19930615	US 1991-664916	19910305

PRIORITY APPLN. INFO.: US 1991-664916 19910305
OTHER SOURCE(S): MARPAT 119:152120

AB The title compds. (Markush included) contain a tetrahydroisoquinoline or similar heterocycle at the P3 position. The compds. are useful for treatment of hypertension, congestive heart failure, glaucoma, hyperaldosteronism, and diseases caused by retroviruses, including HTLV-i, -II, and -III. Processes for preparing the compds., compns. containing them, and methods of using them are included. Also included is a diagnostic method which uses the compds. to determine the presence of renin-associated hypertension or hyperaldosteronism. Preparation and renin-inhibitory activity of several of the compds. are presented, as is the in vivo blood pressure lowering effect.

IT 150145-75-8
RL: BIOL (Biological study)
(renin-inhibiting peptide)

RN 150145-75-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(2-amino-4-thiazolyl)methyl]-2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-2-oxoethyl]-2,3-dihydro-1-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



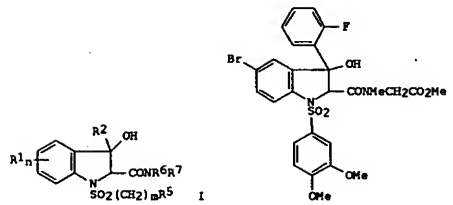
L4 ANSWER 103 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:539091 CAPLUS
DOCUMENT NUMBER: 119:139091
TITLE: Preparation of 1-phenylsulfonyl-3-hydroxyindoline-2-carboxamides as oxytocin and vasopressin antagonists
INVENTOR(S): Wagnon, Jean; Serradeil-Legal, Claudine; Tonnerre, Bernard; Plouzane, Claude; Nisato, Dino
PATENT ASSIGNEE(S): Elf Sanofi SA, Fr.
SOURCE: Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 526348	A1	19930203	EP 1992-402213	19920803
EP 526348	B1	19980218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2679903	A1	19930205	FR 1991-9908	19910802
FR 2679903	B1	19931203		
CA 2093221	AA	19930203	CA 1992-2093221	19920731
CA 2093221	C	19980922		
WO 9303013	A1	19930218	WO 1992-FR758	19920731
W: AU, BR, CA, CS, FI, HU, JP, KR, NO, RU				
AU 9224758	A1	19930302	AU 1992-24758	19920731
AU 658664	B2	19950427		
ZA 9205781	A	19930302	ZA 1992-5781	19920731
BR 9205336	A	19931116	BR 1992-5336	19920731
JP 06501960	T2	19940303	JP 1993-503337	19920731
LT 3064	B	19941025	LT 1992-114	19920731
LV 10091	B	19950420	LV 1992-87	19920731
HU 68927	A2	19950828	HU 1993-951	19920731
IL 102703	A1	19970318	IL 1992-102703	19920731
JP 2633085	B2	19970723	JP 1992-503337	19920731
RU 2104268	C1	19980210	RU 1993-5168	19920731
IL 117592	A1	19990411	IL 1992-117592	19920731
CZ 288173	B6	20010516	CZ 1993-682	19920731
CA 2206776	C	20020226	CA 1992-2206776	19920731
SK 283463	B6	20030805	SK 1993-426	19920731
AT 163289	E	19980315	AT 1992-402213	19920803
ES 2117038	T3	19980801	ES 1992-402213	19920803
NO 9301262	A	19930526	NO 1993-1262	19930401
NO 180047	B	19961028		
NO 180047	C	19970205		
FI 104069	B1	19991115	FI 1993-1476	19930401
US 5481005	A	19960102	US 1994-348150	19941128
AU 9511541	A1	19950504	AU 1995-11541	19950203
AU 691223	B2	19980514		
FI 9800175	A	19980127	FI 1998-175	19980127
FI 107048	B1	20010531		

PRIORITY APPLN. INFO.:

FR 1991-9908	A	19910802
FR 1990-9778	A	19900731
US 1991-737655	B2	19910730
CA 1992-2093221	A3	19920731
CS 1993-682	A	19920731
IL 1992-102703	A3	19920731
WO 1992-FR758	A	19920731
FI 1993-1476	A	19930401
US 1993-923839	A3	19930803

L4 ANSWER 103 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
US 1994-240360 A3 19940510
OTHER SOURCE(S): MARPAT 119:139091
GI



I II

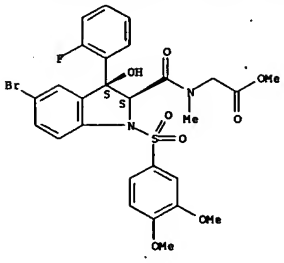
AB Title compds. [I; R1 = OH, halo, alkyl, alkoxy, etc.; R2 = (cyclo)alkyl, (nitro)phenyl, etc.; R5 = alkyl, (nitro)phenyl, naphthyl, etc.; R6 = alkyl; R6, R7 = 4-piperidinyl, 3-azetidinyl, etc.; NR6R7 = (thio)morpholino, thiazolidino, piperazino, etc.; m, n = 0-2] were prepared Thus, 2-amino-5-bromo-2'-fluorobenzophenone was amidated by 3,4-(MeO)2C6H3SO2Cl and the product N-alkylated by BrCH2CONMeCH2CO2Me to give, after cyclization of the product, title compound II. I had IC50 of 10-9, and 10-5 to 10-8 M, against vasopressin and oxytocin binding, resp., in vitro.

IT 149129-26-0P 149129-32-8P 149129-35-1P
149129-36-2P 149129-38-4P 149129-39-5P
149129-42-0P 149129-43-1P 149129-44-2P
149129-45-3P 149129-46-4P 149129-47-5P
149129-48-6P 149129-49-7P 149129-57-7P
149129-58-8P 149129-59-9P 149129-60-2P
149129-61-3P 149129-62-4P 149129-63-5P
149129-64-6P 149129-65-7P 149129-66-8P
149129-69-1P 149129-72-6P 149129-73-7P
149151-46-2P 149151-47-3P 149151-48-4P
149151-49-5P 149151-54-2P 149151-55-3P
149151-56-4P 149151-57-5P 149151-58-6P
149151-59-7P 149151-60-0P 149151-61-1P
149151-62-2P 149151-63-3P 149151-64-4P
149151-65-5P 149151-66-6P 149151-67-7P
149151-74-6P 149151-75-7P 149151-76-8P
149151-77-9P 149152-73-8P 149152-74-9P
149180-32-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as oxytocin and vasopressin antagonist)

RN 149129-26-0 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

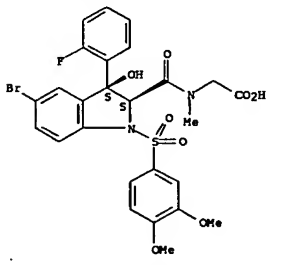
Relative stereochemistry.

L4 ANSWER 103 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



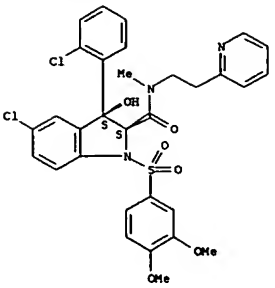
RN 149129-32-8 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



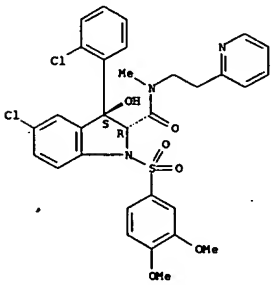
RN 149129-35-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-[2-(2-pyridinyl)ethyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



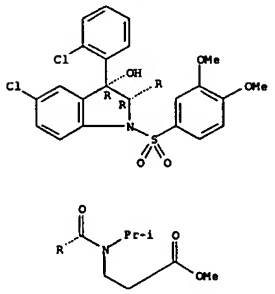
RN 149129-36-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-[2-(2-pyridinyl)ethyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



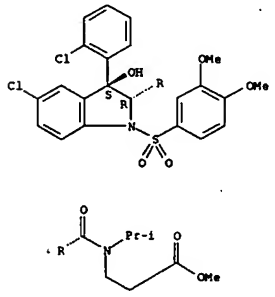
RN 149129-38-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(1-methyl-4-piperidinyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



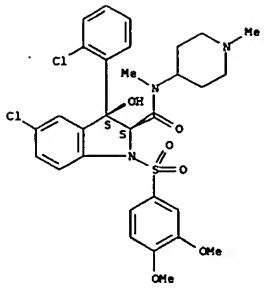
RN 149129-43-1 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(1-methylethyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



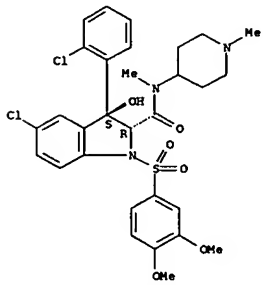
RN 149129-44-2 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



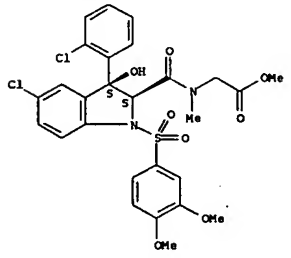
RN 149129-39-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(1-methyl-4-piperidinyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



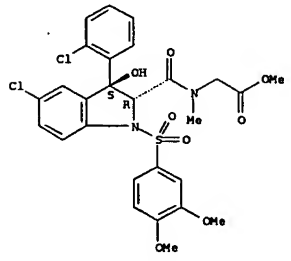
RN 149129-42-0 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(1-methylethyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



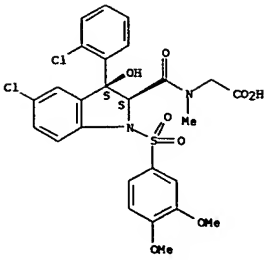
RN 149129-45-3 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



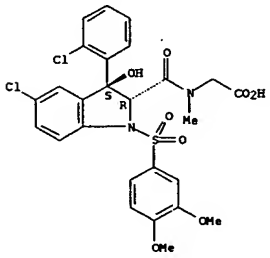
RN 149129-46-4 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



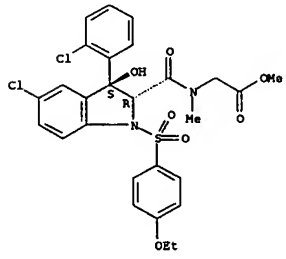
RN 149129-47-5 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



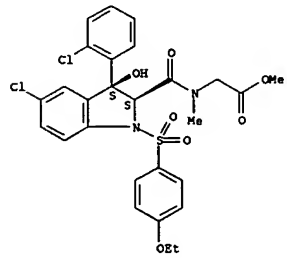
RN 149129-48-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-amino-2-oxoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



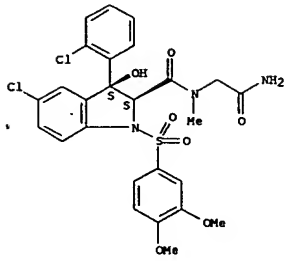
RN 149129-58-8 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



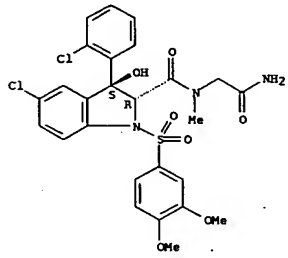
RN 149129-59-9 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



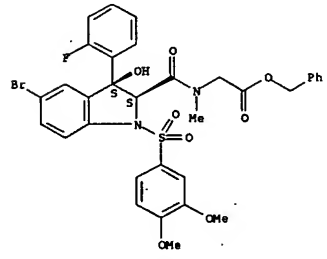
RN 149129-49-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-amino-2-oxoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, (2R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



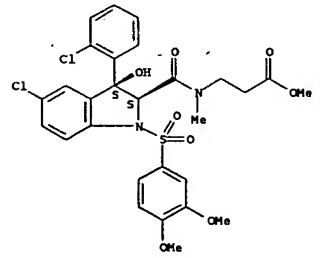
RN 149129-57-7 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



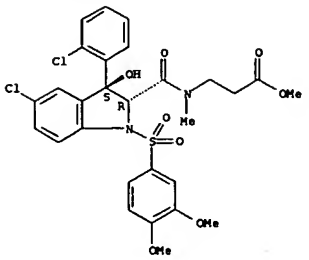
RN 149129-60-2 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



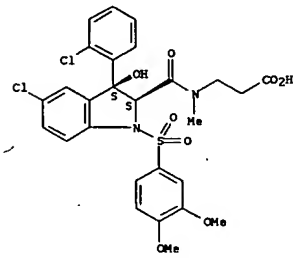
RN 149129-61-3 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



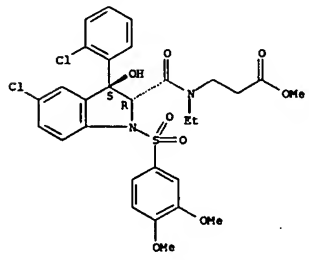
RN 149129-62-4 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



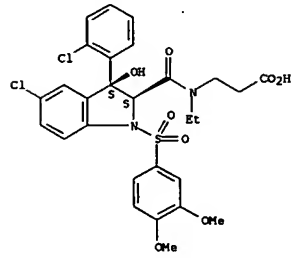
RN 149129-63-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-N-[2-(diethylamino)ethyl]-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



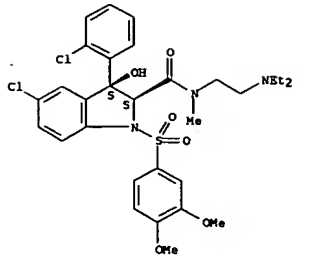
RN 149129-66-8 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



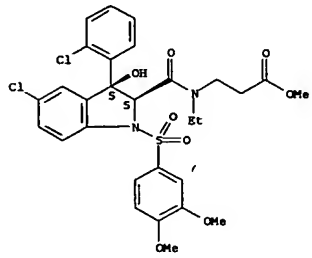
RN 149129-69-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-[2-(dimethylamino)ethyl]-2,3-dihydro-3-hydroxy-N-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



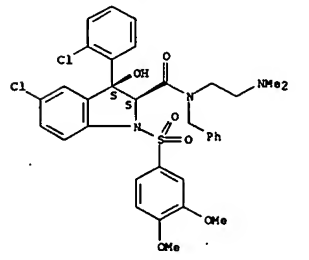
RN 149129-64-6 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



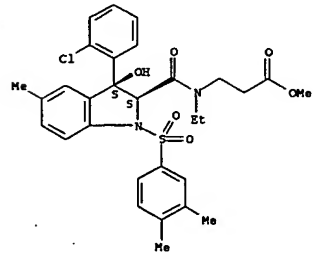
RN 149129-65-7 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



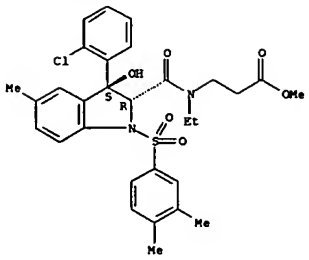
RN 149129-72-6 CAPLUS
CN β-Alanine, N-[[3-(2-chlorophenyl)-1-[(3,4-dimethylphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-5-methyl-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



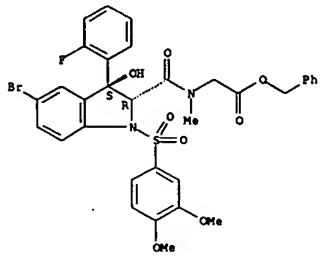
RN 149129-73-7 CAPLUS
CN β-Alanine, N-[[3-(2-chlorophenyl)-1-[(3,4-dimethylphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-5-methyl-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



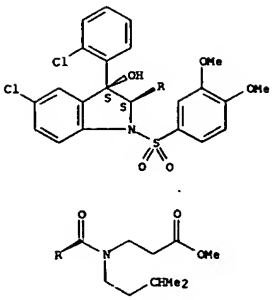
RN 149151-46-2 CAPLUS
CN Glycine, N-[[5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-methyl-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



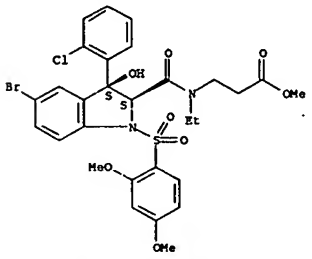
RN 149151-47-3 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(3-methylbutyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



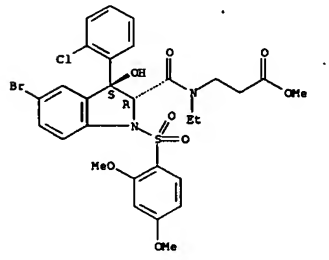
RN 149151-48-4 CAPLUS
CN β-Alanine, N-[[5-bromo-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



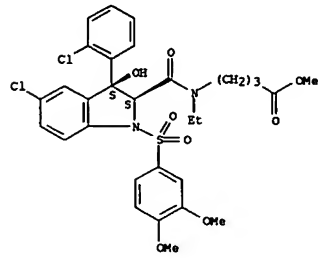
RN 149151-49-5 CAPLUS
CN β-Alanine, N-[[5-bromo-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



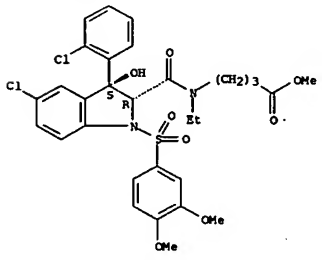
RN 149151-54-2 CAPLUS
CN Butanoic acid, 4-[[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]ethylamino]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



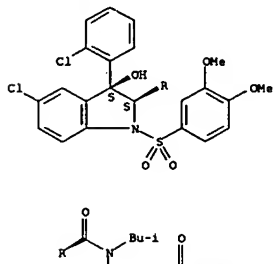
RN 149151-55-3 CAPLUS
CN Butanoic acid, 4-[[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]ethylamino]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



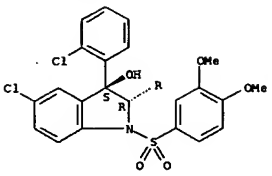
RN 149151-56-4 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(2-methylpropyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

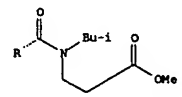
Relative stereochemistry.



RN 149151-57-5 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(2-methylpropyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

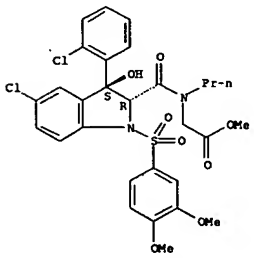
Relative stereochemistry.





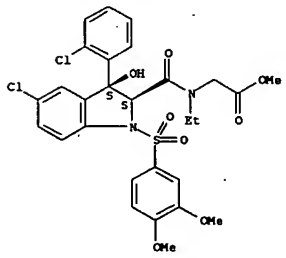
RN 149151-58-6 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



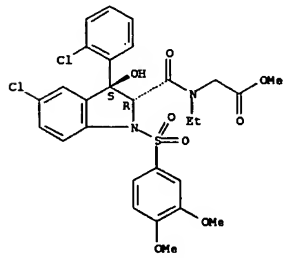
RN 149151-59-7 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



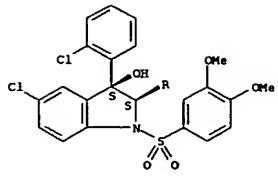
RN 149151-62-2 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

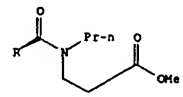
Relative stereochemistry.



RN 149151-63-3 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(2-methylpropyl)-, cis- (9CI) (CA INDEX NAME)

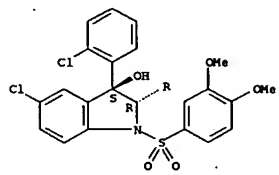
Relative stereochemistry.

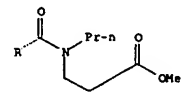




RN 149151-60-0 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

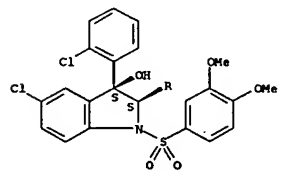
Relative stereochemistry.

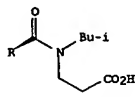




RN 149151-61-1 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

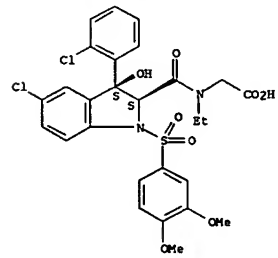
Relative stereochemistry.





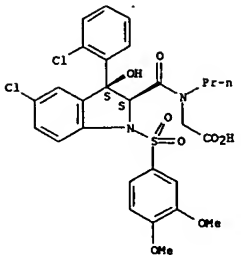
RN 149151-64-4 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



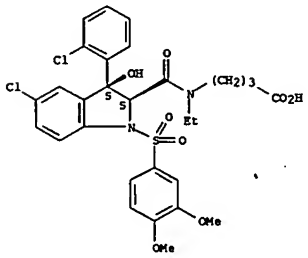
RN 149151-65-5 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



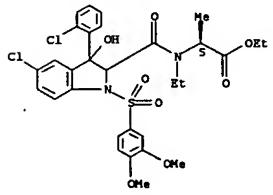
RN 149151-66-6 CAPLUS
CN Butanoic acid, 4-[[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]ethylamino]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 149151-67-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-amino-2-oxoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-ethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

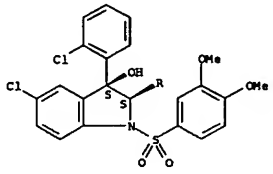
Relative stereochemistry.



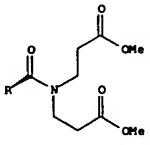
RN 149151-76-8 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(3-methoxy-3-oxopropyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

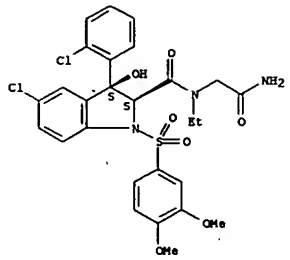
PAGE 1-A



PAGE 2-A

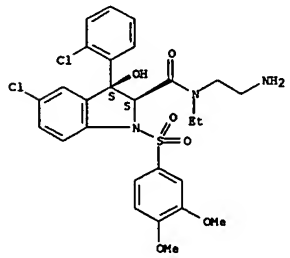


RN 149151-77-9 CAPLUS
CN β-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-(3-methoxy-3-oxopropyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)



RN 149151-74-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-(2-aminoethyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-ethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

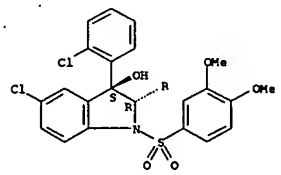


RN 149151-75-7 CAPLUS
CN L-Alanine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

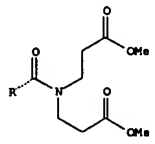
Absolute stereochemistry.

Relative stereochemistry.

PAGE 1-A

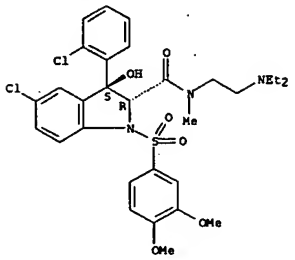


PAGE 2-A



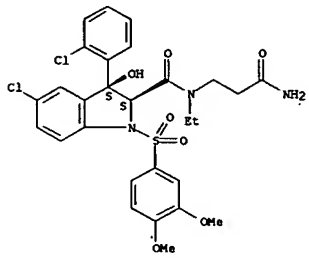
RN 149152-73-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-N-[2-(diethylamino)ethyl]-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



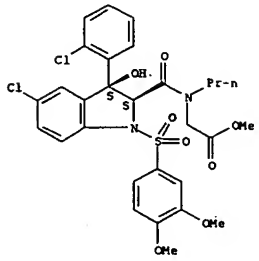
RN 149152-74-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-(3-amino-3-oxopropyl)-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N-ethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 149180-32-5 CAPLUS
CN Glycine, N-[[5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-1H-indol-2-yl]carbonyl]-N-propyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

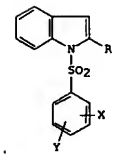
Relative stereochemistry.



ACCESSION NUMBER: 1993:147461 CAPLUS
DOCUMENT NUMBER: 118:147461
TITLE: N-Phenylsulfonylindole derivatives
INVENTOR(S): Hibino, Satoshi; Tanaka, Makoto; Taguchi, Minoru; Ota, Tomoki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273857	A2	19920930	JP 1991-115699	19910226
PRIORITY APPLN. INFO.:			JP 1991-115699	19910226

OTHER SOURCE(S): MARPAT 118:147461
GI

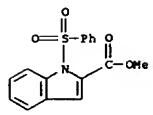


I

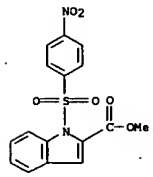
AB Title derivs. I (R = H, lower alkenyl, formyl, lower alkoxycarbonyl; X = H, lower alkyl, halo, lower alkoxy, NO2, lower alkoxycarbonyl; Y = H, halo; X, Y, and the benzene ring may form a naphthalene ring) and their salts, useful for angiotensin II antagonists, are prepared Thus, treating 0.50 g 2-methoxycarbonylindole with benzenesulfonyl chloride in DMF in the presence of NaH with ice cooling gave 0.64 g 1-benzenesulfonyl-2-methoxycarbonylindole.

IT 60376-48-9P, 1-Benzenesulfonyl-2-methoxycarbonylindole
146384-41-0P, 1-(4-Nitrobenzenesulfonyl)-2-methoxycarbonylindole
146384-42-1P, 1-(3-Nitrobenzenesulfonyl)-2-methoxycarbonylindole
146384-43-2P, 1-(4-Bromobenzenesulfonyl)-2-methoxycarbonylindole
146384-44-3P, 1-(1-Naphthalenesulfonyl)-2-methoxycarbonylindole
146384-45-4P, 1-(2-Naphthalenesulfonyl)-2-methoxycarbonylindole
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for angiotensin II antagonists)

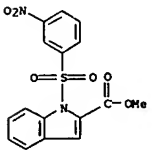
RN 60376-48-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 146384-41-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-nitrophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

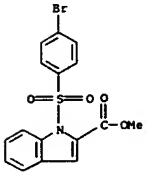


RN 146384-42-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3-nitrophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

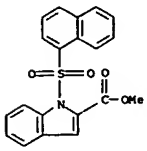


RN 146384-43-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-bromophenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

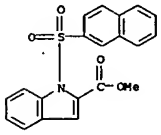
L4 ANSWER 104 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



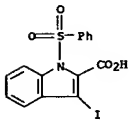
RN 146384-44-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(1-naphthalenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



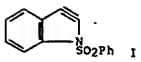
RN 146384-45-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(2-naphthalenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



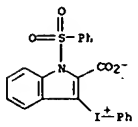
L4 ANSWER 105 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 105 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:101755 CAPLUS
DOCUMENT NUMBER: 118:101755
TITLE: Approaches to the generation of 2,3-indolyne
AUTHOR(S): Conway, Samuel C.; Gribble, Gordon W.
CORPORATE SOURCE: Dep. Chem., Dartmouth Coll., Hanover, NH, 03755, USA
SOURCE: Heterocycles (1992), 34(11), 2095-108
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:101755
GI



AB Several unsuccessful attempts to generate and trap 1-phenylsulfonyl-2,3-indolyne (I) from 2-lithio-3-bromo-1-phenylsulfonylindole (II) and 2-lithio-3-iodo-1-phenylsulfonylindole (III), generated by different methods, are described. The remarkable stability of II and III towards elimination parallels previous observations involving the stability of 2-lithio-3-bromobenzo[b]furan and other ortho-metalated halogenated five-membered ring heterocycles.
IT 145888-03-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(attempted preparation of)
RN 145888-03-5 CAPLUS
CN Iodonium, [2-carboxy-1-(phenylsulfonyl)-1H-indol-3-yl]phenyl-, inner salt (9CI) (CA INDEX NAME)



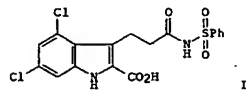
IT 145888-02-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and attempted conversion to (phenyliodonium)(phenylsulfonyl)indolecarboxylate)
RN 145888-02-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-iodo-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 105 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

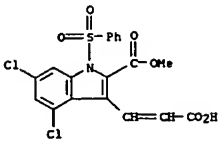
L4 ANSWER 106 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:59585 CAPLUS
DOCUMENT NUMBER: 118:59585
TITLE: 4,6-dichloro-2-carboxy-N-(phenylsulfonyl)-1H-indole-3-alkanoic acids, a method for their preparation and their use as glutamergic or aspartergic neurotransmitter antagonists
INVENTOR(S): Bigge, Christopher Franklin; Johnson, Graham; Yuen, Po Wai
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9216205	A2	19921001	WO 1992-US1699	19920304
WO 9216205	A3	19921126		
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
US 5284862	A	19940208	US 1992-839109	19920227
PRIORITY APPLN. INFO.:			US 1991-670860 A	19910318
			US 1992-839109 A	19920227

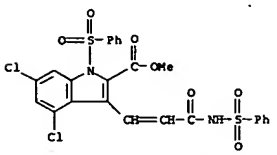
OTHER SOURCE(S): CASREACT 118:59585; MARPAT 118:59585
GI



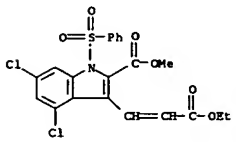
AB Some 1H-indole-3-alkanecarboxylates, including amides of hydroxamic acids, are claimed. A method for the treatment of cerebrovascular disease (neurodegenerative disorders) is claimed, that comprises the administration of said compds.; said disorders are responsive to the blocking of glutamic or aspartic acid receptors. Wittig reaction of Me 4,6-dichloro-2-formyl-1H-indole-2-carboxylate and sequential reduction and saponification gave 4,6-dichloro-2-(methoxycarbonyl)-1H-indole-3-propanoic acid which was treated with benzenesulfonyl chloride to give 4,6-dichloro-2-carboxy-N-(phenylsulfonyl)-1H-indole-3-propanoic acid (I).
IT 144989-48-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and chlorination and reaction of, with benzenesulfonamide)
RN 144989-48-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-carboxyethenyl)-4,6-dichloro-1-(phenylsulfonyl)-, 2-methyl ester (9CI) (CA INDEX NAME)



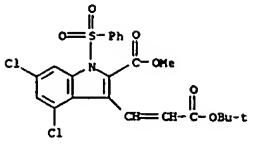
IT 144989-49-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
RN 144989-49-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[(phenylsulfonyl)amino]-1-propenyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



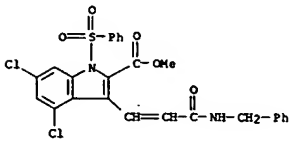
IT 144989-32-2P 144989-47-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
RN 144989-32-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-(3-ethoxy-3-oxo-1-propenyl)-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 144989-47-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



IT 144989-50-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 144989-50-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[3-oxo-3-[(phenylmethyl)amino]-1-propenyl]-1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 107 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

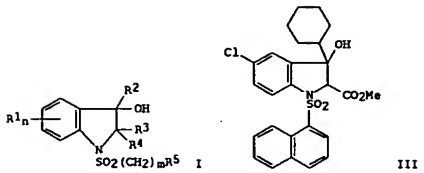
ACCESSION NUMBER: 1992:214341 CAPLUS
DOCUMENT NUMBER: 116:214341
TITLE: Preparation of 1-arylsulfonyl-3-hydroxyindoline-2-carboxylates and analogs as vasopressin and oxytocin receptor ligands
INVENTOR(S): Wagnon, Jean; De Cointet, Paul; Nisato, Dino; Plouzane, Claude; Serradeil-Legal, Claudine
PATENT ASSIGNEE(S): Sanofi SA, Fr.
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 469984	A2	19920205	EP 1991-402123	19910730
EP 469984	A3	19920311		
EP 469984	B1	19951018		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2665441	A1	19920207	FR 1990-9778	19900731
FR 2665441	B1	19921204		
FI 9103614	A	19920201	FI 1991-3614	19910729
FI 97224	B	19960731		
FI 97224	C	19961111		
CA 2048139	AA	19920201	CA 1991-2048139	19910730
CA 2048139	C	20020212		
NO 9102970	A	19920203	NO 1991-2970	19910730
NO 175254	B	19940613		
NO 175254	C	19940921		
AT 129236	E	19951115	AT 1991-402123	19910730
ES 2080922	T3	19960216	ES 1991-402123	19910730
IL 99012	A1	19960723	IL 1991-99012	19910730
IL 114934	A1	19960804	IL 1991-114934	19910730
AU 9181478	A1	19920206	AU 1991-81478	19910731
AU 645585	B2	19940120		
ZA 9106031	A	19920429	ZA 1991-6031	19910731
HU 59669	A2	19920629	HU 1991-2552	19910731
JP 04234361	A2	19920824	JP 1991-192078	19910731
JP 3195381	B2	20010806		
KR 211434	B1	19990802	KR 1991-13249	19910731
HU 219351	B	20010328	HU 1971-99045	19910731
AU 9350473	A1	19940113	AU 1993-50473	19931105
AU 664491	B2	19951116		
US 5481005	A	19960102	US 1994-348150	19941128

PRIORITY APPLN. INFO.:

FR 1990-9778	A	19900731
IL 1991-99012	A3	19910730
US 1991-737655	B2	19910730
HU 1991-2552	A	19910731
FR 1991-9908	A	19910802
US 1993-923839	A3	19930803
US 1994-240360	A3	19940510

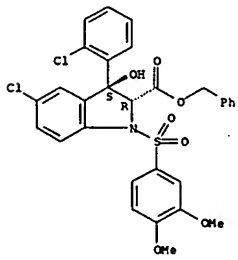
OTHER SOURCE(S): MARPAT 116:214341
GI



AB Title compds. [I; R1 = halo, alkyl, alkoxy, PhCH2O, etc.; R2 = (cyclo)alkyl, cycloalkenyl, (substituted) Ph; R3 = H, alkyl; R4 = CO2H, alkoxycarbonyl, CO2CH2Ph, (substituted) CONH2; R5 = alkyl, naphthyl, (substituted) Ph, etc.; m, n = 0-2] were prepared Thus, 4,2-Cl(R2CO)C6H3R (R2 = cyclohexyl) (II; R = NH2) was condensed with 1-naphthylsulfonyl chloride and the product condensed with BrCH2CO2Et to give II [R = N(CH2CO2Et)SO2R5; R5 = 1-naphthyl] which was treated with NaOMe/MeOH to give title compound III (cis and trans isomers). I had IC50 of .apprx.10-7M against oxytocin binding with a membrane preparation from pregnant rats.

IT 140916-70-7P 140916-71-8P 140937-07-1P
140937-08-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of vasopressin and oxytocin receptor ligands)
RN 140916-70-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

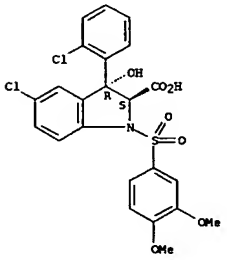
Relative stereochemistry.



RN 140916-71-8 CAPLUS

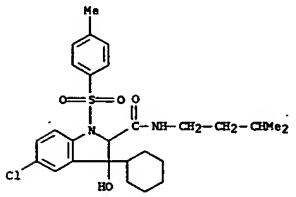
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



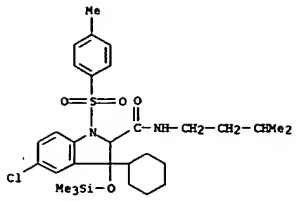
RN 140937-07-1 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 140937-08-2 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]-3-[(trimethylsilyl)oxy]- (9CI) (CA INDEX NAME)



IT 140915-01-1P 140915-02-2P 140915-03-3P
140915-04-4P 140915-05-5P 140915-06-6P
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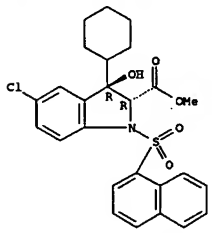
140916-41-2P 140916-42-3P 140916-73-0P
140937-03-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as vasopressin and oxytocin receptor ligand)

RN 140915-01-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(1-naphthalenylsulfonyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

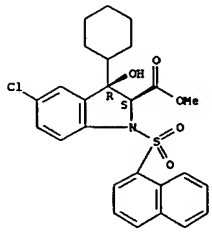
Relative stereochemistry.



RN 140915-02-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(1-naphthalenylsulfonyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

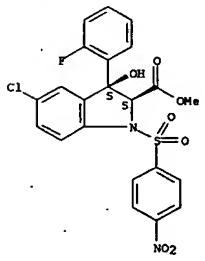
Relative stereochemistry.



RN 140915-03-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-nitrophenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

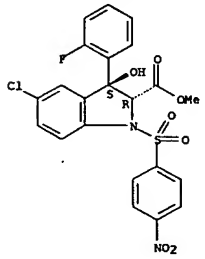
Relative stereochemistry.



RN 140915-04-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-nitrophenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

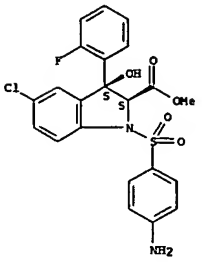
Relative stereochemistry.



RN 140915-05-5 CAPLUS

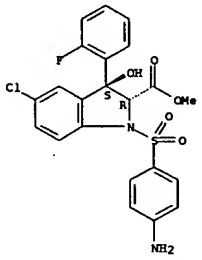
CN 1H-Indole-2-carboxylic acid, 1-[(4-aminophenyl)sulfonyl]-5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



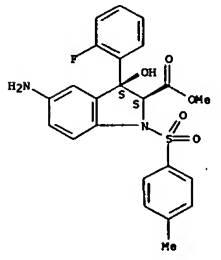
RN 140915-06-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-aminophenyl)sulfonyl]-5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



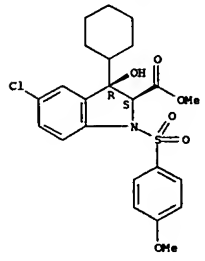
RN 140915-07-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-nitro-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



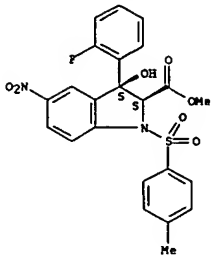
RN 140915-10-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



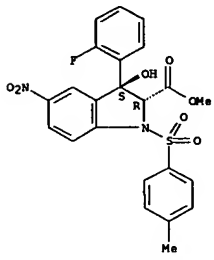
RN 140915-11-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



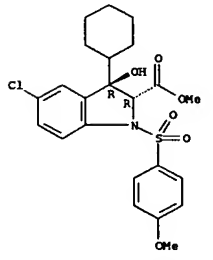
RN 140915-08-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-nitro-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



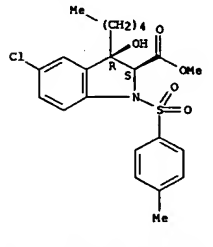
RN 140915-09-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-amino-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



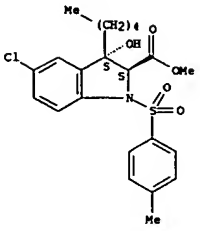
RN 140915-12-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-pentyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



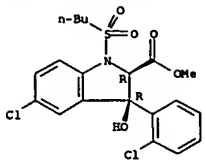
RN 140915-13-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-pentyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



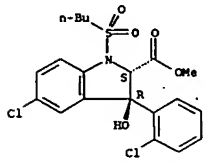
RN 140915-14-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(butylsulfonyl)-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 140915-15-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(butylsulfonyl)-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

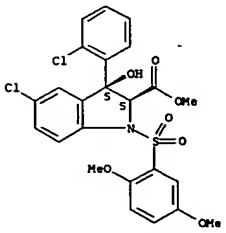
Relative stereochemistry.



RN 140915-16-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(2,5-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI)

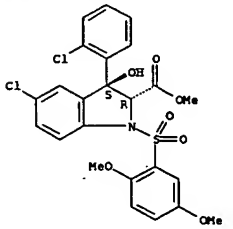
(CA INDEX NAME)

Relative stereochemistry.



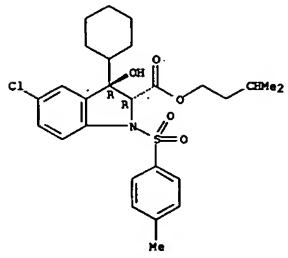
RN 140915-17-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(2,5-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



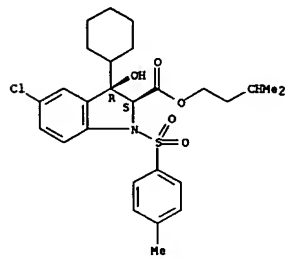
RN 140915-18-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, 3-methylbutyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



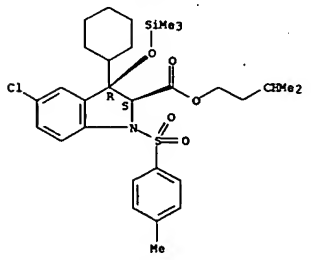
RN 140915-19-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, 3-methylbutyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



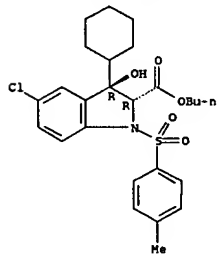
RN 140915-20-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-1-[(4-methylphenyl)sulfonyl]-3-[(trimethylsilyl)oxy]-, 3-methylbutyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



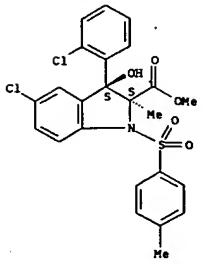
RN 140915-21-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, butyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



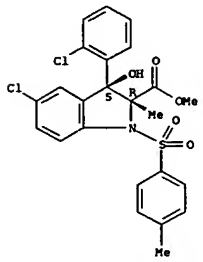
RN 140915-22-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-2-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



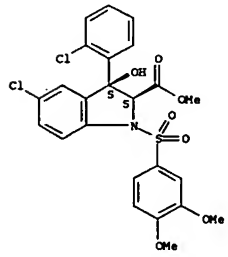
RN 140915-23-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-2-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



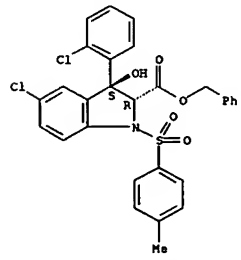
RN 140915-24-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-cyanophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



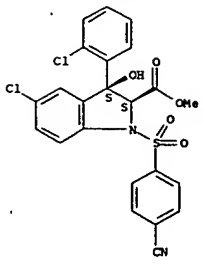
RN 140915-27-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



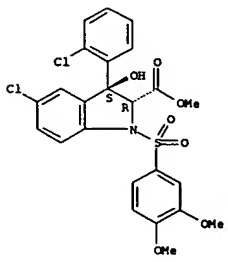
RN 140915-28-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



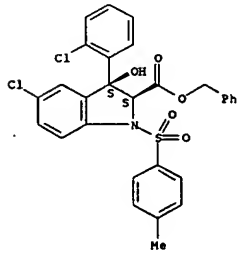
RN 140915-25-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



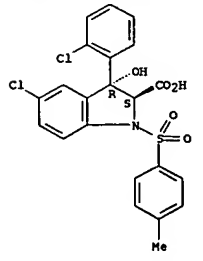
RN 140915-26-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



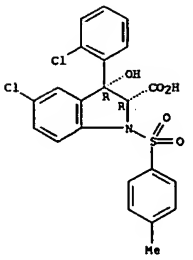
RN 140915-29-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



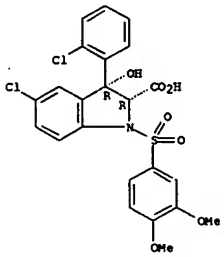
RN 140915-30-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



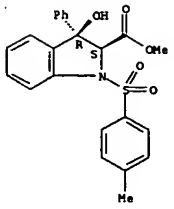
RN 140915-31-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



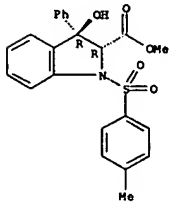
RN 140915-32-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



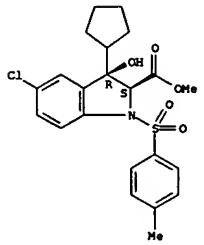
RN 140915-33-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



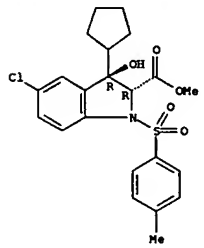
RN 140915-34-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclopentyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



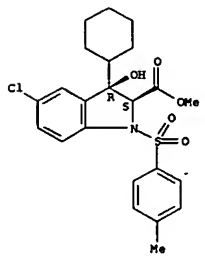
RN 140915-35-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclopentyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



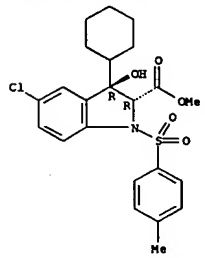
RN 140915-36-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



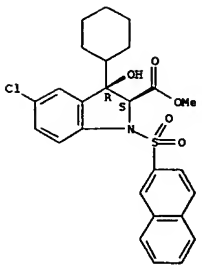
RN 140915-37-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



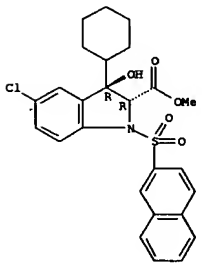
RN 140915-38-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(2-naphthalenylsulfonyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



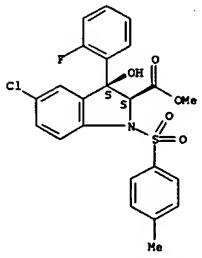
RN 140915-39-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-(2-naphthalenylsulfonyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



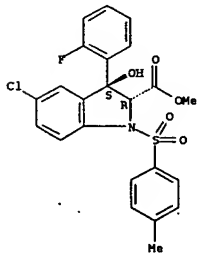
RN 140915-40-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(1-methylethyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



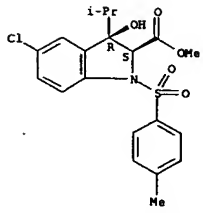
RN 140915-43-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



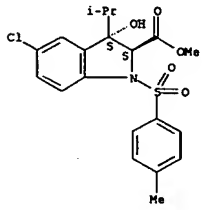
RN 140915-44-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



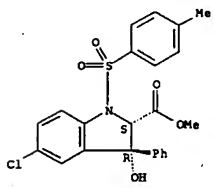
RN 140915-41-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(1-methylethyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



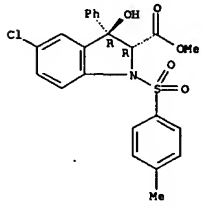
RN 140915-42-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



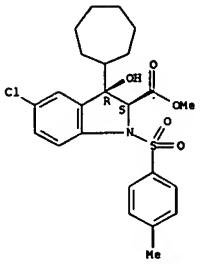
RN 140915-45-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



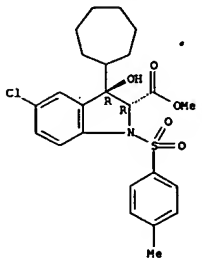
RN 140915-46-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cycloheptyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



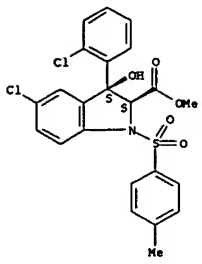
RN 140915-47-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cycloheptyl-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



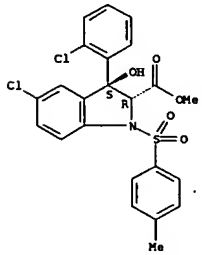
RN 140915-48-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



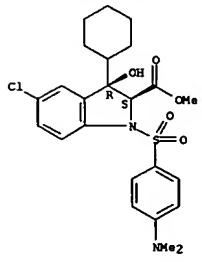
RN 140915-49-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



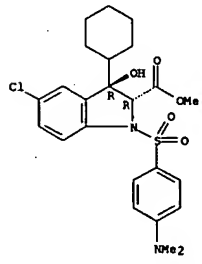
RN 140915-50-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-1-[[4-(dimethylamino)phenyl]sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



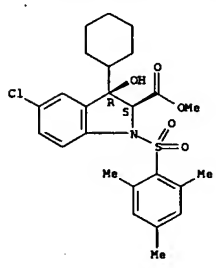
RN 140915-51-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-1-[[4-(dimethylamino)phenyl]sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



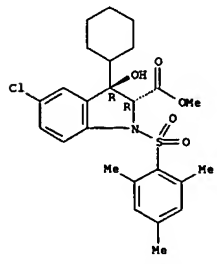
RN 140915-52-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(2,4,6-trimethylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



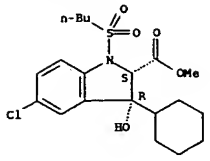
RN 140915-53-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-1-[(2,4,6-trimethylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



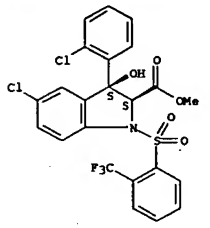
RN 140915-54-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(butylsulfonyl)-5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



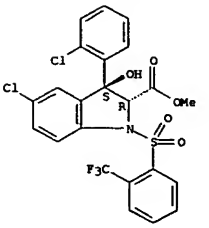
RN 140915-55-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[2-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



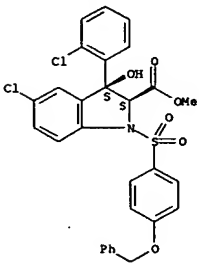
RN 140915-56-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[2-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



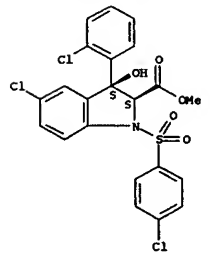
RN 140915-57-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(phenylmethoxy)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



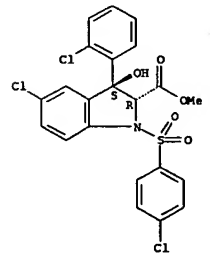
RN 140915-58-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



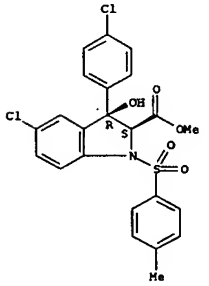
RN 140915-59-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



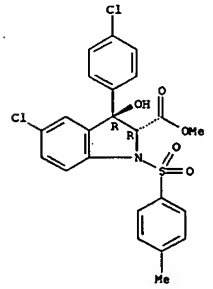
RN 140915-60-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(4-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



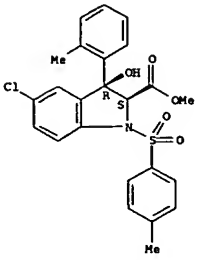
RN 140915-61-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(4-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



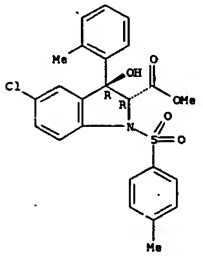
RN 140915-62-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



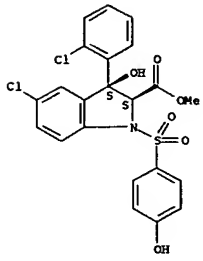
RN 140915-63-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



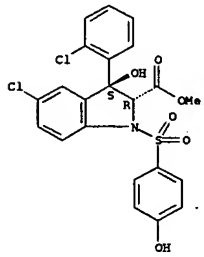
RN 140915-64-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-hydroxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



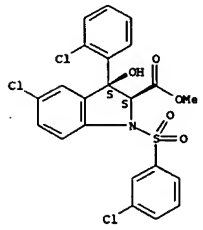
RN 140915-65-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-hydroxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



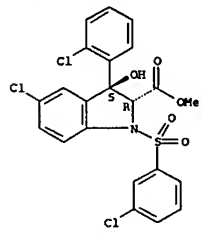
RN 140915-66-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



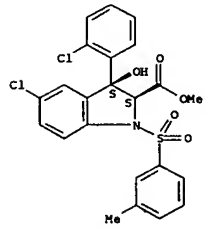
RN 140915-67-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(3-chlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



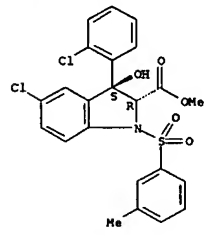
RN 140915-68-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



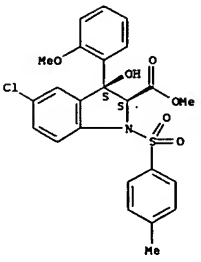
RN 140915-69-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



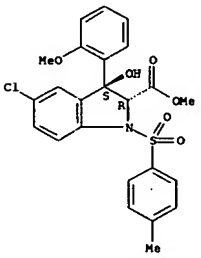
RN 140915-70-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



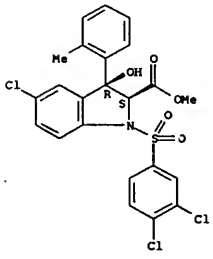
RN 140915-71-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



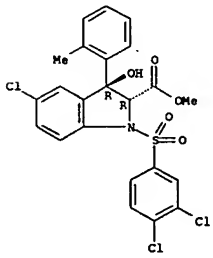
RN 140915-72-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(3-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



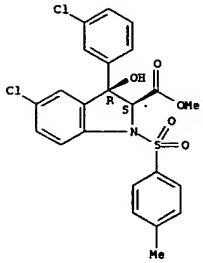
RN 140915-75-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3,4-dichlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



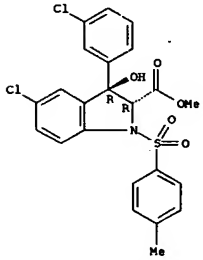
RN 140915-76-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



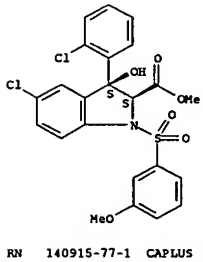
RN 140915-73-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(3-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



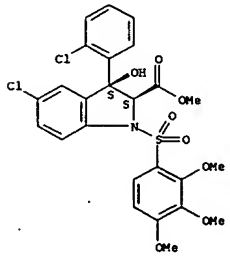
RN 140915-74-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-1-[(3,4-dichlorophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methylphenyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



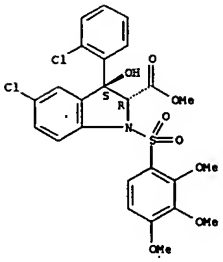
RN 140915-77-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(2,3,4-trimethoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



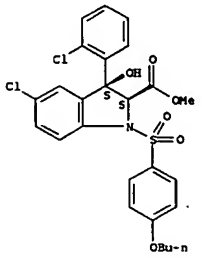
RN 140915-78-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(2,3,4-trimethoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



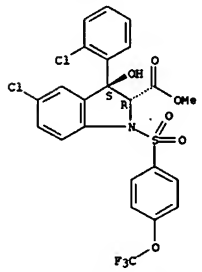
RN 140915-79-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-butoxyphenyl)sulfonyl]-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



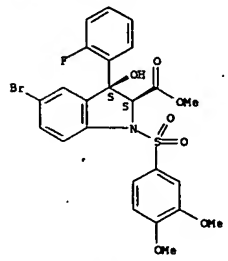
RN 140915-80-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-butoxyphenyl)sulfonyl]-5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



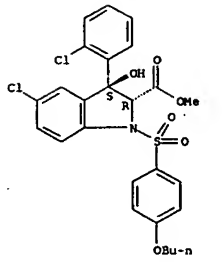
RN 140915-83-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



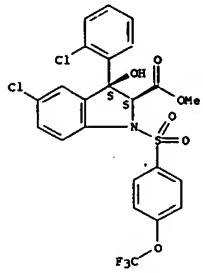
RN 140915-84-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



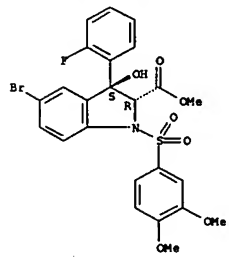
RN 140915-81-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



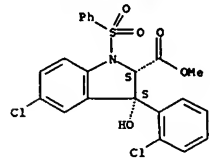
RN 140915-82-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



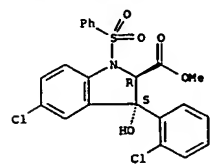
RN 140915-85-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-(phenylsulfonyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 140915-86-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-(phenylsulfonyl)-, methyl ester, trans- (9CI) (CA INDEX NAME)

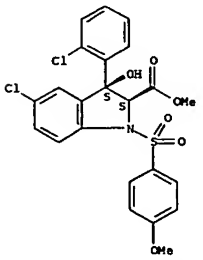
Relative stereochemistry.



RN 140915-87-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

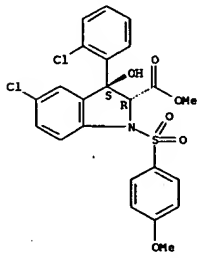
Relative stereochemistry.



RN 140915-88-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

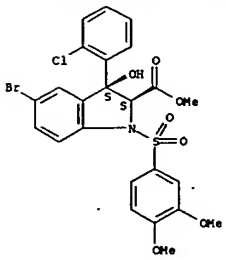
Relative stereochemistry.



RN 140915-89-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

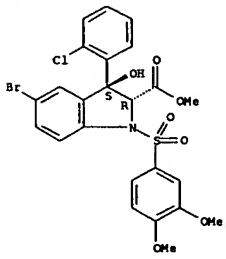
Relative stereochemistry.



RN 140915-90-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

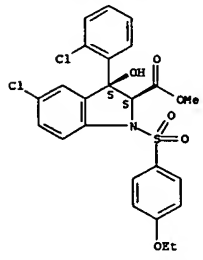
Relative stereochemistry.



RN 140915-91-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, cis- (9CI) (CA INDEX NAME)

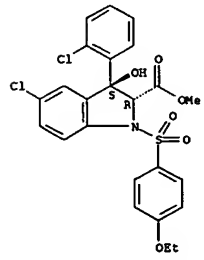
Relative stereochemistry.



RN 140915-92-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-1-[(4-ethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, methyl ester, trans- (9CI) (CA INDEX NAME)

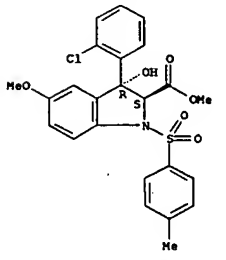
Relative stereochemistry.



RN 140915-93-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-5-methoxy-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

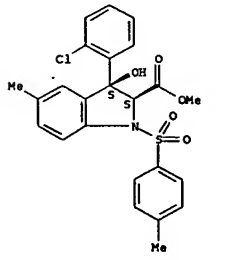
Relative stereochemistry.



RN 140915-94-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-5-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

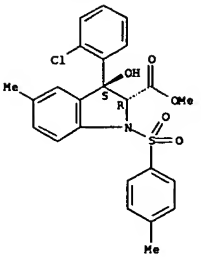
Relative stereochemistry.



RN 140915-95-3 CAPLUS

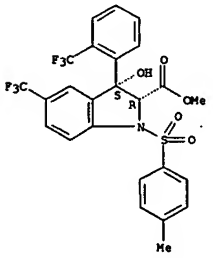
CN 1H-Indole-2-carboxylic acid, 3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-5-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



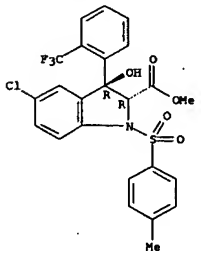
RN 140915-96-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-(trifluoromethyl)-3-[2-(trifluoromethyl)phenyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



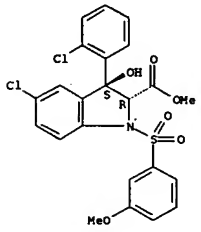
RN 140915-97-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-(trifluoromethyl)-3-[2-(trifluoromethyl)phenyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



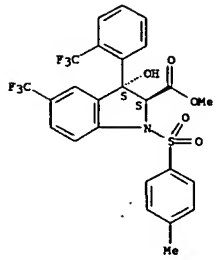
RN 140916-00-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(3-methoxyphenyl)sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



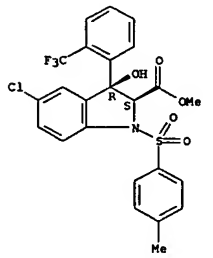
RN 140916-01-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



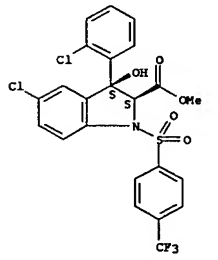
RN 140915-98-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-[2-(trifluoromethyl)phenyl]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



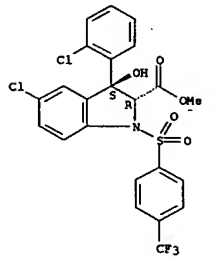
RN 140915-99-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-2,3-dihydro-3-hydroxy-1-[(4-methylphenyl)sulfonyl]-3-[2-(trifluoromethyl)phenyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



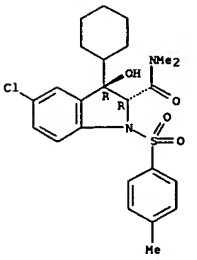
RN 140916-02-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(trifluoromethyl)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



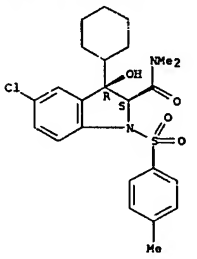
RN 140916-03-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



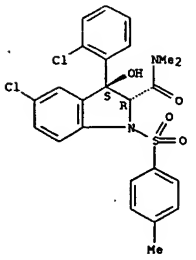
RN 140916-04-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



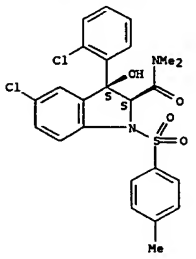
RN 140916-05-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



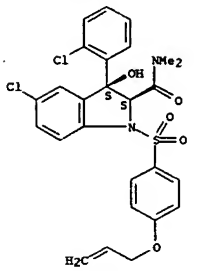
RN 140916-06-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



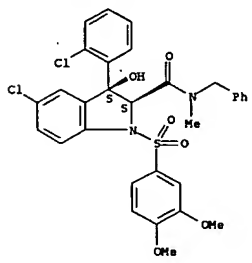
RN 140916-07-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[[4-(2-propenyloxy)phenyl]sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



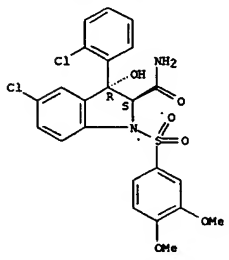
RN 140916-08-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



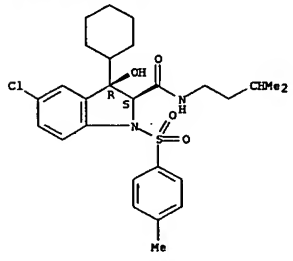
RN 140916-11-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



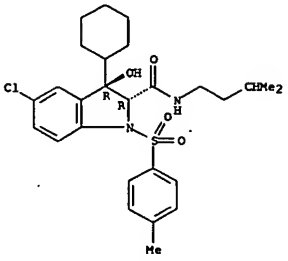
RN 140916-12-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



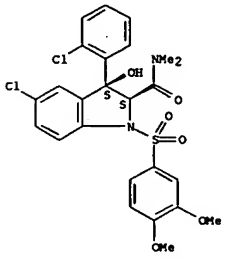
RN 140916-13-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-(3-methylbutyl)-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



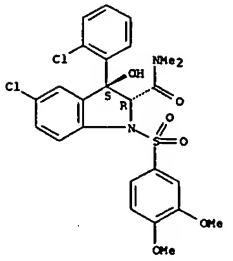
RN 140916-14-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



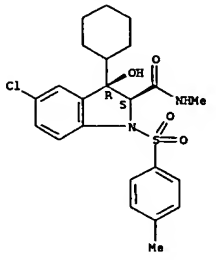
RN 140916-15-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



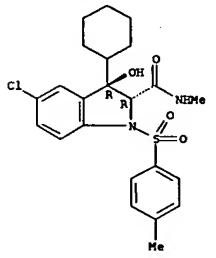
RN 140916-16-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-methyl-1-[(4-methylphenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



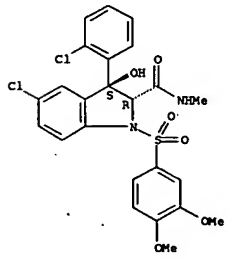
RN 140916-17-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-2,3-dihydro-3-hydroxy-N-methyl-1-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



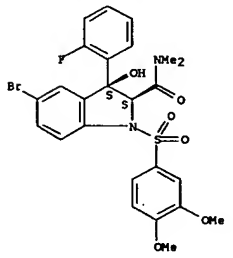
RN 140916-18-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



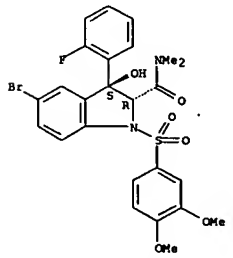
RN 140916-19-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



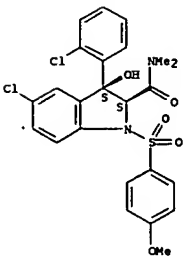
RN 140916-20-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-1-[(3,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



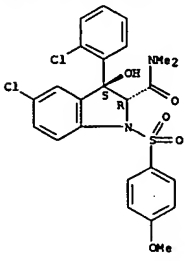
RN 140916-21-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



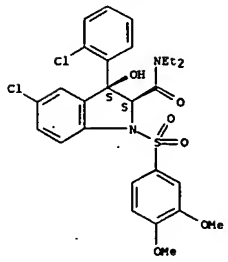
RN 140916-22-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



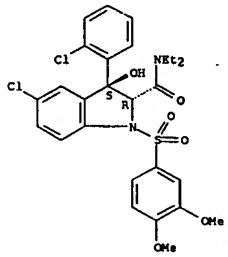
RN 140916-23-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



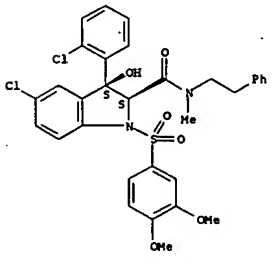
RN 140916-24-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



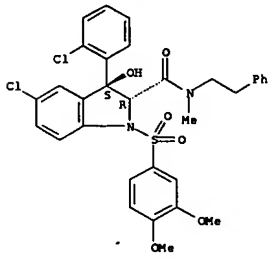
RN 140916-25-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(2-phenylethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



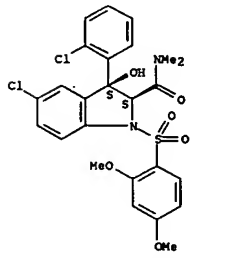
RN 140916-26-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N-methyl-N-(2-phenylethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



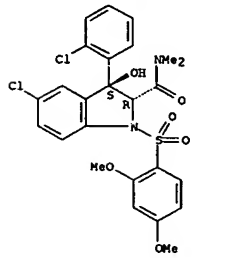
RN 140916-27-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



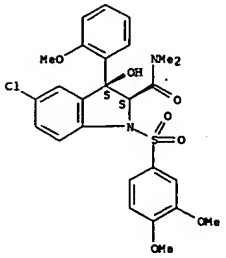
RN 140916-28-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



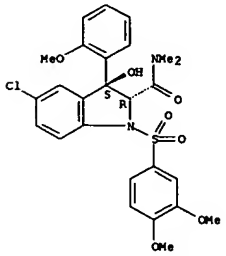
RN 140916-29-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



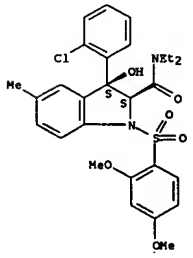
RN 140916-30-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-3-(2-methoxyphenyl)-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



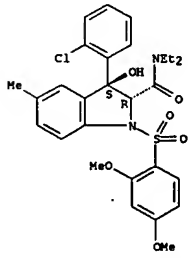
RN 140916-31-0 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



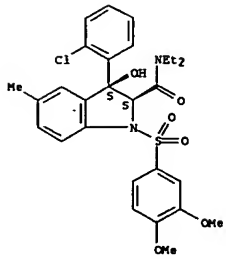
RN 140916-34-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



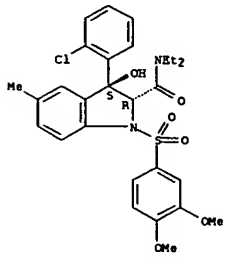
RN 140916-35-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



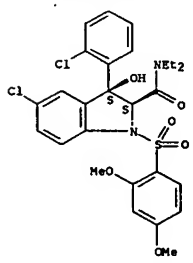
RN 140916-32-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



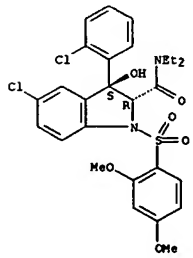
RN 140916-33-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-5-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



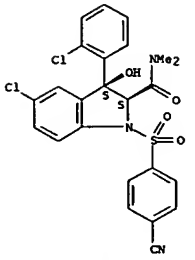
RN 140916-36-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



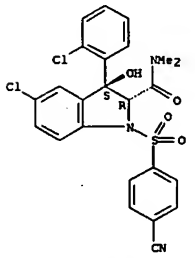
RN 140916-37-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(4-cyanophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



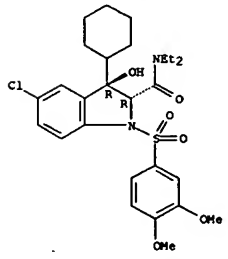
RN 140916-38-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(4-cyanophenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



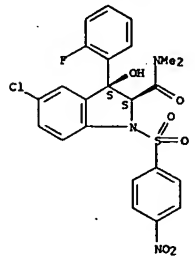
RN 140916-39-8 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-dibutyl-5-chloro-3-(2-chlorophenyl)-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



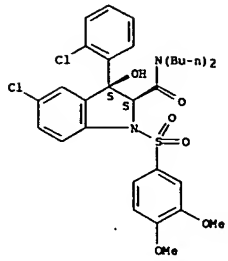
RN 140916-42-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-N,N-dimethyl-1-[(4-nitrophenyl)sulfonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



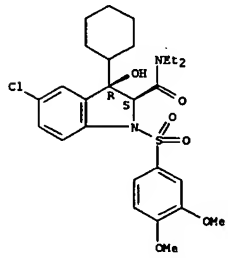
RN 140916-73-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(2-chlorophenyl)-1-[(2,5-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-hydroxy-N,N-dimethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



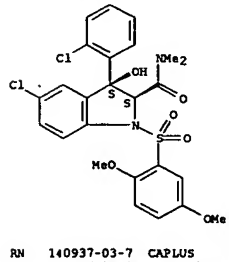
RN 140916-40-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



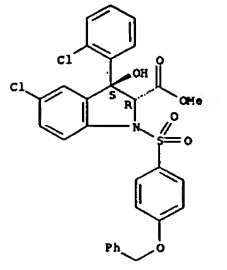
RN 140916-41-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-cyclohexyl-1-[(3,4-dimethoxyphenyl)sulfonyl]-N,N-diethyl-2,3-dihydro-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

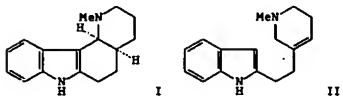


RN 140937-03-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(2-chlorophenyl)-2,3-dihydro-3-hydroxy-1-[[4-(phenylmethoxy)phenyl]sulfonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

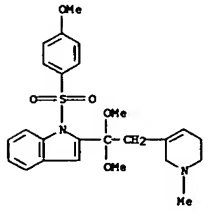
Relative stereochemistry.



L4 ANSWER 108 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:492683 CAPLUS
DOCUMENT NUMBER: 115:92683
TITLE: Synthetic applications of 2-(1,3-dithian-2-yl)indoles. III. A new route to tetracyclic [ABCD] intermediates in the synthesis of Aspidosperma indole alkaloids
AUTHOR(S): Troin, Yves; Diez, Anna; Bettiol, Jean Luc; Rubiralta, Mario; Grierson, David S.; Husson, Henri Philippe
CORPORATE SOURCE: Fac. Pharm., Univ. Barcelona, Barcelona, 08028, Spain
SOURCE: Heterocycles (1991), 32(4), 663-8
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:92683
GI



AB The synthesis of tetracyclic [ABCD] framework I of Aspidosperma alkaloids was achieved via allylamine-enamine isomerization using (Ph3P)3RhCl in hot aqueous acetonitrile of the 1,2,5,6-tetrahydro-3-(indolylethyl)pyridine (II) which in turn was obtained by Raney nickel desulfurization of the corresponding 2-(1,3-dithian-2-yl)indole.
IT 135299-54-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 135299-54-6 CAPLUS
CN 1H-Indole, 2-[1,1-dimethoxy-2-(1,2,5,6-tetrahydro-1-methyl-3-pyridinyl)ethyl]-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



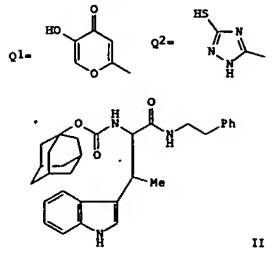
L4 ANSWER 109 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:450307 CAPLUS
DOCUMENT NUMBER: 115:50307
TITLE: Preparation of N-substituted cycloalkyl and polycycloalkyl α-substituted tryptophanylphenylalanine analogs as drugs
INVENTOR(S): Horwell, David Christopher; Pritchard, Martyn Clive; Richardson, Reginald Stewart; Roberts, Edward; Aranda, Julian
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Eur. Pat. Appl., 133 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 405537	A1	19910102	EP 1990-112333	19900628
EP 405537	B1	20040908		
R: GR				
WO 9100274	A1	19910110	WO 1990-US3553	19900628
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US, US, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9059628	A1	19910117	AU 1990-59628	19900628
AU 644088	B2	19931202		
ZA 9005057	A	19920226	ZA 1990-5057	19900628
EP 479910	A1	19920415	EP 1990-911185	19900628
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04506079	T2	19921022	JP 1990-510126	19900628
JP 2972331	B2	19991108		
CA 2060652	C	20010821	CA 1990-2060652	19900628
CA 2344707	C	20020730	CA 1990-2344707	19900628
AT 275546	E	20040915	AT 1990-112333	19900628
ES 2229202	T3	20050416	ES 1990-112333	19900628
CN 1049165	A	19910213	CN 1990-106804	19900629
FI 106197	B1	20001215	FI 1991-6060	19911220
NO 9105122	A	19920227	NO 1991-5122	19911227
NO 301831	B1	19971215		

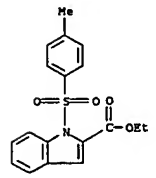
PRIORITY APPLN. INFO.:		
US 1989-374327	A	19890629
US 1989-422486	A	19891016
US 1990-530811	A	19900605
CA 1990-2060652	A3	19900628
WO 1990-US3553	A	19900628

OTHER SOURCE(S): MARPAT 115:50307
GI

L4 ANSWER 109 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB R1ANHCR2(CH2X)CONR9CR3R12CR4R13Ar [I; R1 = (substituted) (poly)cycloalkyl; A = (CH2)nCO, SO, SO2 NHCO, HC:CHCO, etc.; n = 0-6; R2 = alkyl, HC:CH2, C.tplbond.CH, CH2CH:CH2, CH2C.tplbond.CH, CH2Ar, etc.; R3, R4 = H, R2, CH2mBD; m = 0-3; B = bond, O2C(CH2)n, O(CH2)n, SO2(CH2)n, NHCOCH:CH, etc.; D = cyano, carbamoyl, H, OH, Q1, Q2, etc.; R12, R13 = H; R12R13 = bond; Ar = (substituted) (polycyclic) (hetero) aryl; X = indolyl], were prepared as drugs. Thus, N-[(tricyclo[3.3.1.13,7]dec-1-yloxy)carbonyl]-α-methyl-DL-tryptophan (preparation from α-methyl-DL-tryptophan and 1-adamantyl fluoroformate given) in dioxane was treated successively with pentachlorophenol, DCC, and PhCH2CH2NH2 to give 49% title compound II. I displaced tritiated pentagastrin from CCK receptors in rat cortex prepns. with Ki = 0.00008-21.2 μm. I are useful as appetite suppressants, gastric acid secretion inhibitors/ulcer inhibitors, anxiolytics, antipsychotics, opioid potentiators, and for treating drug withdrawal reactions.
IT 132819-92-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediates for tryptophanylphenylalanine analog)
RN 132819-92-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 109 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 110 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

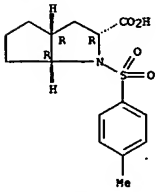
ACCESSION NUMBER: 1991:449298 CAPLUS
DOCUMENT NUMBER: 115:49298
TITLE: Cyclization of N-tosyloxiranylpropylamines. Synthesis of nitrogen heterocycles
AUTHOR(S): Nuhrich, A.; Moulines, J.
CORPORATE SOURCE: Lab. Chim. Ther., Univ. Bordeaux II, Bordeaux, 33076, Fr.
SOURCE: Tetrahedron (1991), 47(18-19), 3075-88
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 115:49298

AB The cyclization of N-tosyloxiranepropylamines is accomplished in both basic and anhydrous acid media. In most cases, this reaction occurs by a regiospecific 5-exo-tet. ring closure and affords N-tosyl-2-pyrrolidinemethanols in high yields. The formation of N-tosyl-3-piperidinols through endo attack on the epoxide linkage is observed only in systems exhibiting geometric constraints in the transition state. These cyclizations are accompanied by inversion of configuration at the C undergoing nucleophilic attack.

IT **134786-35-9P 134786-37-1P 134786-38-2P**
134786-39-3P 134820-89-6P 134877-21-7P
134877-22-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 134786-35-9 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-, (2α,3aβ,6aβ)- (9CI) (CA INDEX NAME)

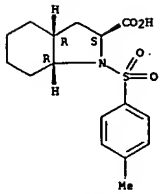
Relative stereochemistry.



RN 134786-37-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-, (2α,3aα,7aα)- (9CI) (CA INDEX NAME)

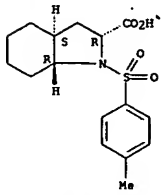
Relative stereochemistry.

L4 ANSWER 110 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



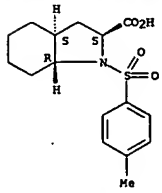
RN 134786-38-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-, (2α,3aα,7aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 134786-39-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-, (2α,3aβ,7aα)- (9CI) (CA INDEX NAME)

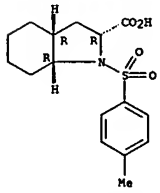
Relative stereochemistry.



RN 134820-89-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-,

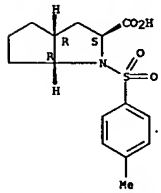
L4 ANSWER 110 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(2α,3aβ,7aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 134877-21-7 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-, (2α,3aα,6aα)- (9CI) (CA INDEX NAME)

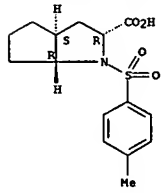
Relative stereochemistry.



RN 134877-22-8 CAPLUS
CN Cyclopenta[b]pyrrole-2-carboxylic acid, octahydro-1-[(4-methylphenyl)sulfonyl]-, (2α,3aα,6aβ)- (9CI) (CA INDEX NAME)

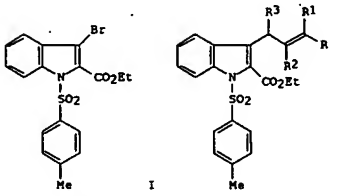
Relative stereochemistry.

L4 ANSWER 110 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 111 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:143043 CAPLUS
DOCUMENT NUMBER: 114:143043
TITLE: Synthetic studies of indoles and related compounds. 24. Palladium-catalyzed reaction of 3-bromoindole derivative with allyl esters in the presence of hexabutyldistannane
AUTHOR(S): Yokoyama, Yuusaku; Ikeda, Masato; Saito, Masaaki; Yoda, Tomoko; Suzuki, Hideharu; Murakami, Yasuoki
CORPORATE SOURCE: Sch. Pharm. Sci., Toho Univ., Chiba, 274, Japan
SOURCE: Heterocycles (1990), 31(8), 1505-11
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:143043
GI

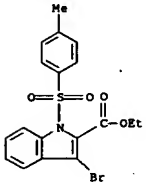


I II

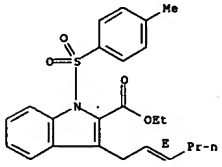
AB The palladium-catalyzed cross-coupling reaction of Et bromotosylindolecarboxylate (I) with a variety of substituted allylic acetates or carbonates in the presence of Bu3SnSnBu3 gave 3-allylindoles (II, R-R3 = H, Me, alkyl, Ph, CH2Ph) in high yields.

IT **104699-53-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cross-coupling of, with allyl esters)

RN 104699-53-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-bromo-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

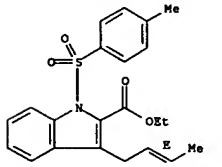


L4 ANSWER 111 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

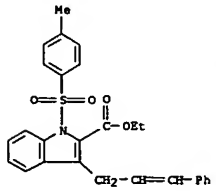


RN 132819-85-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-butenyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 132819-86-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-(3-phenyl-2-propenyl)-, ethyl ester (9CI) (CA INDEX NAME)

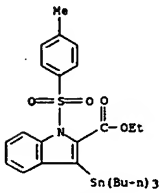


RN 132819-87-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(3-methyl-2-butenyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

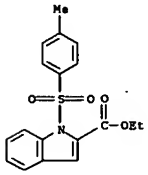
L4 ANSWER 111 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT **104699-55-0P 132819-92-2P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, during cross-coupling of bromo(tosyl)indolecarboxylate and allyl esters)

RN 104699-55-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-(tributylstannyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 132819-92-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

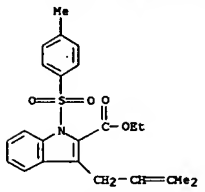


IT **132819-84-2P 132819-85-3P 132819-86-4P**
132819-87-5P 132819-88-6P 132819-89-7P
132819-90-0P 132819-91-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by cross-coupling of bromo(tosyl)indolecarboxylate with allyl esters)

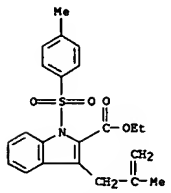
RN 132819-84-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-hexenyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

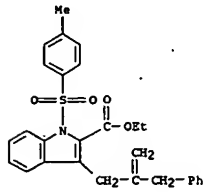
L4 ANSWER 111 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 132819-88-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-(2-methyl-2-propenyl)-, ethyl ester (9CI) (CA INDEX NAME)

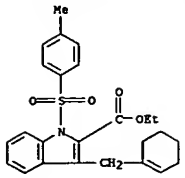


RN 132819-89-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-[2-(phenylmethyl)-2-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)



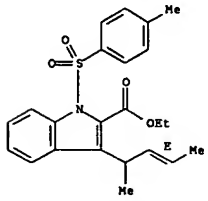
RN 132819-90-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(1-cyclohexen-1-ylmethyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 111 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

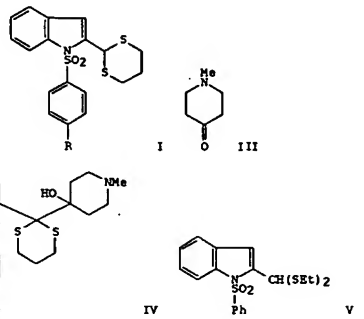


RN 132819-91-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(1-methyl-2-butenyl)-1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 112 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:478286 CAPLUS
DOCUMENT NUMBER: 113:78286
TITLE: Synthesis and reactivity of 2-(1,3-dithian-2-yl)indoles. III. Influence of the indole protective N-phenylsulfonyl group
AUTHOR(S): Rubiralta, Mario; Diez, Anna; Reig, Ignasi; Castells, Josep; Bettiol, Jean Luc; Grierson, David S.; Husson, Henri Philippe
CORPORATE SOURCE: Fac. Pharm., Univ. Barcelona, Barcelona, 08028, Spain
SOURCE: Heterocycles (1990), 31(1), 173-86
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:78286
GI

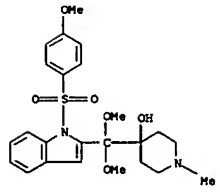


AB Formation of the anion of 2-(1,3-dithian-2-yl)indoles was shown to be possible when the indole nitrogen is protected by a p-methoxyphenylsulfonyl group. In contrast to the corresponding N-phenylsulfonylindole dithiane I (R = H), the anion of the p-methoxy derivative I (R = OMe) (II) reacts efficiently with electrophiles. Thus, II was treated with BuLi to give corresponding Li anion, which was treated with piperidone III to give product IV. The influence of the indole protective group on the metalation of 2-bis(ethylthio)-methyl-1-(phenylsulfonyl)indole (V) and the corresponding sulfoxide with n-butyllithium is also reported.

IT 128721-44-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 128721-44-8 CAPLUS
CN 1H-Indole, 2-[(4-hydroxy-1-methyl-4-piperidinyl)dimethoxymethyl]-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 112 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 113 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:216513 CAPLUS
DOCUMENT NUMBER: 112:216513
TITLE: Access to the three subunits of the antitumor antibiotic CC-1065 by hetero-Cope rearrangement of vinyl N-phenylhydroxamates
AUTHOR(S): Martin, Pierre
CORPORATE SOURCE: Zent. Forschungslab., Ciba-Geigy A.-G., Basel, CH-4002, Switz.
SOURCE: Helvetica Chimica Acta (1989), 72(7), 1554-82
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 112:216513
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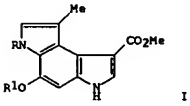
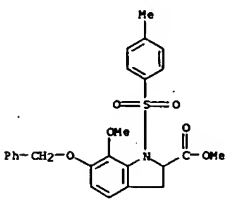


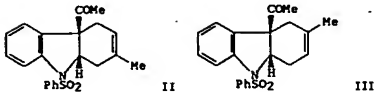
AB The hetero-Cope rearrangement of vinyl N-phenylhydroxamates to indoles was used for the preparation of the 1,2-dihydro-3H,6H-benzo[1,2-b:4,3-b']dipyrrole skeleton I (R = Ac, R1 = H; R = SO2Ph, R1 = CH2Ph) the structural subunits characteristic of the antitumor antibiotic CC-1065 as well as the phosphodiesterase inhibitors PDE-I and PDE-II.

IT 127027-79-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and nitration of)

RN 127027-79-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-7-methoxy-1-[(4-methylphenyl)sulfonyl]-6-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

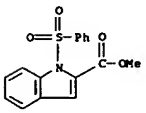


L4 ANSWER 114 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:38833 CAPLUS
DOCUMENT NUMBER: 110:38833
TITLE: Five-membered aromatic heterocycles as dienophiles in Diels-Alder reactions. Furan, pyrrole, and indole
AUTHOR(S): Wenkert, Ernest; Moeller, Peter D. R.; Piettre, Serge R.
CORPORATE SOURCE: Dep. Chem., Univ. California, San Diego, La Jolla, CA, 92093, USA
SOURCE: Journal of the American Chemical Society (1988), 110(21), 7188-94
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:38833
GI



II III

AB Isoprene (I) undergoes high-yielding Diels-Alder reactions with 3-acylfurans, 3-acyl-1(phenylsulfonyl)pyrroles, and 1,3-acyl-1-(phenylsulfonyl)indoles. The regioselectivity is poor in uncatalyzed reactions; in the presence of AlCl3 it improves markedly. Thus, the reaction of I with 3-acetyl-2-(phenylsulfonyl)indole gives adducts II and III in a 2:1 ratio in the absence of catalyst. In the presence of AlCl3 the II-III ratio is 96:4. CH2:CHCH:CH2 reacts similarly with 3-acyl-1-(phenylsulfonyl)indoles.
IT **60376-48-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and attempted Diels-Alder reaction of, with isoprene)
RN 60376-48-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 115 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:8455 CAPLUS
DOCUMENT NUMBER: 110:8455
TITLE: A directed metalation route to the zwitterionic indole alkaloids. Synthesis of sempervirine
AUTHOR(S): Gribble, Gordon W.; Barden, Timothy C.; Johnson, David A.
CORPORATE SOURCE: Dep. Chem., Dartmouth Coll., Hanover, NH, 03755, USA
SOURCE: Tetrahedron (1988), 44(11), 3195-202
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:8455
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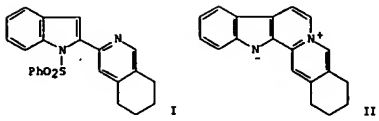
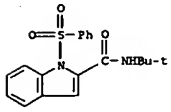
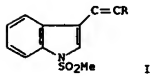


I II

AB A synthesis protocol involving β-lithiation of 2-(2-pyridinyl)indoles and subsequent reaction with BrCH2CHO leads to the indolo[2,3-a]quinolizine ring system. Application of this methodol. to 2-(2-pyridinyl)indole I, which is prepared via Taylor-Boger triazine Diels-Alder annulation chemical, affords the zwitterionic indole alkaloid sempervirine (II).
IT **106154-54-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to cyano(phenylsulfinyl)indole)
RN 106154-54-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-(1,1-dimethylethyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

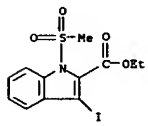


L4 ANSWER 116 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:630715 CAPLUS
DOCUMENT NUMBER: 109:230715
TITLE: Palladium-catalyzed coupling reaction of 3-iodoindoles and 3-iodobenzo[b]thiophene with terminal acetylenes
AUTHOR(S): Sakamoto, Takao; Nagano, Tatsuo; Kondo, Yoshinori; Yamanaka, Hiroshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(6), 2248-52
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:230715
GI



I

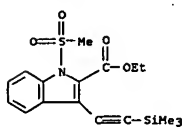
AB The palladium-catalyzed coupling reaction of 3-iodoindoles possessing an electron-withdrawing group at the 1- or 2-position with terminal acetylenes smoothly proceeded to yield 3-ethynylindoles e.g. I (R = Me3Si, Ph, Bu, CH2OH). Similarly, the reaction of 3-iodobenzo[b]thiophene gave the expected products, but the reaction of 3-bromobenzo[b]furan provided resinous materials.
IT **117637-80-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and palladium-catalyzed coupling reaction of, with acetylenes)
RN 117637-80-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-iodo-1-(methylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



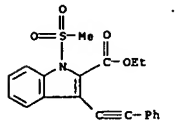
I

IT **117637-72-6P 117637-73-7P 117637-74-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 117637-72-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)-3-[(trimethylsilyl)ethynyl]-, ethyl ester (9CI) (CA INDEX NAME)

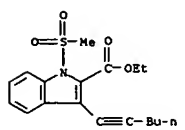
L4 ANSWER 116 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



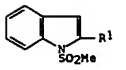
RN 117637-73-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(methylsulfonyl)-3-(phenylethynyl)-, ethyl ester (9CI) (CA INDEX NAME)



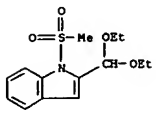
RN 117637-74-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(1-hexynyl)-1-(methylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 117 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:528767 CAPLUS
DOCUMENT NUMBER: 109:128767
TITLE: Condensed heteroaromatic ring systems. XIII. One-step synthesis of 2-substituted 1-methylsulfonylindoles from N-(2-halophenyl)methanesulfonamides
AUTHOR(S): Sakamoto, Takao; Kondo, Yoshinori; Iwashita, Shigeki; Nagano, Tatsuo; Yamanaka, Hiroshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(4), 1305-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:128767
GI



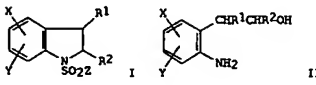
AB The cyclization of 2-RC6H4NHSO2Me (R = Br, iodo) with R1C.tplbond.CH [R1 = Ph, Bu, SiMe3, CH2OH, (CH2)2OH, CH2OMe, CH(OEt)2, (CH2)2CO2Et] in the presence of Pd(PPh3)2Cl2 and CuI gave indoles I in one step.
IT 116547-98-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 116547-98-9 CAPLUS
CN 1H-Indole, 2-(diethoxymethyl)-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



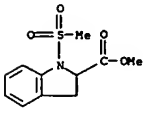
L4 ANSWER 118 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:492779 CAPLUS
DOCUMENT NUMBER: 109:92779
TITLE: A process for the preparation of N-sulfonylindoline derivatives as intermediates for pharmaceuticals and agrochemicals
INVENTOR(S): Torii, Shigeru; Tanaka, Hideo; Murakami, Yasuo; Okamoto, Koichi
PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63054360	A2	19880308	JP 1986-198137	19860826
JP 07017601	B4	19950301		
PRIORITY APPLN. INFO.:			JP 1986-198137	19860826

OTHER SOURCE(S): CASREACT 109:92779; MARPAT 109:92779
GI

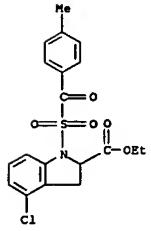


AB Title compds. I [R1 = H, C1-4 alkyl, HOCH2, Cl, Br, cyano, CO2R where R = C1-4 alkyl; R2 = H, C1-7 alkyl, cycloalkyl; X, Y = H, halo, (substituted) C1-4 alkyl, C1-4 alkoxy, NH2, OH; Z = Me, Ph, p-MeC6H4] are prepared by reaction of II (X, Y, R1, R2 = same as I) and ZSO2Cl (Z = same as I). A solution of 1.1 mmol II (R1 = CH2OH, R2 = X = Y = H) and 4.3 mmol Et3N in 2 mL CH2Cl2 was successively treated with 2.3 mmol and 0.1 mmol MeSO2Cl to give 85% I (R1 = CH2OSO2Me; R1 = X = Y = H, X = Me).
IT 115876-07-8P 115876-09-0P 115876-10-3P
115876-11-4P 115876-12-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for pharmaceuticals and agrochems.)
RN 115876-07-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

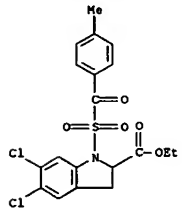


RN 115876-09-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-chloro-2,3-dihydro-1-[(4-

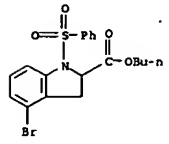
L4 ANSWER 118 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylbenzoyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



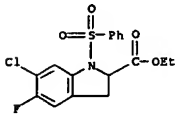
RN 115876-10-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5,6-dichloro-2,3-dihydro-1-[(4-methylbenzoyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 115876-11-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4-bromo-2,3-dihydro-1-(phenylsulfonyl)-, butyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 118 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 115876-12-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-chloro-5-fluoro-2,3-dihydro-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

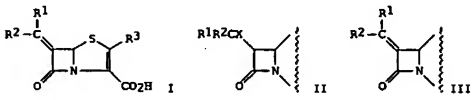


L4 ANSWER 119 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:112059 CAPLUS
DOCUMENT NUMBER: 108:112059
TITLE: 6-Alkylidenepenems, their preparation, and their use as antibiotics
INVENTOR(S): Broom, Nigel John Perryman; Edwards, Peter David; Osborne, Neal Frederick; Coulton, Steven
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8700525	A1	19870129	WO 1986-GB428	19860721
W: GB, JP, US				
RW: BE, CH, DE, FR, GB, IT, NL				
EP 231244	A1	19870812	EP 1986-904312	19860721
R: BE, CH, DE, FR, GB, IT, LI, NL				
PRIORITY APPLN. INFO.:			GB 1985-18416	A 19850722

GI

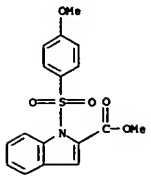


AB Penems I [1 of R1 and R2 = H, the other = (un)substituted fused bicyclic heteroarom. group bonded through a C atom and having 5- or 6 atoms per ring; R3 = H, organic group] and their pharmaceutically acceptable salts or in vivo hydrolyzable ester thereof, having β-lactamase inhibitory and antibacterial properties and thus useful in treating bacterial infections in humans or animals, either alone or in combination with other antibiotics, were prepared by eliminating the elements of HX (X = OH or leaving group) from a penem or penem intermediate II to give a compound III which, if it is a penem intermediate, is converted into a penem I or salt or ester thereof. Na (5R5)-(Z)-6-(2-benzo[b]furylmethylene)penem-3-carboxylate (IV) was prepared in 9 steps from BuLi, HN(CHMe)2, 1-tert-butyldimethylsilyl-4-tritylthioazetidin-2-one, and Et 2-benzo[b]furoate in THF. Amoxycillin alone had a min. inhibitory concentration (MIC) of >512 μg/mL against Escherichia coli JT39; IV alone had the same MIC. In the presence of 5μg/mL IV, amoxycillin had a MIC of 32 μg/mL against E. coli JT39.

IT 113072-27-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in synthesis of penem antibiotic)

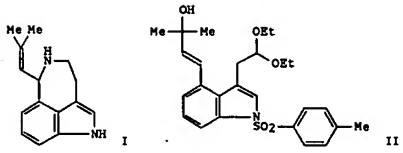
RN 113072-27-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methoxyphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 119 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 120 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:534536 CAPLUS
DOCUMENT NUMBER: 107:134536
TITLE: Palladium-catalyzed reactions in the synthesis of 3- and 4-substituted indoles. 3. Total synthesis of (±)-aurantioclavine
AUTHOR(S): Hegedus, Louis S.; Toro, Jose L.; Miles, William H.; Harrington, Peter J.
CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Fort Collins, CO, 80523, USA
SOURCE: Journal of Organic Chemistry (1987), 52(15), 3319-22
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:134536
GI

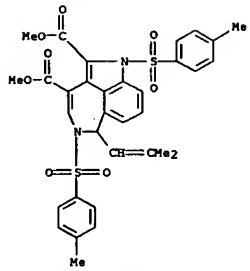


AB (±)-Aurantioclavine (I) was synthesized in overall 23% yield and 13 steps from com. available starting materials. The synthesis involved palladium(II)-catalyzed indole ring formation, nickel(0)/zirconium(IV)-assisted introduction of one side chain, palladium(0)-catalyzed introduction of the other side chain, acid-catalyzed cyclization of II to form the seven-membered ring, and photolytic reductive-detosylation to produce I.

IT 108948-30-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

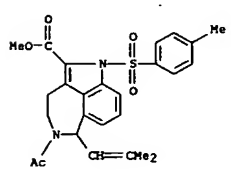
RN 108948-30-7 CAPLUS
CN 1H-Azepino[5,4,3-cd]indole-2,3-dicarboxylic acid, 5,6-dihydro-1,5-bis[(4-methylphenyl)sulfonyl]-6-(2-methyl-1-propenyl)-, dimethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 120 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



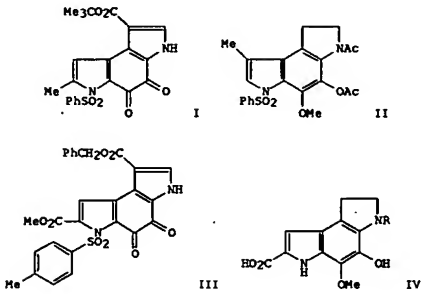
IT 108948-31-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 108948-31-8 CAPLUS
CN 1H-Azepino[5,4,3-cd]indole-2-carboxylic acid, 5-acetyl-3,4,5,6-tetrahydro-1-[(4-methylphenyl)sulfonyl]-6-(2-methyl-1-propenyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 121 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

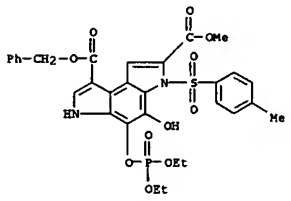
ACCESSION NUMBER: 1987:213610 CAPLUS
DOCUMENT NUMBER: 106:213610
TITLE: Studies on the synthesis of the antitumor agent CC-1065. Synthesis of PDE I and PDE II, inhibitors of cyclic adenosine-3',5'-monophosphate phosphodiesterase using the 3,3'-bipyrrole strategy
AUTHOR(S): Carter, Paul; Fitzjohn, Steven; Halazy, Serge; Magnus, Philip
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA
SOURCE: Journal of the American Chemical Society (1987), 109(9), 2711-17
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:213610
GI



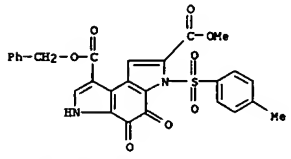
AB In a model synthesis CH2:CHCH:CHCO2CMe3 was treated with 4-MeC6H4SO2CHMeNC-NaH to give the pyrrole derivative, which was converted into the 3,3'-bipyrrole derivative with 4-MeC6H4SO2CH2NC. Treatment of the bipyrrole with ClCOCOCl gave the o-quinone I. I was reduced and concomitantly protected followed by O-methylation, reduction, and acetylation to give the PDE I/II model II. Application of this strategy to the 5-carboxymethyl series gave the o-quinone III which was converted into PDE I (IV, R = CONH2) and PDE II (IV, R = Ac).

IT 107914-20-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and detosylation of)
RN 107914-20-5 CAPLUS
CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 5-[(diethoxyphosphinyl)oxy]-3,6-dihydro-4-hydroxy-6-[(4-methylphenyl)sulfonyl]-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 121 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

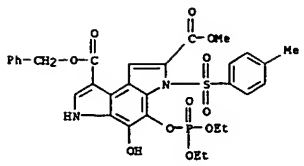


IT 106674-03-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with tri-Et phosphite)
RN 106674-03-7 CAPLUS
CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 3,4,5,6-tetrahydro-6-[(4-methylphenyl)sulfonyl]-4,5-dioxo-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

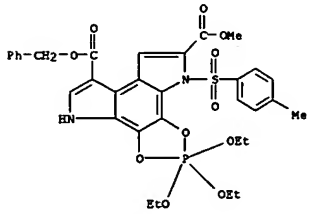


IT 106674-06-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 106674-06-0 CAPLUS
CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 4-[(diethoxyphosphinyl)oxy]-3,6-dihydro-5-methoxy-6-[(4-methylphenyl)sulfonyl]-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 121 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

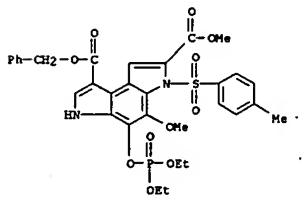


IT 106674-04-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 106674-04-8 CAPLUS
CN 1,3,2-Dioxaphospholo[4,5-g]pyrrolo[3,2-e]indole-5,7-dicarboxylic acid, 2,2,2-triethoxy-2,2,4,9-tetrahydro-4-[(4-methylphenyl)sulfonyl]-, 5-methyl 7-(phenylmethyl) ester (9CI) (CA INDEX NAME)



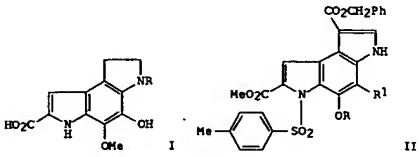
IT 106674-05-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 106674-05-9 CAPLUS
CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 4-[(diethoxyphosphinyl)oxy]-3,6-dihydro-5-hydroxy-6-[(4-methylphenyl)sulfonyl]-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 121 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 122 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:84262 CAPLUS
DOCUMENT NUMBER: 106:84262
TITLE: Studies of the synthesis of the antitumor agent CC-1065. Synthesis of PDE I and PDE II, inhibitors of cyclic adenosine-3',5'-monophosphate phosphodiesterase
AUTHOR(S): Carter, Paul; Fitzjohn, Steven; Magnus, Philip
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA
SOURCE: Journal of the Chemical Society, Chemical Communications (1986), (15), 1162-4
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:84262
GI



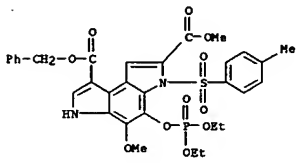
I II

AB The naturally occurring indoles PDE I and PDE II (I; R = CONH2, Ac, resp.), which are also the B and C components, resp., of antitumor agent CC-1065, were prepared in 15 steps from Me 4-formylpyrrole-2-carboxylate. The key step in the reaction was the regioselective methylation of phosphate II [R = H, R1 = OP(O)(OEt)2] with CH2N2 in CH2Cl2 at -78° for 48 h to give 50% II [R = Me, R1 = OP(O)(OEt)2] together with a small amount of II [R = OP(O)(OEt)2, R1 = Me]: the latter is the major product under most methylating conditions.

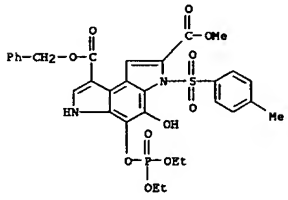
IT **106674-07-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 106674-07-1 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 5-[(diethoxyphosphinyl)oxy]-3,6-dihydro-4-methoxy-6-[(4-methylphenyl)sulfonyl]-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

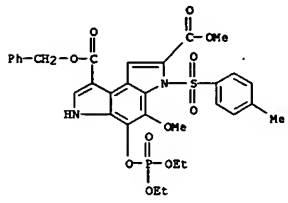


L4 ANSWER 122 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 106674-06-0 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 4-[(diethoxyphosphinyl)oxy]-3,6-dihydro-5-methoxy-6-[(4-methylphenyl)sulfonyl]-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

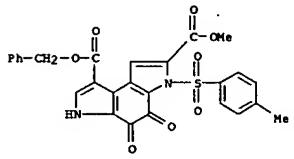


L4 ANSWER 122 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT **106674-03-7P 106674-04-8P 106674-05-9P**
106674-06-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in preparation of PDE I and II)

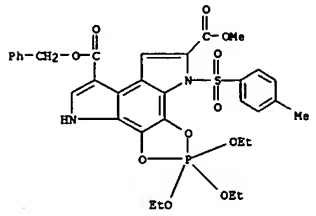
RN 106674-03-7 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 3,4,5,6-tetrahydro-6-[(4-methylphenyl)sulfonyl]-4,5-dioxo-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 106674-04-8 CAPLUS

CN 1,3,2-Dioxaphospholo[4,5-g]pyrrolo[3,2-e]indole-5,7-dicarboxylic acid, 2,2,2-triethoxy-2,2,4,9-tetrahydro-4-[(4-methylphenyl)sulfonyl]-, 5-methyl 7-(phenylmethyl) ester (9CI) (CA INDEX NAME)

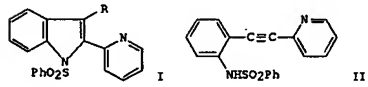


RN 106674-05-9 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-1,7-dicarboxylic acid, 4-[(diethoxyphosphinyl)oxy]-3,6-dihydro-5-hydroxy-6-[(4-methylphenyl)sulfonyl]-, 7-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 123 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:49949 CAPLUS
DOCUMENT NUMBER: 106:49949
TITLE: Directed β-lithiation of 2-substituted indoles: a new synthetic route to 2,3-disubstituted indoles
AUTHOR(S): Johnson, David A.; Gribble, Gordon W.
CORPORATE SOURCE: Dep. Chem., Dartmouth Coll., Hanover, NH, 03755, USA
SOURCE: Heterocycles (1986), 24(8), 2127-31
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:49949
GI



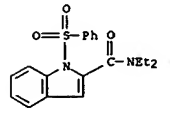
I II

AB Treatment of several N-protected 2-substituted indoles with BuLi at -78° gave C-3 lithiation, presumably via coordination with the C-2 substituent. Depending on the exact system, the 3-lithioindole could either be trapped with electrophiles or suffered ring cleavage to an alkyne. For example, lithiation of indole I (R = H) with BuLi in THF at -78° gave I (R = Li), which on treatment with electrophiles at -78° gave I (R = Et, CO2H, Me3Si, CHMeOH, CO2Et, Ac) in 51-74% yields. Heating I (R = Li) to .apprx.50° gave 65% alkyne II.

IT **106154-53-4 106154-54-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring cleavage of, by butyllithium)

RN 106154-53-4 CAPLUS

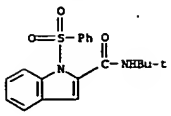
CN 1H-Indole-2-carboxamide, N,N-diethyl-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 106154-54-5 CAPLUS

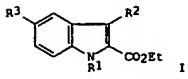
CN 1H-Indole-2-carboxamide, N-(1,1-dimethylethyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 123 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 124 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

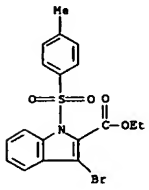
ACCESSION NUMBER: 1986:572221 CAPLUS
DOCUMENT NUMBER: 105:172221
TITLE: Palladium-catalyzed cross-coupling reaction: direct allylation of aryl bromides with allyl acetate
AUTHOR(S): Yokoyama, Yuusaku; Ito, Sadao; Takahashi, Yumi; Murakami, Yasuoki
CORPORATE SOURCE: Sch. Pharm. Sci., Toho Univ., Chiba, 274, Japan
SOURCE: Tetrahedron Letters (1985), 26(52), 6457-60
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:172221
GI



AB p-RC6H4Br (R = CONH2, Bz, NHAc) and indoles I R1 = p-MeC6H4SO2, H; R2 = H, R3 = Br; R2 = Br, R3 = H) underwent a Pd-catalyzed cross-coupling reaction with CH2:CHCH2OAc in the presence of Bu3SnSnBu3 to give the p-RC6H4CH2CH:CH2 and I (R2 = CH2CH:CH2, R3 = H; R2 = H, R3 = CH2CH:CH2) in 49-88% yields.

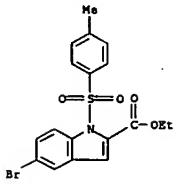
IT 104699-53-8 104699-54-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(allylation of, palladium catalyst in)

RN 104699-53-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-bromo-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



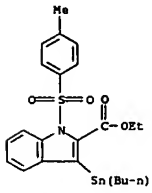
RN 104699-54-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-1-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 124 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 104699-55-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with allyl acetate, palladium catalysts for)

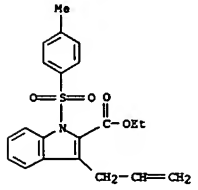
RN 104699-55-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-(tributylstannyl)-, ethyl ester (9CI) (CA INDEX NAME)



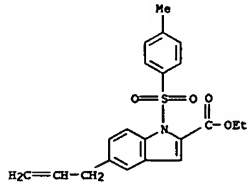
IT 104699-48-1P 104699-50-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 104699-48-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-(2-propenyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 124 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



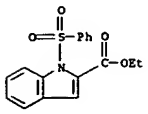
RN 104699-50-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-5-(2-propenyl)-, ethyl ester (9CI) (CA INDEX NAME)



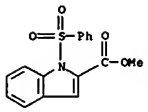
L4 ANSWER 125 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:85624 CAPLUS
DOCUMENT NUMBER: 96:85624
TITLE: Generation and reactions of 3-lithio-1-(phenylsulfonyl)indole
AUTHOR(S): Saulnier, Mark G.; Gribble, Gordon W.
CORPORATE SOURCE: Dep. Chem., Dartmouth Coll., Hanover, NH, 03755, USA
SOURCE: Journal of Organic Chemistry (1982), 47(5), 757-61
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:85624

AB Treatment of 1-(phenylsulfonyl)-3-iodoindole with 2 equivs. of Me3CLi (-100°, THF) generates essentially quant. 3-lithio-1-(phenylsulfonyl)indole (I). Quenching I with various electrophiles gives 3-substituted indoles in good yield. Upon warming to room temperature, I cleanly rearranges to the more stable 2-lithio-1-(phenylsulfonyl)indole (II). An alternative procedure for the generation of II from 1-(phenylsulfonyl)indole with Li diisopropylamide, and simple, high yield procedures for the N-sulfonation and N-acylation of indoles are also described. This new indole lithiation method. provides a synthetic equivalency for 2,3-dilithio-1-(phenylsulfonyl)indole.

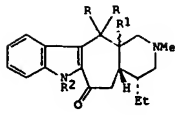
IT **40899-92-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of lithioindole derivative with electrophile)
RN 40899-92-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



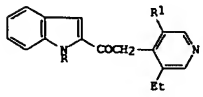
L4 ANSWER 126 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



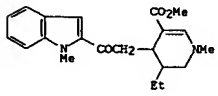
L4 ANSWER 126 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:87706 CAPLUS
DOCUMENT NUMBER: 90:87706
TITLE: Total synthesis of α-acylindole alkaloids. (±)-6-Oxosilicine and (±)-16,20-epi-N(a)-methylervatamine
AUTHOR(S): Husson, Henri Philippe; Bannai, Kiyoshi; Freire, Raimundo; Monpon, Bernard; Reis, Francisco A. M.
CORPORATE SOURCE: Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, Fr.
SOURCE: Tetrahedron (1978), 34(9), 1363-8
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



I, R2=O, R1=?-H, R2=H
II, R=H, R1=?-CO2Me, R2=Me



III

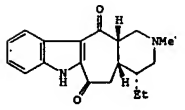


IV

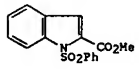
AB (±)-6-Oxosilicine (I) and (±)-16,20-epi-N(a)-methylervatamine (II) were prepared in 11 and 5 steps, resp., from the indole derivs. III (R = H, R1 = CH2OH; R = Me, R1 = CO2H, resp.). The asym. centers in I were generated by hydrogenation of 15,20-dehydro-6-oxosilicinol in the presence of PtO2. The asym. centers in II were generated by sequential treatment of the indole derivative IV with Me2N+:CH2 CF3CO2- and NaBH3CN. The preparation of II corresponds to a postulated biomimetic scheme.

IT **60376-48-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with pyridine derivative and Bu lithium, in oxosilicine total synthesis)
RN 60376-48-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

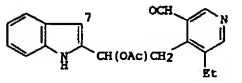
L4 ANSWER 127 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:478241 CAPLUS
DOCUMENT NUMBER: 85:78241
TITLE: Stereospecific total synthesis of (±)-6-oxosilicine (6-oxo-16-demethoxycarbonyl-20-epiervatamine)
AUTHOR(S): Reis, Francisco; Bannai, Kiyoshi; Husson, Henri P.
CORPORATE SOURCE: Inst. Chim. Subst. Nat., CNRS, Gif sur Yvette, Fr.
SOURCE: Tetrahedron Letters (1976), (14), 1085-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



I



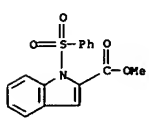
II



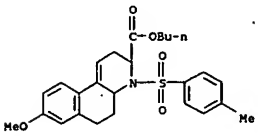
III

AB The title compound (I) was prepared in 9 steps from the indole II and 3-hydroxymethyl-4-methyl-5-ethylpyridine and BuLi. The key step in the synthesis was the cyclization at C-7 of the indole moiety by the formyl group on the pyridine ring in the intermediate III. I was identical to the compound isolated from Hazunta silicicola.

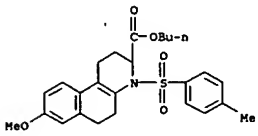
IT **60376-48-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with pyridine derivative and butyllithium)
RN 60376-48-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 128 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:593579 CAPLUS
DOCUMENT NUMBER: 83:193579
TITLE: Total synthesis of 13- and 14-azaequilenines by heterocycloaddition
AUTHOR(S): Zunnebeld, W. A.; Speckamp, W. N.
CORPORATE SOURCE: Lab. Org. Chem., Univ. Amsterdam, Amsterdam, Neth.
SOURCE: Tetrahedron (1975), 31(15), 1717-21
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Condensation at 0° of 1-vinyl-6-methoxy-3,4-dihydronaphthalene with BuO2CCH:NO2SC6H4Me-p gave a 3:1 mixture of isomers I (X = CHCO2Bu, X1 = NO2SC6H4Me-p; X = NO2SC6H4Me-p, X1 = CHCO2Bu), which on successive hydrolysis and esterification gave the pyridine esters II (X = N, X1 = CCO2Me; X = CCO2Me, X1 = N) resp. Dehydrogenation of II gave the corresponding benzo[f]quinolines and -isoquinolines, which on condensation with EtOAc and hydrogenation gave 13- (III) and 14-azaequilenines (IV), resp.
IT 57511-78-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57511-78-1 CAPLUS
CN Benzo[f]quinoline-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-8-methoxy-4-[(4-methylphenyl)sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)



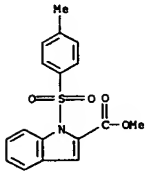
IT 57423-18-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in azaequilenine preparation)
RN 57423-18-4 CAPLUS
CN Benzo[f]quinoline-3-carboxylic acid, 1,2,3,4,5,6-hexahydro-8-methoxy-4-[(4-methylphenyl)sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 129 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:43172 CAPLUS
DOCUMENT NUMBER: 82:43172
TITLE: Indoles
INVENTOR(S): Jones, Charles D.
PATENT ASSIGNEE(S): Eli Lilly and Co.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

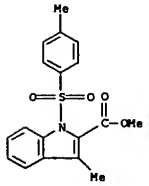
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3838167	A	19740924	US 1972-277018	19720801
PRIORITY APPLN. INFO.:			US 1972-277018 A	19720801

GI For diagram(s), see printed CA Issue.
AB The indoles I (R = OH, R1 = H, Me, Ph; R = Me, Ph, p-MeOC6H4, p-ClC6H4, p-MeC6H4; R1 = Ph) were prepared Thus, p-MeC6H4SO2NHC6H4CHO-o was treated with BrCH2CO2Me and the product cyclized to give 1-(p-toluenesulfonyl)indole-2-carboxylic acid, which was hydrolyzed to give I (R = OH, R1 = H).
IT 36004-72-5P 36004-73-6P 36004-74-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 36004-72-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI). (CA INDEX NAME)

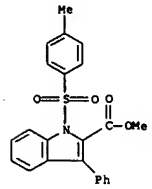


RN 36004-73-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

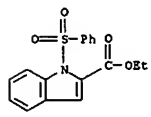
L4 ANSWER 129 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



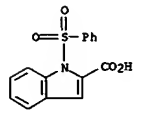
RN 36004-74-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 130 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:515394 CAPLUS
DOCUMENT NUMBER: 79:115394
TITLE: Syntheses with N-protected 2-lithioindoles
AUTHOR(S): Sundberg, Richard J.; Russell, Henry F.
CORPORATE SOURCE: Dep. Chem., Univ. Virginia, Charlottesville, VA, USA
SOURCE: Journal of Organic Chemistry (1973), 38(19), 3324-30
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 79:115394
AB A series of potential N-protecting groups which would permit syntheses via N-protected 2-lithioindoles was investigated. These include the MeOCH2, benzyloxymethyl, benzyl, PhSO2, Me3Si, and tert-butyldimethylsilyl groups. The MeOCH2 and PhSO2 derivs. of indole were satisfactorily lithiated and give addition reactions with typical carbonyl and cyano compds. The PhSO2 is more easily subsequently removed. 2-Acylindoles and 2-indolylcarbinols prepared by these reactions are described.
IT 40899-92-1P 40899-93-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40899-92-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 40899-93-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 131 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

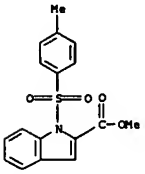
ACCESSION NUMBER: 1973:15949 CAPLUS
DOCUMENT NUMBER: 78:15949
TITLE: Preparation of 2-substituted indole sulfonamides and subsequent conversion to indole-2-carboxylic acids, indole-2-carbonitriles, and 2-acylindoles
AUTHOR(S): Jones, Charles D.
CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, USA
SOURCE: Journal of Organic Chemistry (1972), 37(23), 3624-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 78:15949

GI For diagram(s), see printed CA Issue.

AB A convenient and general synthesis of indole-2-carboxylic acids, indole-2-carbonitriles and 2-acylindoles is described. Sulfonamides of o-aminocarbonyl compds. are N-alkylated by active halides to provide o-ROCC6H4N(SO2R1)CH2R2 (I, R = H, Me, Ph; R1 = Me, p-MeC6H4; R2 = CO2Me, Ac, Bz, CN, p-MeOC6H4CO, p-ClC6H4CO, p-MeC6H4CO). On base catalyzed aldol condensation of I, and subsequent dehydration, crystalline 2-substituted indolesulfonamides (II) are obtained. Hydrolysis of II removes the tosyl moiety to yield the corresponding 2-acylindoles and indole-2-carbonitriles. The synthesis of indole-2-carboxylic acids from 2-carbomethoxyindolesulfonamides was also achieved in a similar manner.

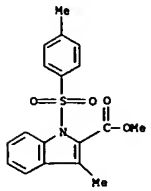
IT **36004-72-5P 36004-73-6P 36004-74-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 36004-72-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

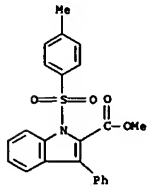


RN 36004-73-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 131 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 36004-74-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(4-methylphenyl)sulfonyl]-3-phenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 132 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN

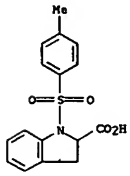
ACCESSION NUMBER: 1968:21773 CAPLUS
DOCUMENT NUMBER: 68:21773
TITLE: Synthesis and chemistry of DL-indoline-2-carboxylic acid
AUTHOR(S): Hudson, C. B.; Robertson, Alexander V.
CORPORATE SOURCE: Univ. Sydney, Sydney, Australia
SOURCE: Australian Journal of Chemistry (1967), 20(9), 1935-41
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

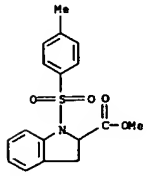
AB Indole-2-carboxamide is reduced by PH4I and fuming HI acid to DL-indoline-2-carboxamide (I), hydrolysis of which readily gives II. The chemistry of this new amino acid and some of its derivatives was explored. 2-Carbamoylbenzimidazole is inert to PH4I/HI.

IT **16851-57-3P 16851-58-4P 16851-59-5P 16851-61-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 16851-57-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

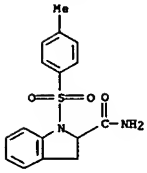


RN 16851-58-4 CAPLUS
CN 2-Indolinecarboxylic acid, 1-(p-tolylsulfonyl)-, methyl ester (8CI) (CA INDEX NAME)

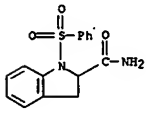


RN 16851-59-5 CAPLUS
CN 2-Indolinecarboxamide, 1-(p-tolylsulfonyl)- (8CI) (CA INDEX NAME)

L4 ANSWER 132 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 16851-61-9 CAPLUS
CN 2-Indolinecarboxamide, 1-(phenylsulfonyl)- (8CI) (CA INDEX NAME)



L4 ANSWER 133 OF 133 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1967:75789 CAPLUS
DOCUMENT NUMBER: 66:75789
TITLE: Removal of tolyl-p-sulfonyl groups from sulfonamides. III. Some. N-(tolyl-p-sulfonyl) glycine esters
AUTHOR(S): Hannah, E. D.; Proctor, George R.; Rehman, M. A.
CORPORATE SOURCE: Univ. Strathclyde, Glasgow, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1967), (4), 256-61
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. CA 62, 7670d; 64, 8070h. The elimination of p-tosyl anions from several N-p-tosylglycine esters, such as I, was studied. In two examples, the intervention of neighboring groups was detected, the products elucidated and, in one case, a mechanism is suggested. 23 references.
IT 14491-91-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14491-91-9 CAPLUS
CN Quinaldic acid, 1,2,3,4-tetrahydro-3-oxo-1-(p-tolylsulfonyl)-, ethyl ester (8CI) (CA INDEX NAME)